					_
I Number	Hits	Hits Search Text	DB	Time stamp	_
1	3778	3778 ("514/183, 295, 395, 415, 712"). CCLS	USPAT	2003/08/25 11:38	_
2	1722	("544/106, 253, 283, 282").CCLS	USPAT	2003/08/25 11:38	_
n	364	("546/183").CCLS	USPAT	2003/08/25 11:38	
4	267	("548/306.4").CCLS	USPAT	2003/08/25 11:39	_
5	089		USPAT	2003/08/25 11:39	_
9	460	460   ("568/38,58").CCLS	USPAT	2003/08/25 11:39	_
7	0	0 (("514/183,295,395,415,712").CCLS) and (("544/106,253,283,282").CCLS) and	USPAT	2003/08/25 11:40	
		(("546/183").CCLS) and (("546/183").CCLS) and (("548/306.4").CCLS) and			_
		(("549/362,469").CCLS) and (("568/38,58").CCLS)	_		



Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
NEWS	1			Web Page URLs for STN Seminar Schedule - N. America
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NEWS		Feb	24	PCTGEN now available on STN
NEWS		Feb		TEMA now available on STN
NEWS	5	Feb	26	NTIS now allows simultaneous left and right truncation
NEWS	6	Feb	26	PCTFULL now contains images
NEWS	7	Mar	04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS	8	Mar	24	PATDPAFULL now available on STN
NEWS	9	Mar	24	Additional information for trade-named substances without
				structures available in REGISTRY
NEWS		Apr		Display formats in DGENE enhanced
NEWS		Apr		MEDLINE Reload
NEWS		Apr		Polymer searching in REGISTRY enhanced
NEWS		AUG		Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS	14	Apr	21	New current-awareness alert (SDI) frequency in
MEMO	1 =	722	20	WPIDS/WPINDEX/WPIX
NEWS NEWS		Apr		RDISCLOSURE now available on STN  Pharmacokinetic information and systematic chemical names
NEMP	10	Мау		added to PHAR
NEWS	17	May	15	MEDLINE file segment of TOXCENTER reloaded
NEWS		May		Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS	19	May		Simultaneous left and right truncation added to WSCA
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				Right Truncation available
NEWS	29	AUG	05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	30	AUG	13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	31	AUG	15	PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS	32	AUG	15	PCTGEN: one FREE connect hour, per account, in September 2003
NEWS	33	AUG	15	RDISCLOSURE: one FREE connect hour, per account, in
NEWS	34	AUG	15	September 2003 TEMA: one FREE connect hour, per account, in
NEWS	35	AUG	18	September 2003 Data available for download as a PDF in RDISCLOSURE

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL

NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),

AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

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NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 07:33:41 ON 25 AUG 2003

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

0.21

0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4 DICTIONARY FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09541795.5

09541795.5 Page 3

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express guery preparation.

=> s 11

SAMPLE SEARCH INITIATED 07:34:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1 TO 80 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s llsss full

L3 0 L1SSS

=> s l1 sss full

FULL SEARCH INITIATED 07:34:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

L4 2 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 152.37 152.58

FILE 'CAOLD' ENTERED AT 07:34:42 ON 25 AUG 2003

09541795.5 Page 4

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full

## REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 07:34:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

L5 2 SEA SSS FUL L1

L6 0 L5

=> file marpat

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.40 301.53

FILE 'MARPAT' ENTERED AT 07:35:21 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6596259 22 JUL 2003 DE 20300703 31 JUL 2003 EP 1331259 30 JUL 2003

JP 2003207510 25 JUL 2003

09541795.5 Page 5

WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s ll sss full

FULL SEARCH INITIATED 07:35:31 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 537 TO ITERATE

100.0% PROCESSED 537 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.04

L7 0 SEA SSS FUL L1

=> d his

(FILE 'HOME' ENTERED AT 07:33:41 ON 25 AUG 2003)

FILE 'REGISTRY' ENTERED AT 07:33:51 ON 25 AUG 2003

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 0 S L1SSS FULL L4 2 S L1 SSS FULL

> FILE 'CAOLD' ENTERED AT 07:34:42 ON 25 AUG 2003 S L1

2 111

FILE 'REGISTRY' ENTERED AT 07:34:49 ON 25 AUG 2003

L5 2 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 07:34:50 ON 25 AUG 2003

L6 0 S L5 SSS FULL

FILE 'MARPAT' ENTERED AT 07:35:21 ON 25 AUG 2003

L7 0 S L1 SSS FULL

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 104.55 406.08

FILE 'CAPLUS' ENTERED AT 07:36:05 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 25 Aug 2003 VOL 139 ISS 9

09541795.5

Page 6

FILE LAST UPDATED: 24 Aug 2003 (20030824/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15

78

=> d l1 fbib hitstr abs total

1 L5

L1 HAS NO ANSWERS

'FBIB HITSTR ABS ' IS NOT A VALID STRUCTURE FORMAT KEYWORD Structure Formats

SIM ---- Structure IMage.

SAT ---- Structure ATtributes and map table if it contains data.

SCT ---- Structure Connection Table and map table if it contains

SDA ---- All Structure DAta (image, attributes, connection table and map table if it contains data).

NOS ---- NO Structure data.

ENTER STRUCTURE FORMAT (SIM), NOS:end

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.42 406.50

FULL ESTIMATED COST

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FILE COVERS 1907 - 25 Aug 2003 VOL 139 ISS 9 FILE LAST UPDATED: 24 Aug 2003 (20030824/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15

L9 1 L5

=> d 19 fbib hitstr abs total

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN

Page 7

```
AN
     2000:725609 CAPLUS
DN
     133:296281
ΤI
     Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting
     antiinflammatory and immune-suppressive compounds
    Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn,
IN
    Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong;
     Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae,
     Hwan-soo; Lynch, John K.
PΑ
    Abbott Laboratories, USA
SO
     PCT Int. Appl., 476 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
                    KIND DATE
                                           APPLICATION NO. DATE
     PATENT NO.
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                                           -----
PΙ
    WO 2000059880
                     A1
                            20001012
                                          WO 2000-US8895 20000403
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             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           US 1999-286645 A 19990402
                                           US 1999-474517 A 19991229
                                           US 2000-541795 A 20000331
    EP 1165505
                       Α1
                            20020102
                                           EP 2000-921654 20000403
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                           US 1999-286645 A 19990402
                                           US 1999-474517 A 19991229
                                           WO 2000-US8895 W 20000403
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                            20020409
                                           BR 2000-9426
                                                            20000403
                                           US 1999-286645 A 19990402
                                           US 1999-474517 A 19991229
                                           US 2000-541795 A 20000331
                                           WO 2000-US8895 W 20000403
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                      Α
                            20021216
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                                           US 1999-286645 A 19990402
                                           US 1999-474517 A 19991229
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                                           WO 2000-US8895 W 20000403
    NO 2001004767
                      Α
                            20011130
                                           NO 2001-4767
                                                             20011001
                                           US 1999-286645 A 19990402
                                           US 1999-474517 A 19991229
                                           WO 2000-US8895 W 20000403
    BG 106029
                      Α
                            20020531
                                           BG 2001-106029 20011018
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                                           US 1999-474517 A 19991229
                                           US 2000-541795 A 20000331
                                           WO 2000-US8895 W 20000403
    HR 2001000776
                      Α1
                            20021231
                                           HR 2001-776
                                                            20011023
                                           US 1999-286645 A 19990402
                                           US 1999-474517 A 19991229
                                           US 2000-541795 A 20000331
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WO 2000-US8895 W 20000403

OS MARPAT 133:296281

IT 301179-08-8P 301179-43-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 301179-08-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[2,3-dichloro-4-[(1E)-3-(4-morpholinyl)-3-oxo-1-propenyl]phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-43-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[4-[(1E)-3-(4-morpholinyl)-3-oxo-1-propenyl]-2,3-bis(trifluoromethyl)phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

GΙ

8/25/2003>

Patel

Ar 
$$R^2$$
 $R^3$ 
 $R^4$ 
 $R^3$ 
 $R^4$ 
 $R^3$ 
 $R^4$ 
 $R^3$ 
 $R^4$ 
 $R^3$ 
 $R^4$ 
 $R^3$ 
 $R^4$ 
 $R$ 

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepd. as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixt. of 2-[(2,4dichlorophenyl)thio]benzaldehyde (prepn. given), malonic acid, piperidine in anhyd. pyridine was heated at 110.degree.C for 2 h and then treated with aq. HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 .mu.M. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 .mu.M and 0.6 .mu.M, resp.

ΙI

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

=>

=> log y		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	4.95	411.45
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TATOT
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-0.65	-0.65

STN INTERNATIONAL LOGOFF AT 07:37:34 ON 25 AUG 2003



Welcome to STN International! Enter x:x

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
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NEWS		AUG		PATDPAFULL: one FREE connect hour, per account, in September 2003
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NEWS	33	AUG	15	September 2003 RDISCLOSURE: one FREE connect hour, per account, in
NEWS	34	AUG	15	September 2003 TEMA: one FREE connect hour, per account, in
NEWS	35	AUG	18	September 2003 Data available for download as a PDF in RDISCLOSURE

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL

NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT

MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

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NEWS HOURS STN Operating Hours Plus Help De NEWS INTER General Internet Information

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NEWS PHONE Direct Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 07:44:10 ON 25 AUG 2003

### => reg

REG IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 07:44:22 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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09541795.56

Page 3

STRUCTURE FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4 DICTIONARY FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

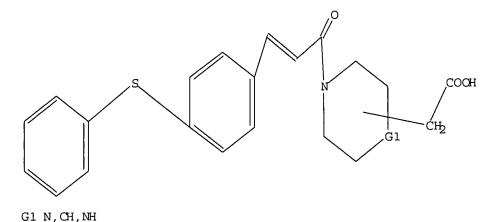
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09541795.6

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 07:44:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 33 TO ITERATE

100.0% PROCESSED 33 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 316 TO 1004

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

Patel

8/25/2003>

09541795.56

Page 4

⇒> s ll sss full

FULL SEARCH INITIATED 07:44:46 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 567 TO ITERATE

100.0% PROCESSED 567 ITERATIONS 0 ANSWERS

148.36

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE TOTAL SESSION 148.15

FULL ESTIMATED COST

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

## => s l1 sss full

## REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 07:44:58 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 567 TO ITERATE

100.0% PROCESSED 567 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

0 SEA SSS FUL L1

L5 0 L4

=> file marpat

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.40 297.31

09541795.56 Page 5

FILE 'MARPAT' ENTERED AT 07:45:04 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

6596259 22 JUL 2003 US 20300703 31 JUL 2003 DE 1331259 30 JUL 2003 JP 2003207510 25 JUL 2003 WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full FULL SEARCH INITIATED 07:45:10 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 6796 TO ITERATE

100.0% PROCESSED 6796 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.16

L6 0 SEA SSS FUL L1

=> log y

SINCE FILE COST IN U.S. DOLLARS TOTAL ENTRY SESSION 104.55 401.86 FULL ESTIMATED COST

STN INTERNATIONAL LOGOFF AT 07:45:48 ON 25 AUG 2003

8/25/2003> Patel



Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
NEWS NEWS	1 2			Web Page URLs for STN Seminar Schedule - N. America "Ask CAS" for self-help around the clock
NEWS	3	Feb	24	PCTGEN now available on STN
NEWS		Feb		TEMA now available on STN
NEWS	5		26	NTIS now allows simultaneous left and right truncation
NEWS	6	Feb		PCTFULL now contains images
NEWS	7		04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS	8		24	PATDPAFULL now available on STN
NEWS	9		24	Additional information for trade-named substances without
	_			structures available in REGISTRY
NEWS		Apr		Display formats in DGENE enhanced
NEWS		Apr		MEDLINE Reload
NEWS		Apr		Polymer searching in REGISTRY enhanced
NEWS		AUG		Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS	14	Apr	21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS	15	Apr	28	RDISCLOSURE now available on STN
NEWS	16	May	05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS	17	May	15	MEDLINE file segment of TOXCENTER reloaded
NEWS	18	May		Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS	19	May		Simultaneous left and right truncation added to WSCA
NEWS	20	May		RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS	21	Jun	06	Simultaneous left and right truncation added to CBNB
NEWS	22	Jun	06	PASCAL enhanced with additional data
NEWS	23	Jun	20	2003 edition of the FSTA Thesaurus is now available
NEWS	24	Jun	25	HSDB has been reloaded
NEWS	25	Jul	16	Data from 1960-1976 added to RDISCLOSURE
NEWS	26	Jul	21	Identification of STN records implemented
NEWS	27	Jul	21	Polymer class term count added to REGISTRY
NEWS		Jul	22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
				Right Truncation available
NEWS	29	AUG	05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	30	AUG	13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS		AUG		PATDPAFULL: one FREE connect hour, per account, in
				September 2003
NEWS	32	AUG	15	PCTGEN: one FREE connect hour, per account, in September 2003
NEWS	33	AUG	15	RDISCLOSURE: one FREE connect hour, per account, in September 2003
NEWS	34	AUG	15	TEMA: one FREE connect hour, per account, in
NEWS	35	AUG	18	September 2003 Data available for download as a PDF in RDISCLOSURE

09541795.7 Page 2

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS INTER General Internet Information NEWS LOGIN Welcome Banner and News Items

NEWS PHONE Direct Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 07:47:39 ON 25 AUG 2003

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 07:48:01 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4 DICTIONARY FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09541795.7

09541795.7 Page 3

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 07:48:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 70 TO ITERATE

100.0% PROCESSED 70 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

t L2 0 t SEA t SSS t FUL t L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 148.15 148.36

FILE 'CAOLD' ENTERED AT 07:48:34 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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## => s ll sss full

# REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 07:48:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 70 TO ITERATE

100.0% PROCESSED 70 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

09541795.7 Page 4

L3 0 SEA SSS FUL L1

L4 0 L3

=> file marpat

COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST ENTRY SESSION 0.40 297.31

FILE 'MARPAT' ENTERED AT 07:48:45 ON 25 AUG 2003
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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6596259 22 JUL 2003
DE 20300703 31 JUL 2003
EP 1331259 30 JUL 2003
JP 2003207510 25 JUL 2003
WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s ll sss full FULL SEARCH INITIATED 07:48:51 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 1005 TO ITERATE

100.0% PROCESSED 1005 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.03

L5 0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 104.55 401.86

STN INTERNATIONAL LOGOFF AT 07:49:00 ON 25 AUG 2003



Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
NEWS	1			Web Page URLs for STN Seminar Schedule - N. America
NEWS				"Ask CAS" for self-help around the clock
NEWS	_	Feb	24	PCTGEN now available on STN
NEWS	4	Feb		TEMA now available on STN
NEWS	5		26	NTIS now allows simultaneous left and right truncation
NEWS			26	PCTFULL now contains images
NEWS			04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS			24	PATDPAFULL now available on STN
NEWS	9	Mar		Additional information for trade-named substances without
MIMO	,	Mar	24	structures available in REGISTRY
NEWS	10	Apr	11	Display formats in DGENE enhanced
NEWS		Apr		MEDLINE Reload
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NEWS		Apr		New current-awareness alert (SDI) frequency in
MEMO	7.4	Vbr	21	WPIDS/WPINDEX/WPIX
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NENS	10	nay	05	added to PHAR
NEWS	17	May	15	MEDLINE file segment of TOXCENTER reloaded
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NEWS	19		19	Simultaneous left and right truncation added to WSCA
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NEWS	21	.Tum	06	Simultaneous left and right truncation added to CBNB
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NEWS		Jun		2003 edition of the FSTA Thesaurus is now available
NEWS		Jun		HSDB has been reloaded
NEWS		Jul		Data from 1960-1976 added to RDISCLOSURE
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MEMS	20	our	22	Right Truncation available
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•				August 1, 2003
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NEWS		AUG		PATDPAFULL: one FREE connect hour, per account, in
				September 2003
NEWS	32	AUG	15	PCTGEN: one FREE connect hour, per account, in
				September 2003
NEWS	33	AUG	15	RDISCLOSURE: one FREE connect hour, per account, in
			-	September 2003
NEWS	34	AUG	15	TEMA: one FREE connect hour, per account, in
_				September 2003
NEWS	35	AUG	18	Data available for download as a PDF in RDISCLOSURE

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

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FILE 'HOME' ENTERED AT 07:55:28 ON 25 AUG 2003

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21 1

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4 DICTIONARY FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4

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=> Uploading 09541795.8

Patel

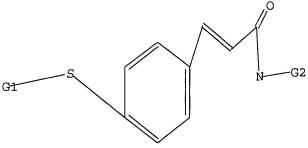
09541795.8 Page 3

L1STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1STR



G1 Cb, Cy, Hy

G2 H,Cb,Cy,Hy,Ak,OH,COOH

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full FULL SEARCH INITIATED 07:56:19 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 7248 TO ITERATE

100.0% PROCESSED 7248 ITERATIONS SEARCH TIME: 00.00.01

282 ANSWERS

L2282 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 148.55 148.76

FULL ESTIMATED COST

FILE 'CAOLD' ENTERED AT 07:56:36 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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=> s l1 sss full

09541795.8 Page 4

### REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 07:56:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7248 TO ITERATE

100.0% PROCESSED 7248 ITERATIONS

282 ANSWERS

SEARCH TIME: 00.00.01

L3 282 SEA SSS FUL L1

L4 0 L3

=> file marpat

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION 0.40 297.71

FULL ESTIMATED COST

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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

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DE 20300703 31 JUL 2003

EP 1331259 30 JUL 2003

JP 2003207510 25 JUL 2003

WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s 12 sss full

FULL SEARCH INITIATED 07:57:02 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 4219 TO ITERATE

100.0% PROCESSED 4219 ITERATIONS ( 1 INCOMPLETE) 62 ANSWERS SEARCH TIME: 00.00.08

L5 62 SEA SSS FUL L1

=> d his

(FILE 'HOME' ENTERED AT 07:55:28 ON 25 AUG 2003)

FILE 'REGISTRY' ENTERED AT 07:55:37 ON 25 AUG 2003

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09541795.8
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### Page 5

L1 STRUCTURE UPLOADED L2 282 S L1 SSS FULL FILE 'CAOLD' ENTERED AT 07:56:36 ON 25 AUG 2003 S L1 FILE 'REGISTRY' ENTERED AT 07:56:42 ON 25 AUG 2003 L3 282 S L1 SSS FULL FILE 'CAOLD' ENTERED AT 07:56:43 ON 25 AUG 2003 L40 S L3 SSS FULL FILE 'MARPAT' ENTERED AT 07:56:56 ON 25 AUG 2003 L5 62 S L2 SSS FULL => s 12 and 15 L2 MAY NOT BE USED HERE The L-number entered was not created by a STRUCTURE or SCREEN command. => d l5 fbib hitstr abs total 'HITSTR' IS NOT A VALID FORMAT FOR FILE 'MARPAT' The following are valid formats: MSTR ---- All Markush structure(s) and related text information MSTR(n) -- Markush structure(n) and related text information IDE ----- AN and MSTR ABS ---- AB ALL ----- BIB, AB, IND, RE, and MSTR APPS ---- AI, PRAI BIB ----- AN, plus Bibliographic Data and PI table (default) CAN ----- List of CA abstract numbers without answer numbers CBIB ---- AN, plus Compressed Bibliographic Data DALL ---- ALL, delimited (end of each field identified) DMAX ----- MAX, delimited for post-processing FAM ----- AN, PI and PRAI in table, plus Patent Family data FBIB ----- AN, BIB, plus Patent FAM IND ----- Indexing Data IPC ----- International Patent Classifications MAX ----- ALL, plus Patent FAM, RE PATS ---- PI, SO SAM ----- CC, SX, TI, ST, IT, and FQHIT SCAN ---- CC, SX, TI, ST, IT, and FQHIT (random display, no answer numbers) STD ----- BIB, IPC, and NCL (standard patent information) IABS ---- ABS, indented with text labels IALL ---- ALL, indented with text labels IBIB ---- BIB, indented with text labels IMAX ----- MAX, indented with text labels ISTD ---- STD, indented with text labels OBIB ----- AN, plus Bibliographic Data (original) OIBIB ----- OBIB, indented with text labels SBIB ----- BIB, no citations SIBIB ----- IBIB, no citations

09541795.8 Page 6

```
HIT ----- Fields containing hit text terms and the Markush
           structures containing the query structure
FHIT ---- Fields containing the first hit text terms and the first
           Markush structures containing the query structure
QHIT ---- Fields containing query focus hit text terms and the
           Markush structures containing the query structure
FQHIT ---- Fields containing the first query focus hit text terms and
           the first Markush structures containing the query structure
To display a particular field or fields, enter the display field
codes. For a list of the display field codes, enter "HELP DFIELDS"
at an arrow prompt (=>). Examples of formats include: "TI";
"TI, MSTR, ABS"; "BIB, ST"; "TI, IND"; "TI, SO". You may specify the
format fields in any order and the information will be displayed
in the same order as the format specification.
All of the formats (except for SAM, SCAN, FHIT, HIT, FQHIT, or QHIT) may
be used with the DISPLAY ACC command to display the record for a
specified Accession Number.
ENTER DISPLAY FORMAT (BIB):BIB
     ANSWER 1 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
     139:36349 MARPAT
TI
     Preparation of arylalkyl-urea/carbamates for treatment of inflammation,
     diabetes and related disorders
ΙN
     Neogi, Partha; Dey, Debendranath; Li, Ta-Kai; Fuller, Joseph; Chen, Liang
PA
     Calyx Therapeutics Inc., USA
SO
     PCT Int. Appl., 107 pp.
     CODEN: PIXXD2
DT
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     English
LA
FAN.CNT 1
     PATENT NO. KIND DATE
                                           APPLICATION NO. DATE
     WO 2003048108 A2 20030612 WO 2002-US38150 20021127
PΤ
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
PRAI US 2001-334818P 20011129
     ANSWER 2 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
ΑN
     139:959 MARPAT
ΤI
     Remedies for urinary frequency
     Maruyama, Takayuki; Nonaka, Shigeyuki; Yamamoto, Hiroshi; Kobayashi, Kaoru
TN
PA
     Ono Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 64 pp.
     CODEN: PIXXD2
     Patent
DT
LΑ
     Japanese
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ΑN

138:287410 MARPAT

Page 7

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FAN.CNT 1
                  KIND DATE
    PATENT NO.
                                     APPLICATION NO. DATE
    WO 2003043655 A1 20030530
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                                    WO 2002-JP12000 20021118
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           RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
           CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
           PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
           NE, SN, TD, TG
PRAI JP 2001-353303
                   20011119
RE.CNT 17
            THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
            ALL CITATIONS AVAILABLE IN THE RE FORMAT
L_5
    ANSWER 3 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
AN
    138:368609 MARPAT
TI
    Preparation of phenyl sulfones or sulfoxides as telomerase inhibitors for
    antitumor agents
    Kanda, Hiroshi; Nakatsu, Rieko; Asai, Akiyoshi; Yamashita, Nobunori
ΙN
PA
    Kyowa Hakko Kogyo Co., Ltd., Japan
SO
    Jpn. Kokai Tokkyo Koho, 10 pp.
    CODEN: JKXXAF
DT
    Patent
LΑ
    Japanese
FAN.CNT 1
    PATENT NO.
               KIND DATE
                               APPLICATION NO. DATE
    -----
                                      -----
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    JP 2003137861 A2 20030514
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PΤ
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    ANSWER 4 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    138:353993 MARPAT
ΤI
    Preparation of benzimidazole derivatives as prodrugs of proton pump
    inhibitors
IN
    Garst, Michael E.; Sachs, George; Shin, Jai Moo
PΑ
    Regents of the University of California, USA; The United States Department
    of Veteran Affairs; Winston Pharmaceuticals, LLC
SO
    U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 364,381, abandoned.
    CODEN: USXXAM
DT
    Patent
LA
    English
FAN.CNT 2
    PATENT NO.
                                     APPLICATION NO. DATE
                KIND DATE
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PΙ
    US 6559167 B1 20030506
                                      US 2001-783807 20010214
    US 6093734
                   Α
                        20000725
                                      US 1998-131481 19980810
PRAI US 1998-131481 19980810
    US 1999-364381
                  19990729
RE.CNT 47
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    ANSWER 5 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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Preparation of 3-phenylacrylamides and analogs as inhibitors of
TΙ
    cyclooxygenase II
    Mauleon Casellas, David; Garcia Perez, Luisa; Palomer Benet, Albert;
IN
    Pascual Avellana, Jaime
PΑ
    Laboratorios Menarini, S.A., Spain
SO
    Span., 27 pp.
    CODEN: SPXXAD
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                    B1 20030216
PRAI ES 1999-2287
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    ANSWER 6 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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AN
    138:271705 MARPAT
    Preparation of triazinyl and other carboxamides as inhibitors of histone
ΤI
    deacetylase
    Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradel, Oscar; Leit,
IN
    Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane
PΑ
    Methylgene, Inc., Can.
SO
    PCT Int. Appl., 347 pp.
    CODEN: PIXXD2
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    WO 2003024448 A2 20030327 WO 2002-US29017 20020912
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    US 2002-391728P 20020626
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    ANSWER 7 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
AN
    138:233393 MARPAT
ΤI
    Broad-spectrum fungicidal composition comprising phenylamidine derivatives
IN
    Labourdette, Gilbert; Zundel, Jean Luc; Lappartient, Anne Gabrielle;
    Villier, Alain; O'Neill, Elizabeth; Vors, Jean Pierre; Grosjean, Cournoyer
    Marie Claire
    Aventis Cropscience SA, Fr.
PA
    Fr. Demande, 38 pp.
SO
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      137:242190 MARPAT
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TI
      Remedies for depression containing prostaglandin E2 receptor subtype EP1
      antagonist as the active ingredient
IN
     Nonaka, Shigeyuki; Maruyama, Takayuki
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      Ono Pharmaceutical Co., Ltd., Japan
SO
      PCT Int. Appl., 269 pp.
      CODEN: PIXXD2
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     WO 2002072145 A1 20020919 WO 2002-JP2359 20020313
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     136:295089 MARPAT
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     Preparation of amino acid aromatic derivatives with HIV integrase
      inhibitory properties
IN
     N'zemba, Blaise Magloire; Sauve, Gilles; Sevigny, Guy; Yelle, Jocelyn
     Pharmacor, Inc., Can.
PA
     PCT Int. Appl., 173 pp.
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     136:262993 MARPAT
     Substituted cinnamic acid quanidides as inhibitors of the NHE3
TI
     sodium-proton exchanger
IN
     Hofmeister, Armin; Hropot, Max; Heinelt, Uwe; Bleich, Markus; Lang,
     Hans-Jochen
     Aventis Pharma Deutschland G.m.b.H., Germany
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     PCT Int. Appl., 75 pp.
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     ANSWER 11 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
     136:236663 MARPAT
AN
ΤI
     Hair and skin compositions containing a dibenzoylmethane derivative and an
     .alpha.-alkylstyrene dimer
IN
     Forestier, Serge
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PΑ
    L'Oreal, Fr.
    PCT Int. Appl., 31 pp.
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    ANSWER 12 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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    136:167287 MARPAT
ΑN
    Preparation of novel 3-substituted isoquinolin-1-yl derivatives of squaric
TI
    acid amides as selective .alpha.4-integrin inhibitors
ΙN
    Head, John Clifford; Porter, John Robert; McKay, Catherine
PA
    Celltech R & D Limited, UK
    PCT Int. Appl., 62 pp.
SO
    CODEN: PIXXD2
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    ANSWER 13 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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    136:118463 MARPAT
AN
    Preparation of 1-alkyl-3-[1-(substituted phenyl)benzotriazol-6-yl]uracils
TΙ
    as herbicides
ΙN
    Diehl, Robert E.; Trotto, Susan; Guaciaro, Michael; Wepplo, Peter
PA
    Basf Aktiengesellschaft, Germany
    PCT Int. Appl., 42 pp.
SO
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AN
         135:371527 MARPAT
ΤI
         Preparation of bisacylquanidine with cardioprotective activity
         Gericke, Rolf; Beier, Norbert
IN
PA
         Merck Patent G.m.b.H., Germany
SO
         Ger. Offen., 12 pp.
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ΑN
         135:249535 MARPAT
         Polymerizable liquid crystal compound having amido bond between two cyclic
ΤI
         groups and optically anisotropic element
         Takeuchi, Hiroshi; Kawata, Ken
IN
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         Fuji Photo Film Co., Ltd., Japan
SO
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             THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
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    ANSWER 16 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
AN
    135:221312 MARPAT
ΤI
    Therapeutic uses of PPAR mediators as ABC-1 expression modulators, and
    preparation thereof
IN
    Jaye, Michael; Duverger, Nicolas; Searfoss, George; Minnich, Anne
    Aventis Pharma Deutschland G.m.b.H., Germany
PA
SO
    PCT Int. Appl., 176 pp.
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    ANSWER 17 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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AN
    135:5455 MARPAT
    Preparation of hydroxamic acids as inhibitors of histone deacetylase
ΤI
    Delorme, Daniel; Ruel, Rejean; Lavoie, Rico; Thibault, Carl; Abou-khalil,
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    Elie
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    Methylgene, Inc., Can.
    PCT Int. Appl., 147 pp.
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PRAI US 1999-167035P 19991123
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     ANSWER 18 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
     134:348284 MARPAT
AN
ΤI
     Phenyl compounds to treat diabetes and associated conditions
     Neoqi, Partha; Naq, Bishwajit; Lakner, Frederick J.; Dey, Debendranath;
IN
     Medicherla, Satyanarayana
     Calyx Therapeutics, Inc., USA
PA
SO
     PCT Int. Appl., 47 pp.
     CODEN: PIXXD2
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     134:266316 MARPAT
AN
ΤI
     Preparation of quinazoline derivatives, method of preparation and use in
     inhibiting aurora 2 kinase
IN
     Mortlock, Andrew Austen; Keen, Nicholas John
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Astrazeneca AB, Swed.; Astrazeneca UK Limited
PA
SO
    PCT Int. Appl., 83 pp.
    CODEN: PIXXD2
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AN
    134:507 MARPAT
TI
    Anticancer agents containing prostaglandin E2 receptor subtype EP1
    antagonists as the active ingredient
    Wakabayashi, Keiji; Maruyama, Takayuki
ΙN
    Ono Pharmaceutical Co., Ltd., Japan; Japan as Represented by President of
PA
    National Cancer Center; The Organization for Pharmaceutical Safety and
    Research
    PCT Int. Appl., 30 pp.
SO
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RE.CNT 10
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    ANSWER 21 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
ΑN
    133:296281 MARPAT
     Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting
ΤI
    antiinflammatory and immune-suppressive compounds
    Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn,
IN
    Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong;
     Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae,
    Hwan-soo; Lynch, John K.
PA
    Abbott Laboratories, USA
SO
    PCT Int. Appl., 476 pp.
    CODEN: PIXXD2
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    WO 2000059880 A1 20001012
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    ANSWER 22 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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    133:89514 MARPAT
ΑN
     Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
TI
IN
    Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin;
     Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong;
     Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.
PΑ
    Abbott Laboratories, USA
    PCT Int. Appl., 400 pp.
SO
    CODEN: PIXXD2
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     ANSWER 23 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
     133:58815 MARPAT
AN
TI
     Preparation of N-arylcarbonyl-8-(pyrrolopyrazinyl)pyrrologuinolines and
     analogs as 5-HT receptor ligands
IN
     Gaster, Laramie Mary; Heightman, Tom Daniel
PA
     Smithkline Beecham Plc, UK
SO
     PCT Int. Appl., 50 pp.
     CODEN: PIXXD2
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     ANSWER 24 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
AN
     132:308547 MARPAT
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Method for the production and use of bile acid substituted phenyl alkenoyl
TI
    guanidines as medicaments or diagnostic agents and of medicaments that
    contain them
    Weichert, Andreas; Enhsen, Alfons; Falk, Eugen; Jansen, Hans-Willi;
IN
    Kramer, Werner; Schwark, Jan-Robert; Lang, Hans Jochen
PA
    Aventis Pharma Deutschland G.m.b.H., Germany
SO
    PCT Int. Appl., 46 pp.
    CODEN: PIXXD2
DT
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FAN.CNT 1
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    WO 2000024761 A1 20000504 WO 1999-EP7828 19991015
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             THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
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    ANSWER 25 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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     132:180568 MARPAT
ΑN
ΤI
     Preparation of 3-arylpyrazoles as herbicides.
     Schallner, Otto; Linker, Karl-Heinz; Kluth, Joachim; Drewes, Mark Wilhelm;
ΤN
     Feucht, Dieter; Pontzen, Rolf; Wetcholowsky, Ingo
PΑ
    Bayer A.-G., Germany
SO
    Ger. Offen., 20 pp.
     CODEN: GWXXBX
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    German
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PRAI DE 1998-19838706 19980826
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     ANSWER 26 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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     132:64106 MARPAT
AN
ΤI
     Preparation and formulation of propenyl cephalosporin derivatives for
     pharmaceutical use as antibiotics for the treatment and prophylaxis of
     infectious diseases
IN
     Angehrn, Peter; Goetschi, Erwin; Heinze-Krauss, Ingrid; Richter, Hans G.
     F.
PΑ
     F. Hoffmann-La Roche A.-G., Switz.
SO
     PCT Int. Appl., 103 pp.
     CODEN: PIXXD2
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    130:47468 MARPAT
ΤI
    Hydroxamic acid compounds having anticancer and anti-parasitic properties
    Parsons, Peter Gordon: Fairlie, David
ΙN
PA
    The University of Queensland, Australia; The Queensland Institute of
    Medical Research
    PCT Int. Appl., 123 pp.
SO
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    129:148825 MARPAT
AN
TΤ
    Preparation of 3-aryl acryloyl guanidine derivatives as Na+/H+ exchange
    inhibitors
IN
    Okazaki, Toshio; Kaku, Hideki; Kikuchi, Kazumi; Takanashi, Masahiro
PA
    Yamanouchi Pharmaceutical Co., Ltd., Japan; Merck Patent G.m.b.H.
SO
    Jpn. Kokai Tokkyo Koho, 18 pp.
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AN
    129:95327 MARPAT
     Preparation of sulfonamide and carboxamide derivatives as drugs
ΤI
    Ohuchida, Shuichi; Nagao, Yuuki
IN
     Ono Pharmaceutical Co., Ltd., Japan; Ohuchida, Shuichi; Nagao, Yuuki
PA
SO
     PCT Int. Appl., 305 pp.
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L5
AN
    129:40989 MARPAT
TI
     Preparation of N-(2-oxoethyl) benzamides as cysteine protease inhibitors
IN
    Lubisch, Wilfried; Moeller, Achim; Treiber, Hans-Joerg
PA
     BASF A.-G., Germany
SO
    Ger. Offen., 34 pp.
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     128:257229 MARPAT
AN
ΤI
      Preparation of aryl-substituted acrylamides with leukotriene B4 (LTB-4)
      receptor antagonist activity
ΙN
      Greenspan, Paul David; Fujimoto, Roger Aki
PA
      Novartis A.-G., Switz.; Greenspan, Paul David; Fujimoto, Roger Aki
SO
      PCT Int. Appl., 55 pp.
      CODEN: PIXXD2
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RE.CNT 11
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      ANSWER 34 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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AN
      128:198602 MARPAT
ΤI
      Silver halide photographic material with improved light fastness, tone,
      and color formation
      Nishijima, Toyoki
IN
PA
      Konica Co., Japan
      Jpn. Kokai Tokkyo Koho, 19 pp.
SO
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AN
     128:128008 MARPAT
ΤI
     Preparation of N-isothiazolylthioamides as pesticides
ΙN
    Heil, Markus; Bretschneider, Thomas; Kleefeld, Gerd; Erdelen, Christoph
PA
    Bayer A.-G., Germany
SO
     Ger. Offen., 20 pp.
     CODEN: GWXXBX
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ΑN
    128:88669 MARPAT
TI
     Preparation of diaryl antimicrobial agents
IN
    Kanojia, Ramesh M.; Demers, James P.; Hlasta, Dennis J.; Johnson, Sigmond
    G.; Klaubert, Dieter H.
PΑ
    Ortho Pharmaceutical Corp., USA
SO
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- AN 128:34683 MARPAT
- TI Preparation of 4-hydroxybenzopyran-2-ones and 4-hydroxycycloalkyl[b]pyran-2-ones useful to treat retroviral infections
- IN Tomich, Paul Kosta; Bohanon, Michael John; Turner, Steven Ronald; Strohbach, Joseph Walter; Thaisrivongs, Suvit; Thomas, Richard C.; Romines, Karen Rene; Yang, Chih-ping; Aristoff, Paul Adrian; Skulnick, Harvey Irving; Johnson, Paul D.; Gammill, Ronald B.; Zhang, Qingwei; Bundy, Gordon L.; Anderson, David John; et al.
- PA Pharmacia & Upjohn Co., USA
- SO U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 169,302, abandoned. CODEN: USXXAM
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- TI Preparation of phenylalanine derivatives as endothelin antagonists
- IN Berryman, Kent Alan; Cheng, Xue-min; Doherty, Annette Marian; Edmunds, Jeremy John; Klutchko, Sylvester
- PA Warner-Lambert Co., USA
- SO U.S., 23 pp. CODEN: USXXAM
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- TI Acylated 4-amino- and 4-hydrazinopyrimidines and their use as pesticides
- IN Bretschneider, Thomas; Kleefeld, Gerd; Wernthaler, Konrad; Erdelen, Christoph; Stenzel, Klaus
- PA Bayer A.-G., Germany; Bretschneider, Thomas; Kleefeld, Gerd; Wernthaler, Konrad; Erdelen, Christoph; Stenzel, Klaus
- SO PCT Int. Appl., 72 pp.

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ΤI
    Cinnamamides and their use as UV stabilizers
    Horn, Keith A.; Heath, Richard B.; Schwind, David B.
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    Alliedsignal Inc., USA
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    PCT Int. Appl., 30 pp.
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TI
    Substituted cinnamic acid guanidides, process for their preparation, their
    use as cardiovascular medicament or diagnostic agent, as well as
    medicament containing them
    Schwark, Jan-Robert; Brendel, Joachim; Kleemann, Heinz-Werner; Lang,
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    Hoechst A.-G., Germany
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                                     CZ 1996-2184
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    HR 960356
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    BR 9603179
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                                      BR 1996-3179
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                    C2 20021010
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PRAI DE 1995-19527305 19950726
L5
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AN
    125:167598 MARPAT
ΤI
    Preparation and formulation of (tetrahydrotetramethylnaphthyloxy)naphthoat
    es and analogs for treatment of keratinization disorders
    Bernardon, Jean-Michel
IN
PΑ
    Centre International De Recherches Dermatologiques Galderma (C.I.R.D.
    Galderma), Fr.
SO
    Eur. Pat. Appl., 23 pp.
    CODEN: EPXXDW
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- DT Patent
- LA French
- FAN.CNT 1

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	PA'	TENT NO.	KIND	DATE	AP:	PLICATION NO.	DATE
ΡI	EP	722928	A1	19960724	EP	1995-120073	19951219
	EP	722928	B1	19970806			
		R: AT, BE	, CH, DE	, ES, FR, GB,	IT,	LI, NL, SE	
	FR	2729664	A1	19960726	FR	1995-659	19950120
	FR	2729664	B1	19970221			
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	ES	2111364	Т3	19980301	ES	1995-120073	19951219
	AU	9640794	A1	19960815	AU	1996-40794	19960104
	ΑU	684405	B2	19971211			
	CA	2167651	AA	19960721	CA	1996-2167651	19960119
	CA	2167651	C	20010313			
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	US	5763487	Α	19980609	US	1996-589388	19960122
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PRAI	FR	1995-659	19950	120			

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    ANSWER 43 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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AN
    125:114495 MARPAT
TI
    Pesticidal pyridine thioamides
ΙN
    Walter, Harald; Zambach, Werner
PΑ
    Ciba-Geigy A.-G., Switz.
SO
    PCT Int. Appl., 74 pp.
    CODEN: PIXXD2
DT
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LΑ
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FAN.CNT 1
    WO 9614201 KIND DATE APPLICATION NO. DATE
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                                       ------
    WO 9614301 A1 19960517 WO 1995-EP4176 19951025
PΙ
        W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP,
            KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ,
            PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN
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            BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                   A1 19960531 AU 1995-38691 19951025
A1 19970827 EP 1995-937839 19951025
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        R: CH, DE, FR, GB, LI
    JP 10508590 T2 19980825 JP 1995-515001
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PRAI CH 1994-3322
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L5
AN
    124:232073 MARPAT
    preparation of naphthalene derivatives as antiallergics
TI
    Takenouchi, Kazuya; Takahashi, Katsushi; Hasegawa, Masaichi; Takeuchi,
IN
    Takahiro; Komoriya, Keiji
PA
    Teijin Ltd., Japan
SO
    PCT Int. Appl., 110 pp.
    CODEN: PIXXD2
DT
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LΑ
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FAN.CNT 1
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    PATENT NO.
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    WO 9532943 A1 19951207
                                      WO 1995-JP1035 19950530
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    CA 2190992
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    AU 9525385
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       R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE
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                    B 20000112
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                         19991015
                                       AT 1995-919663 19950530
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                   T3 20000101
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A 19990831
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PRAI JP 1994-118267 19940531
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L5
AN
    123:69846 MARPAT
TI
    Diphenylamine compounds
    Beckmann, Stefan; Etzbach, Karl-Heinz; Sens, Ruediger
IN
PA
    BASF A.-G., Germany
SO
    Ger. Offen., 11 pp.
    CODEN: GWXXBX
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LΑ
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FAN.CNT 1
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                KIND DATE
                                      APPLICATION NO. DATE
    ----- RIND DAIL
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PΙ
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                                     DE 1993-4335496 19931019
    WO 9511278
                   A1 19950427
                                      WO 1994-EP3330 19941010
        W: JP, KR, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                   A1 19960807
                                       EP 1994-928882 19941010
       R: CH, DE, FR, GB, IT, LI, NL
    JP 09505331
                   T2 19970527
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PRAI DE 1993-4335496 19931019
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    ANSWER 46 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    121:230784 MARPAT
ΤI
    Preparation of 2-benzoylpyrimidine derivatives as herbicides and
    agrochemical fungicides
    Yamada, Hirokazu; Tanaka, Katsunori; Adachi, Hiroyuki; Yamada, Shigeo;
IN
    Shimoda, Susumu
PA
    Nippon Soda Co., Ltd., Japan
SO
    PCT Int. Appl., 200 pp.
    CODEN: PIXXD2
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LΑ
    Japanese
FAN.CNT 1
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    WO 9408975 A1 19940428 WO 1993-JP1478 19931014
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        W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP,
           KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK,
           UA, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
           BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                   A1 19940509 AU 1993-51611 19931014
A1 19950802 EP 1993-922632 19931014
    AU 9351611
    EP 665224
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
    BR 9307264
               A 19990511 BR 1993-7264
                                                      19931014
                    A2
    JP 07048359
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                    Α
                         19950215
PRAI JP 1992-304622 19921016
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    JP 1993-28313
    JP 1993-154303
                  19930601
    WO 1993-JP1478 19931014
    ANSWER 47 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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AN
    121:69078 MARPAT
ΤI
    Organic nonlinear optical material containing (thio)carbonyl- or
    sulfone-substituted benzene derivatives
IN
    Yamamoto, Hironobu; Roberuto, Jonson; Funato, Satoru; Uerunaaru, Purasu;
    Tokida, Akihiko; Yo, Tsutomu; Donarudo, Ruho
PΑ
    Hoechst Japan, Japan
SO
    Jpn. Kokai Tokkyo Koho, 23 pp.
    CODEN: JKXXAF
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ĿA
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FAN.CNT 1
    PATENT NO.
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                                       ______
    JP 06018946
                   A2 19940128
PΤ
                                      JP 1992-304124 19921113
PRAI JP 1992-112784 19920501
L5
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    121:57342 MARPAT
AN
    Process for the preparation of 4-substituted-1,4-dihydropydrines
ΤI
IN
    Auerbach, Joseph
PA
    Merck and Co., Inc., USA
    U.S., 13 pp. Cont.-in-part of U.S. Ser. No. 759,026, abandoned.
SO
    CODEN: USXXAM
DT
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LΑ
    English
FAN.CNT 2
    PATENT NO. KIND DATE
                                 APPLICATION NO. DATE
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    US 5310917 A 19940510 US 1992-920701 19920728
WO 9306082 A1 19930401 WO 1992-US7220 19920826
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       W: BG, CS, FI, HU, NO, PL, RO, RU
    IL 103010 A1 19961031 IL 1992-103010 19920901
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                   A2 19930331
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    EP 534520 A3 19930505
EP 534520 B1 19970319
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    ES 2101027
                    T3 19970701
                                      ES 1992-202690 19920905
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                   B4 19950605
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                   AA 19930314
                                      CA 1992-2077919 19920910
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                    B2 19941103
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                    A
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                                      CN 1992-110385 19920911
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    LV 12072
                    В
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                                                      19980306
PRAI US 1991-759026 19910913
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                    19920728
OS
    CASREACT 121:57342
    ANSWER 49 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    120:270460 MARPAT
ΤI
    [(Benzodioxolyl)methyl]propenoates and their uses as endothelin receptor
    antagonists
IN
    Bryan, Deborah Lynne; Elliot, John Duncan
    Smithkline Beecham Corp., USA
PA
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PCT Int. Appl., 44 pp.

CODEN: PIXXD2

SO

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DT
     Patent
LΑ
     English
FAN.CNT 1
                    KIND DATE
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     PATENT NO.
     WO 9402474 A1 19940203 WO 1993-US6667 19930715
PΙ
         W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
     AU 9346797 A1 19940214 AU 1993-46797 19930715
     EP 650484
                      A1
                             19950503
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                  B1 20000126
     EP 650484
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     JP 07509465 T2 19951019 JP 1993-504560 19930715
     CN 1088581
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                      A 19940629 CN 1993-116592 19930717
A 19960924 US 1995-374544 19950117
PRAI US 1992-916051 19920717
     US 1993-49606 19930419
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     ANSWER 50 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L_5
AN
     120:245129 MARPAT
TI
     Preparation of 3,3-diaryl acrylic acid amides
ΙN
     Curtze, Juergen
PΑ
     Shell Internationale Research Maatschappij B. V., Neth.
SO
     PCT Int. Appl., 15 pp.
     CODEN: PIXXD2
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     English
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     WO 9401424 A1 19940120 WO 1993-EP1803 19930708
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             SE, SK, UA, US, VN
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     AU 669921
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                      В1
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                             19970502
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     HU 71981
                       A2
                             19960328
                                             HU 1995-53
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                      В
     HU 219133
                             20010228
                      B6 19970514
     CZ 282167
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                                             AT 1993-915866 19930708
     AT 152449
                             19970515
    ES 2102662 T3 19970801
RU 2105762 C1 19980227
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BR 9306695 A 19981208
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     US 5495019 A 19960227 US 1995-362450 19950316
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    WO 1993-EP1803 19930708
OS
    CASREACT 120:245129
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    ANSWER 51 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
    120:178118 MARPAT
AN
TI
    Silver halide photographic material
IN
    Tamura, Yoko
PA
    Fuji Photo Film Co Ltd, Japan
SO
    Jpn. Kokai Tokkyo Koho, 30 pp.
    CODEN: JKXXAF
DT
    Patent
    Japanese
LА
FAN.CNT 1
    PATENT NO. KIND DATE
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                   A2 19931105 JP 1992-114326 19920408
    JP 05289238
PRAI JP 1992-114326 19920408
L5
    ANSWER 52 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
AN
   119:138979 MARPAT
ΤI
    Preparation of 2-[(1,2,3-triazolylmethyl)phenyl]carbapenems as
    antibacterial agents
IN
    Schmitt, Susan M.
    Merck and Co., Inc., USA
PA
    U.S., 23 pp. Cont. of U.S. Ser. No. 793,270, abandoned.
SO
    CODEN: USXXAM
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    Patent
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    English
FAN.CNT 1
    PATENT NO. KIND DATE APPLICATION NO. DATE
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                   A 19930504 US 1992-859599 19920323
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PRAI US 1990-619647 19901129
    US 1991-793270 19911113
L5
    ANSWER 53 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
AΝ
    119:116977 MARPAT
    Preparation and use of styrene derivatives as neoplasm inhibitors
ΤI
IN
    Kitano, Yasunori; Takayanaqi, Hisao; Sugawara, Koichi; Hara, Hiroto;
    Nakamura, Hideo; Oshino, Toshiko
PA
    Mitsubishi Kasei Corp., Japan
    Eur. Pat. Appl., 48 pp.
SO
    CODEN: EPXXDW
DT
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LΑ
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FAN.CNT 1
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    EP 537742 A2 19930421
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                   A3 19930512
    EP 537742
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       R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
    JP 05301838 A2 19931116 JP 1992-266027 19921005
                   AA 19930416
T3 19970101
A 19960507
    CA 2080554
                                      CA 1992-2080554 19921014
    ES 2093753
US 5514711
                                     ES 1992-117632 19921015
    US 5514711
                                      US 1995-369263 19950105
PRAI JP 1991-266461 19911015
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JP 1992-266027 19921005
    US 1992-961315 19921015
    ANSWER 54 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    119:95345 MARPAT
TT
    Process for the preparation of 4-aryl-1,4-dihydropyridine-3,5-
    dicarboxylates
ΙN
    Auerbach, Joseph
PA
    Merck and Co., Inc., USA
S0
    Eur. Pat. Appl., 28 pp.
    CODEN: EPXXDW
DT
    Patent
LΑ
    English
FAN.CNT 2
    PATENT NO. KIND DATE APPLICATION NO. DATE
    PATENT NO. KIND DATE
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PΙ
    EP 534520 A2 19930331
                                     EP 1992-202690 19920905
    EP 534520 A3 19930505
EP 534520 B1 19970319
       R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
    US 5310917 A 19940510 US 1992-920701 19920728
PRAI US 1991-759026 19910913
    US 1992-920701 19920728
    ANSWER 55 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    118:244465 MARPAT
ΤI
    Silver halide photographic light-sensitive material
    Matushita, Tetunori
ΙN
PA
    Fuji Photo Film Co., Ltd., Japan
SO
    Eur. Pat. Appl., 74 pp.
    CODEN: EPXXDW
DT
    Patent
    English
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FAN.CNT 1
    PATENT NO. KIND DATE
                               APPLICATION NO. DATE
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    EP 508432 A1 19921014
EP 508432 B1 19980325
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                                     EP 1992-106180 19920409
       R: DE, FR, GB, NL
    JP 04311952 A2 19921104
                                     JP 1991-103584 19910410
                       19931130
    US 5266453
                   Α
                                     US 1992-866517 19920410
PRAI JP 1991-103584 19910410
    ANSWER 56 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    116:13416 MARPAT
AN
    Pressure- and heat-sensitive recording materials with good sensitivity,
TI
    storability and image stability
IN
    Sano, Masajiro; Takashima, Masanobu; Satomura, Masato
PA
    Fuji Photo Film Co., Ltd., Japan
SO
    Jpn. Kokai Tokkyo Koho, 11 pp.
    CODEN: JKXXAF
    Patent
DT
    Japanese
LΑ
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                               APPLICATION NO. DATE
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PΙ
  JP 03142277 A2 19910618 JP 1989-282319 19891030
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PRAI JP 1989-282319 19891030

L5 ANSWER 57 OF 62 MARPAT COPYRIGHT 2003 ACS on STN

AN 115:8580 MARPAT

TI Preparation of basic 4-aryldihydropyridinamides as pharmaceutical agents

IN Stoltefuss, Juergen; Schwenner, Eckhard; Gross, Rainer; Hebisch, Siegbert; Schramm, Matthias; Bechem, Martin; Hirth, Claudia; Stasch, Johannes Peter

PA Bayer A.-G., Germany

SO Ger. Offen., 32 pp.

CODEN: GWXXBX

DT Patent

LA German

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ΡI	DE 3833892	A1	19900412	DE 1988-3833892	19881005
	NO 8903756	A	19900406	NO 1989-3756	19890921
	EP 362632	A2	19900411	EP 1989-117494	19890921
	EP 362632	A3	19901107		
	R: AT, BE,	CH, DE	, ES, FR, GB,	GR, IT, LI, LU, NL	, SE
	US 5015650	A	19910514	US 1989-413365	19890927
	CA 2000081	AA	19900405	CA 1989-2000081	19891003
	FI 8904677	Α	19900406	FI 1989-4677	19891003
	DD 296683	A5	19911212	DD 1989-342972	19891003
	DD 297813	A5	19920123	DD 1989-333272	19891003
	DK 8904898	A	19900406	DK 1989-4898	19891004
	ZA 8907532	A	19900627	ZA 1989-7532	19891004
	AU 8942609	A1	19900412	AU 1989-42609	19891005
	AU 616801	B2	19911107		
	CN 1041758	A	19900502	CN 1989-107734	19891005
	HU 52055	A2	19900628	HU 1989-5229	19891005
	JP 02169572	A2	19900629	JP 1989-258935	19891005
PRAI	DE 1988-3833892	19881	005		

- L5 ANSWER 58 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
- AN 114:159137 MARPAT
- TI Formulation of fungicides with polymers
- IN Friedrichs, Edmund; Albert, Guide
- PA Shell Internationale Research Maatschappij B. V., Neth.
- SO Ger. Offen., 8 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	DE 3903247	A1	19900809	DE 1989-3903247 19890203
	US 5304376	A	19940419	US 1990-468895 19900123
	ZA 9000628	A	19901031	ZA 1990-628 19900129
	EP 381290	A2	19900808	EP 1990-200223 19900130
	EP 381290	A3	19910417	
	EP 381290	B1	19950503	
	R: AT,	BE, CH, DE	, DK, ES,	FR, GB, GR, IT, LI, LU, NL
	AT 121902	E	19950515	AT 1990-200223 19900130
	ES 2071743	<b>T</b> 3	19950701	ES 1990-200223 19900130
	AU 9048950	Al	19900809	AU 1990-48950 19900131
	AU 628915	B2	19920924	
	JP 02250806	A2	19901008	JP 1990-22353 19900202

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L5 ANSWER 59 OF 62 MARPAT COPYRIGHT 2003 ACS on STN

AN 114:81892 MARPAT

TI Preparation of herbicidal triazinediones

IN Theodoridis, George

PA FMC Corp., USA

SO U.S., 10 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
ΡI	US 4956004	Α	19900911	US 1989-350053	19890510	
PRAI	US 1989-350053	19890	510			

- L5 ANSWER 60 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
- AN 112:76970 MARPAT
- TI Preparation of (acylamino)indolinones and -quinolinanes as blood platelet aggregation inhibitors
- IN Zilch, Harald; Mertens, Alfred; Von der Saal, Wolfgang; Boehm, Erwin; Strein, Klaus
- PA Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
- SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PAT	CENT N	Ο.		KINI	)	DATE			AP	PLICAT	ION N	Ο.	DATE	
ΡI	DE	38037	 75		A1	-	1989	0817		DE	1988-	 38037	 75	19880209	)
	DK	89004	92		Α		1989	0810		DK	1989-	492		19890202	2
	ΕP	32798	6		A2		1989	0816		EP	1989-	10186	8	19890203	3
	ΕP	P 327986			A3		19920108								
		R: 2	ΑT,	BE,	CH, I	DΕ,	ES,	FR,	GB,	GR,	IT, LI	, LU,	NL	, SE	
	US	49854	48		Α		1991	0115		US	1989-	30741	7	19890206	;
	HU	50118			A2		1989	1228		HU	1989-	578		19890207	1
	DD	28337	6		A5		1990	1010		DD	1989-	32558	7	19890207	,
	ΑU	89297	41		A1		1989	0810		AU	1989-	29741		19890208	}
	ΑU	61776	0		B2		1991	1205							
	FI	89006	05		A		1989	0810		FI	1989-	605		19890208	š
	ZA	89009	58		Α		1989	1025		ZA	1989-	958		19890208	ś
	JΡ	01250	352		A2		1989	1005		JP	1989-	28819		19890209	)
	US	53730	19		Α		1994	1213		US	1991-	64044	5	19910111	
PRAI	DE	1988-	3803	3775	1988	302	09								
	US	1989-	3074	117	1989	902	06								

- OS CASREACT 112:76970
- L5 ANSWER 61 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
- AN 111:115180 MARPAT
- TI Preparation of 6,7-dihydro-3H,5H-pyrrolo[2,3-f]benzimidazol-6-ones as cardiovascular agents
- PA Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
- SO U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 807,260. CODEN: USXXAM

09541795.8 Page 36 DTPatent LΑ English FAN.CNT 2 KIND DATE APPLICATION NO. DATE PATENT NO. ----------US 4810801 A 19890307 US 1987-103895 19871001 DE 3445669 A1 19860619 DE 1984-3445669 19841214 US 4710510 A 19871201 US 1985-807260 19851210 PΙ PRAI DE 1984-3445669 19841214 US 1985-807260 19851210 OS CASREACT 111:115180 L5 ANSWER 62 OF 62 MARPAT COPYRIGHT 2003 ACS on STN AN110:172892 MARPAT ΤI Process for the preparation of 3,3-diarylacrylamides as agrochemical fungicides IN Curtze, Juergen PAShell Internationale Research Maatschappij B. V., Neth. Eur. Pat. Appl., 10 pp. CODEN: EPXXDW DTPatent English LΑ

LA Englis

FAN.	CNT	1			
	PA	FENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	EP	294907	A1	19881214	EP 1988-201191 19880609
	ΕP	294907	B1	19940601	
		R: AT, BE,	CH, DE	, ES, FR, GE	B, GR, IT, LI, LU, NL, SE
	DE	3719488	A1	19881229	DE 1987-3719488 19870611
	US	4933449	A	19900612	US 1988-200856 19880601
	BR	8802830	A	19890103	BR 1988-2830 19880609
	JP	01025750	A2	19890127	JP 1988-140675 19880609
	JΡ	08022840	B4	19960306	
	CN	1038810	A	19900117	CN 1988-103469 19880609
	CN	1020727	В	19930519	
	ΑT	106397	E	19940615	AT 1988-201191 19880609
	ES	2053707	<b>T</b> 3	19940801	ES 1988-201191 19880609
PRAI	DE	1987-3719488	19870	611	
	ΕP	1988-201191	19880	609	

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FILE 'REGISTRY' ENTERED AT 07:55:37 ON 25 AUG 2003 L1 STRUCTURE UPLOADED

L2 282 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 07:56:36 ON 25 AUG 2003 S L1

FILE 'REGISTRY' ENTERED AT 07:56:42 ON 25 AUG 2003 L3 282 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 07:56:43 ON 25 AUG 2003 L4 0 S L3 SSS FULL

09541795.8 Page 37

FILE 'MARPAT' ENTERED AT 07:56:56 ON 25 AUG 2003 L5 62 S L2 SSS FULL

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FULL ESTIMATED COST 114.37 412.08

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FILE COVERS 1907 - 25 Aug 2003 VOL 139 ISS 9 FILE LAST UPDATED: 24 Aug 2003 (20030824/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L6 19 L2

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- L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2003:368903 CAPLUS
- DN 138:368609
- TI Preparation of phenyl sulfones or sulfoxides as telomerase inhibitors for antitumor agents
- IN Kanda, Hiroshi; Nakatsu, Rieko; Asai, Akiyoshi; Yamashita, Nobunori
- PA Kyowa Hakko Kogyo Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 10 pp. CODEN: JKXXAF
- DT Patent
- LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	JP 2003137861	A2	20030514	JP 2001-340850	20011106		
				JP 2001-340850	20011106		

OS MARPAT 138:368609

IT 524054-52-2P 524054-53-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of Ph sulfones or sulfoxides as telomerase inhibitors for

antitumor agents)

RN 524054-52-2 CAPLUS

CN 2-Propenamide, 3-[4-[(4-bromophenyl)sulfinyl]-3-nitrophenyl]-N-propyl-(9CI) (CA INDEX NAME)

RN 524054-53-3 CAPLUS

CN 2-Propenamide, 3-[4-[(4-bromophenyl)sulfinyl]-3-nitrophenyl]-N-hexyl-(9CI) (CA INDEX NAME)

$$CH = CH - C - NH - (CH2)5 - Me$$

Br

# IT 524054-61-3P 524054-62-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of Ph sulfones or sulfoxides as telomerase inhibitors for antitumor agents)

RN 524054-61-3 CAPLUS

CN 2-Propenamide, 3-[4-[(4-bromophenyl)thio]-3-nitrophenyl]-N-propyl- (9CI) (CA INDEX NAME)

RN 524054-62-4 CAPLUS

CN 2-Propenamide, 3-[4-[(4-bromophenyl)thio]-3-nitrophenyl]-N-hexyl- (9CI) (CA INDEX NAME)

8/25/2003>

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The compds. I [R1 = NO2, cyano, NH2, lower alkanoylamino, etc.; R2 = CR2a:CR2bCOR2c; R2a, R2b = H, (un)substituted lower alkyl; R2c = OH, (un)substituted lower alkoxy, amino, lower alkylamino, etc.; R3 = (un)substituted aryl; n = 1-2] or their pharmaceutically acceptable sats are prepd. A sulfide I (R1 = CH:CHCO2CMe3 at p-position, R2 = NO2 at m-position, R3 = p-MePh, n = 0) was treated with m-chloroperbenzoic acid in CH2Cl2-MeOH at room temp. for 1 h to give 81% I (R1 = CH:CHCO2CMe3 at p-position, R2 = NO2 at m-position, R3 = p-MePh, n = 1), showing good inhibitory activity against telomerase in human kidney cancer cell strain.

L6 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:348788 CAPLUS

DN 138:353993

TI Preparation of benzimidazole derivatives as prodrugs of proton pump inhibitors

IN Garst, Michael E.; Sachs, George; Shin, Jai Moo

KIND DATE

PA Regents of the University of California, USA; The United States Department of Veteran Affairs; Winston Pharmaceuticals, LLC

APPLICATION NO. DATE

SO U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 364,381, abandoned. CODEN: USXXAM

DT Patent

LA English

PATENT NO.

FAN.CNT 2

		<b></b>		
ΡI	US 6559167	B1	20030506	US 2001-783807 20010214
				US 1998-131481 A219980810
				US 1999-364381 B219990729
	US 6093734	А	20000725	US 1998-131481 19980810
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PAID	NI PAMILLI IN	IFORMATION.		
FAN	2000:133673	}		
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	WO 20000094	98 A1	20000224	WO 1999-US18048 19990809
	W: AE,	AL, AM, AT	AU, AZ,	BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
	CZ.	DE. DK. DM	I EE ES.	FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
	•			
	IN,	15, JP, KE	, NG, KP,	KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,

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		SL,	ТJ,	TM,	TR,	TT,								SE, AM,			
	RW:	GH, ES,	FI,	KE, FR,	LS, GB,	MW,	ΙE,	ΙT,	LU, NE,	MC, SN,	NL, TD,	PT, TG	SE,	CH, BF,	ВJ,		
	6093 2338			A Až	A	2000 2000	0725 0224		US CZ US	5 19 A 19 S 19	98-13 99-23 98-13	3148: 3383: 3148:	l l 1 l   A	1999 1998 1999 1998 1999	0810 0809 0810		
	9955 7522			A: B2	1	2000 2002			Αl	J 19	99-59	5518		1999	0809		
BR	9912	937		A		2001	0508		US WC BF US	5 19 0 19 R 19 5 19	99-36 99-US 99-12 98-13	5438: 51804 2937 3148:	1 A 48W 1 A	1998 1999 1999 1998	0729 0809 0809 0810		
	1105 1105 R:	387 AT,		B: CH,	DE,	2001 2003 DK, FI,	0129 ES,	FR,	W(	) 19 P 19	99-US 99-94	51804 42057	18W 7	1999 1999 1999 NL,	0809 0809	MC,	PT,
NZ	5101			·		2002			US WC N2 US	5 19 D 19 Z 19 S 19	99-36 99-US 99-51 98-11	5438: 51804 1018: 3148:	1 A 48W O 1 A	1998 1999 1999 1998	0729 0809 0809 0810		
TA	2318	57		E		2003	0215		WC CA SU	19 [ 19 [ 19	99-US 99-94 98-13	51804 4205 3148	48W 7 1 A	1999 1999 1998	0809 0809 0810		
BG	1051	91		А		2001	1231		WC BC US	19 3 20 5 19	99-US 01-10 98-13	51804 0519: 3148:	48W 1 1 A	1999 1999 2001 1998	0809 0126 0810		
FI	2001	0002	48	A		2001	0209		WC F1 US	19 1 20 5 19	99-US 01-24 98-13	S1804 48 3148:	48W 1 A	1999 1999 2001 1998 1999	0809 0209 0810		
NO	2001	0006	93	A		2001	0305		WC NC US	0 19 0 20 5 19	99-US 01-69 98-13	51804 93 3148:	48W 1 A	1999 2001 1998 1999	0809 0209 0810		
HR	2001	0001	06	A	1	2002	0228		WC HF US	19 R 20 S 19	99-US 01-10 98-13	51804 06 3148:	48W 1 A	1999 2001 1998 1999	0809 0209 0810		
MAF	RPAT	138::	3539:	93										1999			

OS MARPAT 138:353993

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

IT 519182-92-4P 519182-93-5P 519182-94-6P 519182-95-7P

(Uses)

(prepn. of benzimidazole derivs. as prodrugs of proton pump inhibitors)

RN 519182-92-4 CAPLUS

CN 2-Propenamide, 3-[4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 519182-93-5 CAPLUS

CN 2-Propenamide, 3-[4-[[6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 519182-94-6 CAPLUS

CN 2-Propenamide, 3-[4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 519182-95-7 CAPLUS

CN 2-Propenamide, 3-[4-[[6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

GI

The title compds. Het1XSOHet2 [I; Het1 = II; X = CHR10; Het2 = III; R1-R3 = H, alkyl, fluoroalkyl, etc.; R6-R9 = H, alkyl, haloalkyl, etc.; R10 = H, alkyl; R15 = SO2R21(R17); R17 = alkyl, haloalkyl, alkoxy, etc.; R21 = (un)substituted aralkyl, heteroarylalkyl] which are prodrugs of the pyridyl Me sulfinyl benzimidazole type proton pump inhibitor drugs having a hydrolyzable arylsulfonyl or heteroarylsulfonyl group attached to the benzimidazole nitrogen, were prepd. Thus, reacting 2-({[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl}sulfinyl)-1H-benzimidazole with pyridine-3-sulfonyl chloride in the presence of Et3N in CH2C12 afforded the title compd. IV. The prodrugs I hydrolyze under physiol. conditions to provide the proton pump inhibitors with a half life measurable in hours, and are capable of providing sustained plasma concns. of the proton pump inhibitor drugs for longer time than presently used drugs. The

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09541795.8 Page 43

invention (I) under physiol. conditions allows for more effective treatment of several diseases and conditions caused by gastric acid secretion (e.q., ulcers). Biol. data for compds. I were given. THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 47 ALL CITATIONS AVAILABLE IN THE RE FORMAT L6 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN ΑN 2002:293978 CAPLUS DN 136:337341 TI Materials and methods to modulate ligand binding/enzymic activity of .alpha./.beta. proteins containing an allosteric regulatory site IN Stauton, Donald E. PA Icos Corporation, USA PCT Int. Appl., 163 pp. SO CODEN: PIXXD2 DT Patent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ---------\_\_\_\_\_ -----A2 A3 PΙ WO 2002031511 20020418 WO 2001-US32047 20011012 WO 2002031511 20030313 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2000-239750PP 20001012 AU 2002013196 Α5 20020422 AU 2002-13196 US 2000-239750PP 20001012 WO 2001-US32047W 20011012 US 2003088061 Α1 20030508 US 2001-976935 20011012 US 2000-239750PP 20001012 EP 1325341 A2 20030709 EP 2001-981560 20011012 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2000-239750PP 20001012 WO 2001-US32047W 20011012 ΙT 415717-88-3 415718-54-6 RL: BSU (Biological study, unclassified); BIOL (Biological study) (materials and methods to modulate ligand binding/enzymic activity of .alpha./.beta. proteins contg. allosteric regulatory site) RN 415717-88-3 CAPLUS CN 2-Propenamide, 3-[2,3-dichloro-4-[[3-[4-(1-pyrrolidinyl)-1piperidinyl]phenyl]thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

generation of the proton pump inhibitor drugs from the prodrugs of the

RN 415718-54-6 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(1-methyl-1H-indol-5-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

AB Methods of modulating binding between an .alpha./.beta. protein and a binding partner are provided, along with methods of identifying modulators and their use. The methods comprise contacting the .alpha./.beta. protein with an allosteric effector mol. which binds to an allosteric site of the .alpha./.beta. protein and alters the conformation of the .alpha./.beta. protein such that the binding of the .alpha./.beta. protein to a binding partner is modulated. Thus, a primary screen for inhibitors of the classical pathway complement protein C2 and alternative pathway complement protein factor B involving modifications of std. hemolytic CH50 and AH50 assays in a microtiter plate format was carried out. Lead compds. identified in this screen were submitted to a second screening using purified complement proteins to det. which stage of complement activation the compds. inhibited. Five diaryl sulfides were identified. Numerous other assays, e.g., to identify inhibitors of integrin .alpha.E.beta.y interaction with E cadherin, inhibitors of Rac1 GDP-GTP exchange, or antagonists of E. coli 6-hydroxymethyl-7,8-dihydropterin pyrophosphokinase, were conducted as well.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:850646 CAPLUS

DN 135:371527

TI Preparation of bisacylguanidine with cardioprotective activity

IN Gericke, Rolf; Beier, Norbert

PA Merck Patent G.m.b.H., Germany

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO. D	ATE
ΡI	DE 10024319	A1	20011122	DE 2000-10024319 2	0000517
	WO 2001087829	A1	20011122	WO 2001-EP4425 2	0010419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

OS CASREACT 135:371527; MARPAT 135:371527

IT 374681-65-9P 374681-67-1P 374681-68-2P 374681-70-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cardioprotective bisacylguanidines that work as inhibitors of the cellular Na+/H+ antiporters)

RN 374681-65-9 CAPLUS

CN 2-Propenamide, N-(aminoiminomethyl)-3-[2-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]thio]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

### •2 HCl

RN 374681-67-1 CAPLUS

CN 2-Propenamide, N-(aminoiminomethyl)-3-[2-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]sulfonyl]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

2 HCl

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Page 46

RN 374681-68-2 CAPLUS

CN 2-Propenamide, N-(aminoiminomethyl)-3-[3-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]sulfonyl]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

# •2 HCl

RN 374681-70-6 CAPLUS

CN 2-Propenamide, N-(aminoiminomethyl)-3-[3-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]thio]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

GΙ

Ι

$$H_2N$$
 $NH_2$ 
 $CH_2-CH_2$ 
 $NH_2$ 
 $NH_2$ 

AB Bisacylguanidines I [one of R1, R2, R3, R4 or R5 = CON:C(NH2)2, CH:CMeCON:C(NH2)2 and one of R6, R7, R8, R9 or R10 = CON:C(NH2)2, CH:CMeCON:C(NH2)2; the other R1 - R10 = H, A, CH, F, Cl, Br, I, SA, OA, SO2A, OH, NH2, NHA, NA2, COA, (un)substituted Ph, CH2Ph, OPh, N-, S-, O-contg. heterocycle; X = S, SO2, (CH2)n, CO,O, OCH2; A = C1-8-alkyl; n = 1 - 3] and their physiol. harmless salts and/or solvates, with cardioprotective characteristics and works as inhibitors of the cellular Na+/H+ antiporters of the Subtyp 1 are described. Thus, N-{3-[2-(3-guanidinocarbonylphenyl)ethyl]benzoyl}guanidine dihydrochloride (II.cntdot.HCl), was prepd. from 3-[2-(3-carboxyphenyl)ethyl]benzoic acid and Boc-guanidine in 1-methyl-2-pyrrolidone contg. 2-chloro-1-methylpyridinium iodide and Et2NCHMe2, followed by hydrolysis with aq. HCl. Formulations for use in injections, suppositories, solns., tablets, capsules and ampules are given.

- L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2001:555592 CAPLUS
- DN 135:282681
- TI Discovery of Potent Antagonists of Leukocyte Function-Associated Antigen-1/Intercellular Adhesion Molecule-1 Interaction. 3. Amide (C-Ring) Structure-Activity Relationship and Improvement of Overall Properties of Arylthio Cinnamides
- AU Pei, Zhonghua; Xin, Zhili; Liu, Gang; Li, Yihong; Reilly, Edward B.; Lubbers, Nathan L.; Huth, Jeffery R.; Link, James T.; von Geldern, Thomas W.; Cox, Bryan F.; Leitza, Sandra; Gao, Yi; Marsh, Kennan C.; DeVries, Peter; Okasinski, Greg F.
- CS Departments of Metabolic Disease Research Integrative Pharmacology Advanced Technology and Drug Analysis Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064, USA
- SO Journal of Medicinal Chemistry (2001), 44(18), 2913-2920 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- IT 280748-73-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (discovery of potent antagonists of LFA-1/ICAM-1 interaction. 3. amide SAR and improvement of overall properties of arylthic cinnamides)

RN 280748-73-4 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

AB The interaction of LFA-1 and ICAM-1 plays an important role in the cell adhesion process. On the basis of previously reported SAR and structural information on the binding of our p-arylthiocinnamide series to LFA-1, we have identified the cyclic amide (C-ring) as a site for modification. Improvement in potency and, more importantly, in the phys. properties and pharmacokinetic profiles of the leading compds. resulted from this modification. One of the best compds. (11f) is also shown to reduce myocardial infarct size in rat.

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:736318 CAPLUS

DN 134:25112

TI Discovery of Novel p-Arylthio Cinnamides as Antagonists of Leukocyte Function-Associated Antigen-1/Intracellular Adhesion Molecule-1 Interaction. 1. Identification of an Additional Binding Pocket Based on an Anilino Diaryl Sulfide Lead

AU Liu, Gang; Link, J. T.; Pei, Zhonghua; Reilly, Edward B.; Leitza, Sandra; Nguyen, Bach; Marsh, Kennan C.; Okasinski, Gregory F.; von Geldern, Thomas W.; Ormes, Mark

CS Metabolic Disease Research and Drug Analysis Department Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA

SO Journal of Medicinal Chemistry (2000), 43(21), 4025-4040 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

IT 280748-70-1P 280748-71-2P 280748-72-3P 280749-00-0P 280749-30-6P 280752-58-1P 311808-38-5P 311808-39-6P 311808-43-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

09541795.8

Page 49

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of arylthio cinnamides as antagonists of leukocyte function-assocd. antigen-1/ICAM-1 interaction)

RN 280748-70-1 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-(2-hydroxyethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-71-2 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-(6-hydroxyhexyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

HO (CH<sub>2</sub>) 
$$\stackrel{H}{\circ}$$
  $\stackrel{E}{\circ}$   $\stackrel{C1}{\circ}$   $\stackrel{C1}{\circ}$   $\stackrel{C1}{\circ}$   $\stackrel{C1}{\circ}$   $\stackrel{C1}{\circ}$ 

RN 280748-72-3 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N,N-bis(2-hydroxyethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-00-0 CAPLUS

CN 2-Propenamide, 3-[4-[(2,4-dichlorophenyl)thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c} C1 \\ \\ NO_2 \\ \end{array}$$

RN 280749-30-6 CAPLUS

CN 2-Propenamide, 3-[3-bromo-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280752-58-1 CAPLUS

CN 2-Propenamide, 3-[3-amino-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 311808-38-5 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(1H-imidazol-1-yl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c}
 & C1 \\
 & C1 \\
 & C1
\end{array}$$

$$\begin{array}{c|c}
 & C1 \\
 & C1
\end{array}$$

$$\begin{array}{c|c}
 & C1
\end{array}$$

RN 311808-39-6 CAPLUS

CN 2-Propenamide, 3-[4-[(2,4-dichlorophenyl)thio]-3-[(1E)-3-(4-morpholinyl)-3-oxo-1-propenyl]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 311808-43-2 CAPLUS

CN 2-Propenamide, 3-[4-[(2,4-dichlorophenyl)thio]-3-[[3-(4-morpholinyl)propyl]amino]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

GΙ

AB The interaction between leukocyte function-assocd. antigen-1 (LFA-1), a member of the .beta.2-integrin family of adhesion mols., and intracellular adhesion mol. ICAM-1 (cd54) is thought to play a crit. role in the inflammatory process. On the basis of an anilino diaryl sulfide screening lead, in combination with pharmacophore anal. of other screening hits, we have identified an adjacent binding pocket. Subsequently, a p-ethenylcarbonyl linker was discovered to be optimal for accessing this binding site. Soln.-phase parallel synthesis enabled rapid optimization of the cinnamides for this pocket. In conjunction with fine-tuning of the diaryl substituents, we discovered a novel series of potent, nonpeptide inhibitors of LFA-1/ICAM-1 interaction, exemplified by A-286982 (I), which has IC50 values of 44 and 35 nM in an LFA-1/ICAM-1 binding assay and LFA-1-mediated cellular adhesion assay, resp.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:725609 CAPLUS

DN 133:296281

TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds

IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn, Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae, Hwan-soo; Lynch, John K.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 476 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ---------\_\_\_\_\_ 20001012 PΙ WO 2000059880 A1 WO 2000-US8895 20000403 SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 1999-286645 A 19990402 US 1999-474517 A 19991229 US 2000-541795 A 20000331 EP 1165505 Α1 20020102 EP 2000-921654 20000403 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

		IE, SI, I	л, I	V, FI, RO				
					US	1999-286645	Α	19990402
					US	1999-474517	Α	19991229
					WO	2000-US8895	W	20000403
	BR	2000009426	Α	20020409	BR	2000-9426		20000403
					US	1999-286645	Α	19990402
					US	1999-474517	Α	19991229
					US	2000-541795	Α	20000331
					WO	2000-US8895	W	20000403
	ΕE	200100513	Α	20021216	EE	2001-513		20000403
					US	1999-286645	Α	19990402
					US	1999-474517	Α	19991229
					US	2000-541795	Α	20000331
					WO	2000-US8895	W	20000403
	NO	2001004767	Α	20011130	NO	2001-4767		20011001
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					US	1999-474517	Α	19991229
					WO	2000-US8895	W	20000403
	BG	106029	Α	20020531	BG	2001-106029		20011018
					US	1999-286645	Α	19990402
					US	1999-474517	Α	19991229
					US	2000-541795	Α	20000331
					WO	2000-US8895	W	20000403
F	HR	2001000776	A1	20021231	HR	2001-776		20011023
					US			19990402
					US	1999-474517	A	19991229
					US	2000-541795	A	20000331
					WO	2000-US8895	W	20000403

OS MARPAT 133:296281

## IT 280749-00-0P 280749-79-3P 301218-47-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 280749-00-0 CAPLUS

CN 2-Propenamide, 3-[4-[(2,4-dichlorophenyl)thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Patel

RN 280749-79-3 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-[(2S)-2-(hydroxymethyl)-5-oxo-1-pyrrolidinyl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 301218-47-3 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-2(or 3)-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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 $D1-CH_2-OH$ 

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IT
     280748-70-1P 280748-71-2P 280748-72-3P
     280748-73-4P 280748-95-0P 280748-97-2P
     280748-98-3P 280749-30-6P 280749-44-2P
     280749-45-3P 280749-46-4P 280749-47-5P
     280749-80-6P 280749-81-7P 280749-92-0P
     280749-93-1P 280750-23-4P 280750-26-7P
     280750-53-0P 280750-60-9P 280750-64-3P
     280750-97-2P 280751-02-2P 280751-38-4P
     280751-43-1P 280751-49-7P 280751-70-4P
     280751-75-9P 280751-87-3P 280751-93-1P
     280752-43-4P 280752-44-5P 280752-71-8P
     301178-48-3P 301178-95-0P 301178-98-3P
     301179-03-3P 301179-17-9P 301179-34-0P
     301179-35-1P 301179-55-5P 301179-56-6P
     301179-57-7P 301179-58-8P 301179-62-4P
     301179-63-5P 301218-22-4P 301218-84-8P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by
        coupling of thiophenols with halobenzaldehydes, conversion to cinnamic
        acids, amidation, and optional derivatization)
RN
     280748-70-1 CAPLUS
CN
     2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-(2-
    hydroxyethyl) -, (2E) - (9CI) (CA INDEX NAME)
```

Double bond geometry as shown.

RN 280748-71-2 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-(6hydroxyhexyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

HO (CH<sub>2</sub>) 
$$\stackrel{H}{_{0}}$$
  $\stackrel{E}{_{0}}$   $\stackrel{C1}{_{0}}$   $\stackrel{C1}{_{0}}$   $\stackrel{C1}{_{0}}$ 

RN 280748-72-3 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N,N-bis(2-hydroxyethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-73-4 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-95-0 CAPLUS

CN 2-Propenamide, 3-[4-[(2-methylphenyl)thio]-3-(trifluoromethyl)phenyl]-N-[2-(4-morpholinyl)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280748-97-2 CAPLUS

CN 2-Propenamide, 3-[4-[(2-methylphenyl)thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-98-3 CAPLUS

CN 2-Propenamide, N-cyclopropyl-3-[4-[(2-methylphenyl)thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280749-30-6 CAPLUS

CN 2-Propenamide, 3-[3-bromo-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-44-2 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280749-45-3 CAPLUS

CN 2-Propenamide, N-cyclobutyl-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-46-4 CAPLUS

CN 2-Propenamide, N-cyclopentyl-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-47-5 CAPLUS

CN 2-Propenamide, N-(5-hydroxypentyl)-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

HO (CH<sub>2</sub>) 
$$\stackrel{H}{_{5}}$$
  $\stackrel{CF_{3}}{\overset{i-Pr}{_{0}}}$ 

RN 280749-80-6 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-81-7 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-methyl-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-92-0 CAPLUS

CN 2-Propenamide, N-[3-[(2S)-2-[(acetyloxy)methyl]-5-oxo-1-pyrrolidinyl]propyl]-3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-, (2E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 280749-93-1 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-[(2S)-2-(methoxymethyl)-5-oxo-1-pyrrolidinyl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 280750-23-4 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[(2E)-3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-1-oxo-2-propenyl]amino]-4-hydroxy-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 280750-26-7 CAPLUS

CN 2-Propenamide, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280750-53-0 CAPLUS

CN Glycine, N-[(2E)-3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-1-oxo-2-propenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280750-60-9 CAPLUS

CN 2-Propenamide, 3-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280750-64-3 CAPLUS

CN 2-Propenamide, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-N-(6-methyl-2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280750-97-2 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-N-[3-(2-

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oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-02-2 CAPLUS

CN 2-Propenamide, 3-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 280751-38-4 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-N-1-piperidinyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-43-1 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(1-methyl-1H-indol-5-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-49-7 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-70-4 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
& & & \\
& & & \\
N & & \\
CH_2) & & \\
\end{array}$$

$$\begin{array}{c}
H & & E \\
\hline
C1 & & \\
\end{array}$$

RN 280751-75-9 CAPLUS

CN 2-Propenamide, N-1H-indol-5-yl-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-87-3 CAPLUS

CN 2-Propenamide, 3-[2,3-dichloro-4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 280751-93-1 CAPLUS

CN 2-Propenamide, 3-[2,3-dichloro-4-[[2-(1-methylethyl)phenyl]thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280752-43-4 CAPLUS

CN Benzenesulfonic acid, 4-[[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280752-44-5 CAPLUS

CN Benzoic acid, 4-[[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

RN 280752-71-8 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-3-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 301178-48-3 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-[(4R)-4-(hydroxymethyl)-2-oxo-1-pyrrolidinyl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 301178-95-0 CAPLUS

CN Benzenesulfonic acid, 4-[[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]amino]-, trifluoroacetate (20:13) (9CI) (CA INDEX NAME)

CM 1

CRN 280752-43-4

CMF C22 H17 C12 N O5 S2

Double bond geometry as shown.

Patel

8/25/2003>

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 301178-98-3 CAPLUS

CN Glycine, N-[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]-N-phenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-03-3 CAPLUS

CN 2-Propenamide, 3-[2,3-dichloro-4-[[3-[4-(1-pyrrolidinyl)-1-piperidinyl]phenyl]thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)-(9CI) (CA INDEX NAME)

09541795.8

Page 71

PAGE 1-A

$$C1$$
 $E$ 
 $N$ 
 $C1$ 
 $E$ 
 $N$ 
 $CH_2)_3$ 

PAGE 1-B

$$-N$$

RN 301179-17-9 CAPLUS

CN Benzoic acid, 4-[[[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-34-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[2,3-dichloro-4-[(1E)-3-(diethylamino)-3-oxo-1-propenyl]phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-35-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[2,3-dichloro-4-[(1E)-3-(diethylamino)-3-oxo-1-propenyl]phenyl]thio]phenyl]-, trifluoroacetate (5:1) (9CI) (CA INDEX NAME)

CM 1

CRN 301179-34-0

CMF C25 H28 Cl2 N2 O3 S

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 301179-55-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[4-[(1E)-3-[bis(2-ethoxyethyl)amino]-3-oxo-1-propenyl]-2,3-bis(trifluoromethyl)phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

09541795.8

Page 73

RN 301179-56-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[4-[(1E)-3-[bis(2-ethoxyethyl)amino]-3-oxo-1-propenyl]-2,3-bis(trifluoromethyl)phenyl]thio]phenyl]-, trifluoroacetate (10:7) (9CI) (CA INDEX NAME)

CM 1

CRN 301179-55-5

CMF C31 H36 F6 N2 O5 S

Double bond geometry as shown.

$$F_3$$
C  $F_3$ C

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 301179-57-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[4-[(1E)-3-[bis(2-hydroxypropyl)amino]-3-oxo-1-propenyl]-2,3-bis(trifluoromethyl)phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Patel

$$_{\rm F_3C}$$
  $_{\rm CF_3}$   $_{\rm OH}$   $_{\rm Me}$   $_{\rm OH}$ 

RN 301179-58-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[4-[(1E)-3-[bis(2-hydroxypropyl)amino]-3-oxo-1-propenyl]-2,3-bis(trifluoromethyl)phenyl]thio]phenyl]-, trifluoroacetate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 301179-57-7

CMF C29 H32 F6 N2 O5 S

Double bond geometry as shown.

$$F_3$$
C  $F_3$ C  $F_3$ C  $OH$   $OH$   $OH$   $OH$   $OH$ 

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 301179-62-4 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[[2,3-dichloro-4-[(1E)-3-oxo-3-[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]-1-propenyl]phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-63-5 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[[4-[(1E)-3-oxo-3-[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]-1-propenyl]-2,3-bis(trifluoromethyl)phenyl]thio phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301218-22-4 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-2(or 3)-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

N— 
$$(CH_2)_3$$
 —  $NH$ —  $C$ —  $CH$ —  $CH$ —  $NO_2$ 

D1-CH2-OH

RN 301218-84-8 CAPLUS

CN 2-Propenamide, 3-[4-[[2(or 3)-(aminomethyl)-2,3-dihydro-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

 $D1-CH_2-NH_2$ 

## IT 280752-58-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of (phenylthio)cinnamides as cell adhesion inhibitors by
coupling of thiophenols with halobenzaldehydes, conversion to cinnamic
acids, amidation, and optional derivatization)

RN 280752-58-1 CAPLUS

CN 2-Propenamide, 3-[3-amino-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ S \\ NH_2 \end{array}$$

$$\begin{array}{c} C1 \\ C1 \\ NH_2 \\ C1 \\ C1 \\ N \end{array}$$

## IT 301179-89-5P 301219-93-2P 301220-38-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 301179-89-5 CAPLUS

CN 2-Propenamide, 3-[4-[(3-bromophenyl)thio]-2,3-dichlorophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301219-93-2 CAPLUS

Patel

CN 2-Propenamide, 3-[4-[[2(or 3)-(azidomethyl)-2,3-dihydro-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

 $D1 - CH_2 - N_3$ 

RN 301220-38-2 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-2(or 3)-[[(methylsulfonyl)oxy]methyl]-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

N— 
$$(CH_2)_3$$
—  $NH$ —  $C$ —  $CH$ —  $CH$ —  $CH$ 

GI

Ar 
$$S$$
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 
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 $R^{5}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{7}$ 

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepd. as cell adhesion inhibitors for the treatment of inflammatory and immune

8/25/2003>

Patel

diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixt. of 2-[(2,4-dichlorophenyl)thio]benzaldehyde (prepn. given), malonic acid, piperidine in anhyd. pyridine was heated at 110.degree.C for 2 h and then treated with aq. HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 .mu.M. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 .mu.M and 0.6 .mu.M, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN
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DN 133:89514

TI Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds

IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin;
Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong;
Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 400 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PAN.			KIND DATE	APPLICATION NO. DATE
ΡI			A2 200007 A3 200105	06 WO 1999-US31162 19991229
		W: AE, AL,	AM, AT, AU, A	Z, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
				G, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
				P, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
				I, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
				T, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
			KZ, MD, RU, T	
		RW: GH, GM,	KE, LS, MW, S	O, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
				R, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
		CG, CI,	CM, GA, GN, G	I, ML, MR, NE, SN, TD, TG
				US 1998-222491 A 19981229
	US	6110922	A 200008	9 US 1998-222491 19981229
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				US 1998-222491 A 19981229
				WO 1999-US31162W 19991229
	ΕP	1140814	A2 200110	.0 EP 1999-966709 19991229
		R: AT, BE,	CH, DE, DK, E	S, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
		IE, SI,	LT, LV, FI, R	US 1998-222491 A 19981229 J. Mc Kere WO 1999-US31162W 19991229
				US 1998-222491 A 19981229 J. Mc Kure
				WO 1999-US31162W 19991229
	JP	2002533434	T2 200210	98 JP 2000-590994 19991229
				US 1998-222491 A 19981229
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	EΕ	200100355	A 200210	.5 EE 2001-355 19991229
				US 1998-222491 A 19981229
				WO 1999-US31162W 19991229
	ИО	2001003241	A 200108	8 NO 2001-3241 20010628

AN 2000:457022 CAPLUS

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                                           HR 2001-512
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                                           US 1998-222491 A 19981229
                                           WO 1999-US31162W 19991229
    BG 105732
                       Α
                            20020228
                                           BG 2001-105732
                                                             20010725
                                           US 1998-222491 A 19981229
                                           WO 1999-US31162W 19991229
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    MARPAT 133:89514
ΙT
    280748-70-1P 280748-71-2P 280748-72-3P
     280748-73-4P 280748-95-0P 280748-97-2P
     280748-98-3P 280749-00-0P 280749-30-6P
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     280749-47-5P 280749-79-3P 280749-80-6P
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     280750-97-2P 280751-02-2P 280751-38-4P
     280751-43-1P 280751-49-7P 280751-60-2P
     280751-61-3P 280751-62-4P 280751-64-6P
     280751-70-4P 280751-75-9P 280751-87-3P
     280751-93-1P 280752-43-4P 280752-44-5P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (prepn. and antiinflammatory, immune suppressant and cell adhesion
        inhibiting activity)
RN
     280748-70-1 CAPLUS
CN
     2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-(2-
    hydroxyethyl) -, (2E) - (9CI) (CA INDEX NAME)
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Double bond geometry as shown.

$$\begin{array}{c|c} & & & & \\ & &$$

RN 280748-71-2 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-(6-hydroxyhexyl)-, (2E)- (9CI) (CA INDEX NAME)

HO (CH<sub>2</sub>) 
$$\stackrel{\text{H}}{_{0}}$$
  $\stackrel{\text{E}}{_{0}}$   $\stackrel{\text{Cl}}{_{0}}$ 

RN 280748-72-3 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N,N-bis(2-hydroxyethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-73-4 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-95-0 CAPLUS

CN 2-Propenamide, 3-[4-[(2-methylphenyl)thio]-3-(trifluoromethyl)phenyl]-N-[2-(4-morpholinyl)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Patel

RN 280748-97-2 CAPLUS

CN 2-Propenamide, 3-[4-[(2-methylphenyl)thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-98-3 CAPLUS

CN 2-Propenamide, N-cyclopropyl-3-[4-[(2-methylphenyl)thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280749-00-0 CAPLUS

CN 2-Propenamide, 3-[4-[(2,4-dichlorophenyl)thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-30-6 CAPLUS

CN 2-Propenamide, 3-[3-bromo-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Patel

RN 280749-44-2 CAPLUS
CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI)
(CA INDEX NAME)

Double bond geometry as shown.

RN 280749-45-3 CAPLUS
CN 2-Propenamide, N-cyclobutyl-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280749-46-4 CAPLUS

CN 2-Propenamide, N-cyclopentyl-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-47-5 CAPLUS

CN 2-Propenamide, N-(5-hydroxypentyl)-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 280749-79-3 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-[(2S)-2-(hydroxymethyl)-5-oxo-1-pyrrolidinyl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 280749-80-6 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-81-7 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-methyl-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-92-0 CAPLUS

CN 2-Propenamide, N-[3-[(2S)-2-[(acetyloxy)methyl]-5-oxo-1-pyrrolidinyl]propyl]-3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-, (2E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 280749-93-1 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-[(2S)-2-(methoxymethyl)-5-oxo-1-pyrrolidinyl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 280749-94-2 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-[(2R)-2-(hydroxymethyl)-5-oxo-1-pyrrolidinyl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 280750-23-4 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[(2E)-3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-1-oxo-2-propenyl]amino]-4-hydroxy-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280750-26-7 CAPLUS

CN 2-Propenamide, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280750-53-0 CAPLUS

CN Glycine, N-[(2E)-3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-1-oxo-2-propenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280750-60-9 CAPLUS

CN 2-Propenamide, 3-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280750-64-3 CAPLUS

CN 2-Propenamide, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-N-(6-methyl-2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Patel

Double bond geometry as shown.

RN 280750-97-2 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-02-2 CAPLUS

CN 2-Propenamide, 3-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-38-4 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-N-1-piperidinyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-43-1 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(1-methyl-1H-indol-5-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 280751-49-7 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-60-2 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-2-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-61-3 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-2-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-62-4 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-8-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-64-6 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(aminomethyl)-2,3-dihydro-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-70-4 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-75-9 CAPLUS

CN 2-Propenamide, N-1H-indol-5-yl-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280751-87-3 CAPLUS

CN 2-Propenamide, 3-[2,3-dichloro-4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c}
 & H & E \\
\hline
 & C1 & O
\end{array}$$

RN 280751-93-1 CAPLUS

CN 2-Propenamide, 3-[2,3-dichloro-4-[[2-(1-methylethyl)phenyl]thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280752-43-4 CAPLUS

CN Benzenesulfonic acid, 4-[[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

RN 280752-44-5 CAPLUS

CN Benzoic acid, 4-[[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 280752-58-1P 280752-94-5P 280752-95-6P 280753-32-4P 280753-33-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-(hetaryl) (arylthio) cinnamamides with antiinflammatory, immune suppressant and cell adhesion inhibiting activity)

RN 280752-58-1 CAPLUS

CN 2-Propenamide, 3-[3-amino-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ C1 \\ NH_2 \\ C1 \\ C1 \\ N \end{array}$$

RN 280752-94-5 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-2-[[(methylsulfonyl)oxy]methyl]-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

\_\_Me

RN 280752-95-6 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(azidomethyl)-2,3-dihydro-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280753-32-4 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-3-[[(methylsulfonyl)oxy]methyl]-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

∕\_Me

RN 280753-33-5 CAPLUS

CN 2-Propenamide, 3-[4-[[3-(azidomethyl)-2,3-dihydro-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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IT 280752-53-6P 280752-71-8P 280753-24-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of N-(hetaryl) (arylthio)cinnamamides with antiinflammatory, immune suppressant and cell adhesion inhibiting activity)

RN 280752-53-6 CAPLUS

CN 2-Propenamide, 3-[4-[[3-(aminomethyl)-2,3-dihydro-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280752-71-8 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-3-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 280753-24-4 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-3-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

The present invention relates to novel cinnamide compds. that are useful for treating inflammatory and immune diseases, to pharmaceutical compns. contg. these compds., and to methods of inhibiting inflammation or suppressing immune response in a mammal. Among the approx. 400 trans-arylthiocinnamamide title compds., prepd. by std. methods, were 6-benzodioxanyl 2-trifluoromethyl-4-[(E)-2-[3-(R)-(ethoxycarbonyl)piperidinocarbonyl]ethenyl]phenyl sulfide (I), 2-ethoxyphenyl 2-trifluoromethyl-4-[(E)-2-[2-carboxy-4-(methoxycarbonyl)-1-piperazinylcarbonyl]ethenyl]phenyl sulfide (II) and 2-isopropylphenyl 2-nitro-4-[(E)-2-[3-(2-oxo-1-pyrrolidinyl)-1-propylaminocarbonyl]ethenyl]phenyl sulfide (III). The abilities of the title compds. to antagonize the interaction between ICAM-1 and LFA-1 were quantified using both biochem. and cell-based adhesion assays. E.g., compds. I-III exhibited 98% inhibition @ 4.mu.M.

L6 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN AN 2000:94009 CAPLUS

- DN 132:237235
- TI Synthesis and estrogenic activities of novel 7-thiosubstituted estratriene derivatives
- AU Miller, Chris P.; Jirkovsky, Ivo; Tran, Bach D.; Harris, Heather A.; Moran, Robert A.; Komm, Barry S.
- CS Chemical Sciences, Wyeth-Ayerst Research, Radnor, PA, 19087, USA
- SO Bioorganic & Medicinal Chemistry Letters (2000), 10(2), 147-151 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- IT 223660-12-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and estrogenic receptor binding activities of 7-thiosubstituted estratrienes)

- RN 223660-12-6 CAPLUS
- CN 2-Propenamide, 3-[4-[[(7.alpha.,17.beta.)-3,17-dihydroxyestra-1,3,5(10)-trien-7-yl]thio]phenyl]-N,N-dimethyl-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

- AB A diastereomerically pure series of 7.alpha.-thioestratrienes was prepd. and evaluated for its affinity for both the human estrogen receptor .alpha. and the more recently discovered estrogen receptor .beta.. The functional estrogenic activities of the compds. were measured in a MCF-7 ERE-tk-luciferase assay. The activities and selectivities of the compds. were sensitive to the nature of the thioether side chain.
- RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

```
ΑN
    1999:282234 CAPLUS
DN
    130:311975
    synthesis and estrogen receptor binding activity of estra-1,3,5(10)-triene-
ΤI
    7.alpha.-thioethers
    Miller, Christopher Paul; Jirkovsky, Ivo; Tran, Bach Dinh
IN
    American Home Products Corporation, USA
PΑ
SO
    PCT Int. Appl., 63 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 1
    PATENT NO.
                    KIND DATE
                                        APPLICATION NO. DATE
                    ----
                                          ______
PΤ
    WO 9920646 A1 19990429
                                        WO 1998-US22283 19981021
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
            KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
            NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
            UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 1997-92119P P 19971023
                                          US 1997-956509 A 19971023
    US 6355630
                      В1
                           20020312
                                          US 1998-170355 19981013
                                          US 1997-92119P P 19971023
                                          US 1997-956509 A 19971023
                           19990429
                                          CA 1998-2308773 19981021
    CA 2308773
                      AA
                                          US 1997-956509 A 19971023
                                          WO 1998-US22283W 19981021
    AU 9911106
                      A1
                           19990510
                                          AU 1999-11106
                                                          19981021
                                          US 1997-956509 A 19971023
                                          WO 1998-US22283W 19981021
                           20000809
                                          EP 1998-953837 19981021
                      A1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
            SI, LT, LV, FI, RO
                                          US 1997-956509 A 19971023
                                          WO 1998-US22283W 19981021
    JP 2001520235
                      T2
                           20011030
                                          JP 2000-516987 19981021
                                          US 1997-956509 A 19971023
                                          WO 1998-US22283W 19981021
ΙT
     223660-12-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (synthesis and estrogen receptor binding activity of
        estra-1,3,5(10)-triene-7.alpha.-thioethers)
     223660-12-6 CAPLUS
RN
CN
     2-Propenamide, 3-[4-[[(7.alpha.,17.beta.)-3,17-dihydroxyestra-1,3,5(10)-
     trien-7-yl]thio]phenyl]-N,N-dimethyl-, (2E)- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry. Double bond geometry as shown.

GΙ

AB Synthesis of estrogens and antiestrogens (I) [ R1 = .beta. (un)substituted hydroxy, =0; R2 = substituted phenyl; R3 = =0, 2H, .alpha.-OH; R4 = (un)substituted hydroxy] or a pharmaceutically acceptable salt thereof are described. Thus, I (R1 = .beta.-OH, R2 = 4-HO-C6H4, R3 = =0, R4 = OH) (II) is prepd. by reacting 3,17.beta.-diacetoxy-7.alpha.-bromo-estra-1,3,5(10)-trien-6-one with 4-HO-C6H4-SH followed by acetate hydrolysis to the desired diol. II shows an IC50 of 2.5 in estrogen receptor binding assay. Tabulations for I are given.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

Ι

AN 1997:192042 CAPLUS

DN 126:185882

TI Substituted cinnamic acid guanidides, process for their preparation, their use as cardiovascular medicament or diagnostic agent, as well as medicament containing them

IN Schwark, Jan-Robert; Brendel, Joachim; Kleemann, Heinz-Werner; Lang,

8/25/2003>

```
Hans-Jochen; Weichert, Andreas; Albus, Udo; Scholz, Wolfgang
PΑ
    Hoechst A.-G., Germany
SO
    Eur. Pat. Appl., 19 pp.
    CODEN: EPXXDW
DT
    Patent
LΑ
    German
FAN.CNT 1
                                      APPLICATION NO. DATE
    PATENT NO.
                KIND DATE
    -----
                                       _____
PΙ
    EP 755919 A2 19970129
                                       EP 1996-111665 19960719
    EP 755919
                    A3 19970409
    EP 755919
                    B1 19991117
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                                       DE 1995-19527305A 19950726
    DE 19527305
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                                       DE 1995-19527305A 19950726
    NO 9603108
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                         19970127
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    RU 2190601
                 C2
                          20021010
                                       RU 1996-115333 19960725
                                       DE 1995-19527305A 19950726
OS
    MARPAT 126:185882
IT
    187541-39-5P
    RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological
    study); PREP (Preparation); USES (Uses)
       (prepn. and use as cardiovascular drugs or diagnostic agents)
RN
    187541-39-5 CAPLUS
CN
    2-Propenamide, N-(aminoiminomethyl)-2-methyl-3-[4-(4-pyridinylthio)-3-
    (trifluoromethyl)phenyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)
```

$$\begin{array}{c|c} & & & \\ & & & \\ H_2N & & & \\ NH & O & & \\ \end{array}$$

## ●2 HC1

AB Substituted cinnamic acid guanidides, such as E-3-(4-Me2NC6H4)CH:CMeCON:N(NH2)2, were prepd. by the reaction of lithiated tri-Et 2-phosphonopropionate in hexane with 4-Me2NC6H4CHO, the resulting ester sapond., followed by reaction with cinnamic acid guanidide. These substituted cinnamic acid guanidides were tested as inhibitors for Na+/H+ exchange by rabbit erythrocytes, indicating their use as cardiovascular drugs or diagnostic agents.

L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:758579 CAPLUS

DN 126:24819

TI Black-and-white silver halide photographic material

IN Yamada, Taketoshi; Kato, Katsunori; Komamura, Tawara

PA Konishiroku Photo Ind, Japan

50 Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 08248567	A2	19960927	JP 1995-54281	19950314
T. 000				JP 1995-54281	19950314

# IT 184486-95-1

RL: TEM (Technical or engineered material use); USES (Uses) (in hydrophilic colloid layer; black-and-white silver halide photog. material with good workability in lighted room)

RN 184486-95-1 CAPLUS

CN 4-Thiazoleacetic acid, 2-[[2-cyano-3-[4-[[4-(dodecylthio)-3,6-dioxo-1,4-cyclohexadien-1-yl]thio]phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2$$
 $NH-C-C=CH$ 
 $S-(CH_2)_{11}-Me$ 

GΙ

$$P^{2O}$$
 CH=C(CN)(CONHY)

 $R_{D}^{1}$ 
 $IV$ 

AΒ The photog. material contains .gtoreq.1 an alkali-sol. dye or a dye precursor shown as QCH:C(CN)(CONHX) (I; X = hetero ring; Q = aryl; X and/or Q contains an org. substitute group; .gtoreq.1 of the substitute group is a proton-contg. group which can be ionized while developing). The photog. material contains .gtoreq.1 a dye precursor PJlQ1CH:C(CN)(CONHX) (II; P = a group which releases Jl and its continuing group; J = divalent group; l = 0, 1; Q1 = aryl; X = same as above; X and/or Q1 contains an org. substitute group; .gtoreq.1 of the substitute group is a proton-contq. group which can be ionized while developing). The photog. material contains .gtoreq.1 a dye precursor [P1(J1)mX1NHC(O)](NC)C:CHQ2 (III; P1 = a group which releases J1m and its continuing group; J1 = divalent group; m = 0, 1; Q2 = aryl; X1 = same as above; X1 and/or Q2 contains an org. substitute group; .gtoreq.1 of the substitute group is a proton-contg. group which can be ionized while developing). The photog. material contains .gtoreq.1 a dye precursor IV (Y = N-contg. hetero ring; R1 = H, a substitute group for benzene ring; P2= a group which can be released while developing; n = 0-2; org. substitute group of R1 and/or Y is a proton-contq. group which can be ionized while developing). The photog. material comprises a support, successively laminated with .gtoreq.1 an Aq halide emulsion layer and .gtoreq.1 a nonphotosensitive hydrophilic colloid layer contg. .gtoreq.1 of I, II, III, and IV. The photog. material can be worked in a lighted room.

- L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1995:816889 CAPLUS
- DN 124:30363
- TI Synthesis and study of some new N-(p-chlorophenyl)cinnamide-4-sulfonyl amino acid derivatives
- AU Khalaf, N. S.; El-Gazzar, M. A.; Eyada, H. A.; El-Sayed, R. A.
- CS Faculty Science, Al-Azhar University, Cairo, Egypt
- SO Al-Azhar Bulletin of Science (1994), 5(2), 487-94 CODEN: ABSCE7; ISSN: 1110-2535
- PB Al-Azhar University, Faculty of Science
- DT Journal
- LA English
- IT 161826-36-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antimicrobial activities of (chlorophenyl)cinnamide sulfonyl amino acid derivs.)

- RN 161826-36-4 CAPLUS
- CN L-Proline, 1-[[4-[3-[(4-chlorophenyl)amino]-3-oxo-1-propenyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

AB N-(p-chlorophenyl)cinnamide-4-sulfonyl amino acids p-ClC6H4NHCOCH:CHC6H4SO2-X-OH (I; X = amino acid residue) and some of their Me esters and hydrazides were prepd. Coupling reactions of these amino acid derivs. with amino acid Me ester hydrochlorides in THF-Et3N medium yielded the dipeptide (I; X = dipeptide residue) Me esters, which were converted into hydrazides. Some of the synthesized compds. possess specific biol. activities towards a no. of microorganisms.

L6 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:269683 CAPLUS

DN 122:214486

- TI Some new reactions of N-(p-chlorophenyl)-cinnamide-4-sulfonylamino acid derivatives and their antimicrobial activity
- AU El-Sayed, Ragab A.; Khalaf, N. S.; El-Gazzar, M. A.; Kora, F. A.

CS Chem. Dep., Al-Azhar Univ., Cairo, Egypt

- SO Journal of the Serbian Chemical Society (1994), 59(10), 727-33 CODEN: JSCSEN; ISSN: 0352-5139
- PB Serbian Chemical Society

DT Journal

LA English

IT 161826-36-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. of new (p-chlorophenyl)cinnamidesulfonylamino acid derivs. and their antimicrobial activity)

RN 161826-36-4 CAPLUS

CN L-Proline, 1-[[4-[3-[(4-chlorophenyl)amino]-3-oxo-1-propenyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

- The synthesis of a series of N-(p-chlorophenyl)-cinnamide-4-sulfonylamino acids and some of the corresponding Me esters and hydrazides is described. Coupling reactions of N-(p-chlorophenyl)-cinnamide-4-sulfonylamino acids with amino acid Me ester hydrochloride in THF Et3N medium, yielded the desired dipeptide Me esters. Reaction of these dipeptide with alc. hydrazine hydrate gave the corresponding dipeptide hydrazides. Some of the synthesized compds. were found to possess specific biol. activities towards a no. of microorganisms.
- L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1991:631817 CAPLUS
- DN 115:231817
- TI Synthesis and biological activity of a series of diaryl-substituted .alpha.-cyano-.beta.-hydroxypropenamides, a new class of anthelmintic agents
- AU Sjogren, Eric B.; Rider, Michael A.; Nelson, Peter H.; Bingham, Stanford, Jr.; Poulton, Anthony L.; Emanuel, Mark A.; Komuniecki, Richard
- CS Syntex Res., Palo Alto, CA, 94304, USA
- SO Journal of Medicinal Chemistry (1991), 34(11), 3295-301 CODEN: JMCMAR; ISSN: 0022-2623
- DT Journal
- LA English
- OS CASREACT 115:231817
- IT 136186-14-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and anthelmintic activity of)

- RN 136186-14-6 CAPLUS
- CN 2-Propenamide, 2-cyano-3-hydroxy-3-[4-(phenylsulfonyl)phenyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

AB A series of .alpha.-cyano-.beta.-hydroxypropenamides e.g. 4-F3CC6H4C(OH):C(CN)CONHC6H4CF3-4 (I), were prepd. and tested for anthelmintic activity. Two synthetic routes were utilized for the synthesis of I and its analogs. The principal route proceeded via condensation of appropriate aniline with cyanoacetic acid in the presence of diisopropylcarbodiimide to give the corresponding cyanoacetanilide which on treatment with NaH in THF or DMF followed by condensation with acid chlorides gave I and analogs. I showed good activity against the nematode Nematospirodes dubius in a mixed parasite infection in mice; several of the analogs were also effective against the cestode Hymenolepis In sheep trials, I caused 100% redn. of the hematophagous nematode Haemonchus contortus after a single dose of 20 mg/kg but did not show satisfactory control of Trichostrongylus colubriformis or Ostertaqia circumcinta. Against the liver fluke Fasciola hepatica I suppressed egg prodn. but only temporarily, suggesting that the adult flukes were not eliminated. Mechanism of action studies on I using Ascaris mitochondria showed it to be an uncoupler of oxidative phosphorylation.

L6 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1987:32492 CAPLUS

DN 106:32492

TI Substituted cinnamide 4-sulfonyl derivatives

AU Cremlyn, R. J.; Obiorah, O.; Singh, G.

CS Sch. Nat. Sci., Hatfield Polytech., Hatfield/Hertfordshire, UK

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1986), 25B(5), 559-61

CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 106:32492

IT 105941-21-7P

RN 105941-21-7 CAPLUS

CN 2-Propenamide, N,N-dimethyl-3-[4-(4-morpholinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

GI

$$C1SO_2$$
 —  $CH = CHCON$  O I  $C1SO_2$  —  $CH = CHCONMe_2$  II

AB Cinnamoylmorpholine and PhCH:CHCONMe2 reacted with ClSO3H to give the corresponding 4-sulfonyl chlorides I and II. Twenty-seven sulfonyl derivs. were derived from I and II by reacting these with nucleophiles. The results of preliminary antibacterial and fungicidal screening of the sulfonyl derivs. are given. Thus, reaction of I with N2H4 gave 71% the hydrazinylsulfonyl compd., which had bactericidal activity at 50 ppm and fungicidal activity at 100 ppm.

L6 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1986:168072 CAPLUS

DN 104:168072

TI Chlorosulfonation of some anilides

AU Cremlyn, R. J.; Swinbourne, F. J.; Bloy, J. G.; Pathak, K.; Shode, O.

CS Div. Chem. Sci., Hatfield Polytech., Hatfield/Herts., AL10 9AB, UK

SO Journal of the Chemical Society of Pakistan (1985), 7(2), 111-24 CODEN: JCSPDF; ISSN: 0253-5106

DT Journal

LA English

OS CASREACT 104:168072

RN 101682-34-2 CAPLUS

CN 2-Propenamide, N,3-bis[4-[(3,5-dimethyl-1H-pyrazol-1-yl)sulfonyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 101682-36-4 CAPLUS

CN 2-Propenamide, N-(4-chlorophenyl)-3-[4-[(3,5-dimethyl-1H-pyrazol-1-yl)sulfonyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 101707-74-8 CAPLUS

CN 2-Propenamide, N,3-bis[4-(3-azatricyclo[3.2.1.02,4]oct-3ylsulfonyl)phenyl]-, [1.alpha.,2.beta.,3[E(1R\*,2S\*,4R\*,5S\*)],4.beta.,5.alp
ha.]- (9CI) (CA INDEX NAME)

- AB (R = C6H4SO2Cl-4 throughout.). Sulfonyl chlorides RCH:CHCONHR (I), RCH:CHCONHC6H4Cl-4 (II), 4-ClC6H4CH:CHR (III), CH2(CONHR)2 (IV), and R1NHCOCONHR1 [R1 = R (V); R1 = 3,4-ClO2S(Cl)C6H3 (VI)] were prepd. from corresponding anilides in 60-98% yields. CH2(CONHC6H4Cl-4)2 failed to react with ClSO3H. Nucleophilic substitution of I-VI by NH3, N2H4, amines, and N3- gave corresponding derivs.
- L6 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1984:551705 CAPLUS
- DN 101:151705
- TI Derivatives of cinnamide-4-sulfonyl chloride and p-(phthalimido) benzenesulfonyl chloride
- AU Cremlyn, R. J.; Thandi, K.; Wilson, R.
- CS Sch. Nat. Sci., Hatfield Polytech., Hatfield, UK
- SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984), 23B(1), 94-6 CODEN: IJSBDB; ISSN: 0376-4699
- DT Journal
- LA English
- OS CASREACT 101:151705
- IT 92082-69-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and bactericidal activity of)

- RN 92082-69-4 CAPLUS
- CN 2-Propenamide, 3-[4-(4-morpholinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

IT 92082-70-7P 92082-71-8P 92082-81-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 92082-70-7 CAPLUS

CN 2-Propenamide, 3-[4-(1-pyrrolidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 92082-71-8 CAPLUS

CN 2-Propenamide, 3-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 92082-81-0 CAPLUS

CN 2-Propenamide, 3-[4-(3-azatricyclo[3.2.1.02,4]oct-3-enylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

GΙ



AB RH (R = H2NCOCH:CHC6H4-4, 4-phthalimidophenylene) reacted with ClSO3H to give RSO2Cl (I), which reacted with NaN3 to give RSO2N3 (II). PR13 (R1 = OEt, OPh, Ph) reacted with II to give RSO2N:PR13, whereas norbornene reacted with II to give aziridinenorbornanes III. I were treated with H2NNH2 to give RSO2NHNH2, which reacted with R2COR3 [R2 = R3 = Me; R2R3 = (CH2)5; R2 = H, R3 = Ph, C6H4NO2-4, C6H4OMe-4) to give hydrazones RSO2NHN:CR2R3. Amines HNR4R5 (R4 = R5 = Me, CH2CHMe2; R4 = H, R5 = CH2Ph; NR4R5 = morpholino, pyrrolidino, piperidino) and I gave sulfonamides RSO2NR4R5. RSO2N3 and RSO2NR4R5 (R4 = R5 = Me; NR4R5 = morpholino) were active against Escherichia coli and Staphylococcus aureus at 100 ppm. Several compds. were fungicides for Botrytis cinerea at 100 ppm.

L6 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1975:124989 CAPLUS

DN 82:124989

TI Synthetic juvenile hormones. 1. The p-substituted .beta.-methylcinnamic acid derivatives

AU Franke, Albrecht; Mattern, Guenter; Traber, Walter

CS Dep. Biotech. Prod., Ciba-Geigy A.-G., Basel, Switz.

SO Helvetica Chimica Acta (1975), 58(1), 268-78 CODEN: HCACAV; ISSN: 0018-019X

DT Journal

LA English

IT 54875-53-5P 54875-54-6P

RN 54875-53-5 CAPLUS

CN 2-Butenamide, N,N-diethyl-3-[4-(phenylthio)phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 54875-54-6 CAPLUS

CN 2-Butenamide, N,N-diethyl-3-[4-(phenylthio)phenyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Patel

8/25/2003>

GI For diagram(s), see printed CA Issue.

Reaction of p-RC6H4COMe with (EtO)2P(O)CH2R1 (I; R1 = CONEt2, CN, CO2Me) gave (E) - and (Z)-p-RC6H4CMe:CHR1 (.apprx.90 isomer pairs prepd.).

Reaction of cyclohexanone with p-NCC6H4CH2P(O)(OEt)2 gave .alpha.-cyclohexylidene-p-tolunitrile, which with MeMgI, then I, gave the corresponding .beta.-methylcinnamic acid deriv. With substituted (Me, Me3C) cyclohexanones, double bond migration took place to give mixts. of II and III (9 prepd.).

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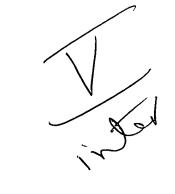
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	Mar 24	PATDPAFULL now available on STN
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		added to PHAR
	May 15	MEDLINE file segment of TOXCENTER reloaded
	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
	May 19	Simultaneous left and right truncation added to WSCA
NEWS 20 1	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 21	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS 22	Jun 06	PASCAL enhanced with additional data
NEWS 23	Jun 20	2003 edition of the FSTA Thesaurus is now available
NEWS 24	Jun 25	HSDB has been reloaded
NEWS 25	Jul 16	Data from 1960-1976 added to RDISCLOSURE
	Jul 21	Identification of STN records implemented
	Jul 21	Polymer class term count added to REGISTRY
NEWS 28	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
		Right Truncation available
NEWS 29	AUG 05	New pricing for EUROPATFULL and PCTFULL effective
		August 1, 2003
	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 31 A	AUG 15	PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS 32	AUG 15	PCTGEN: one FREE connect hour, per account, in
		September 2003
NEWS 33	AUG 15	RDISCLOSURE: one FREE connect hour, per account, in
		September 2003
NEWS 34	AUG 15	TEMA: one FREE connect hour, per account, in
		September 2003
NEWS 35 A	AUG 18	Data available for download as a PDF in RDISCLOSURE

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL

FROSTI and KOSMET enhanced with Simultaneous Left and Right NEWS 37 AUG 18

Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

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Page 3

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L1 STR

G1 Cb,Cy,Hy

G2 H, Cb, Cy, Hy, Ak, OH, COOH

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FULL SEARCH INITIATED 08:20:44 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

0 L3 L4

=> file marpat

SINCE FILE TOTAL ENTRY SESSION 0.40 297.31 COST IN U.S. DOLLARS

FULL ESTIMATED COST 297.31

FILE 'MARPAT' ENTERED AT 08:20:49 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

6596259 22 JUL 2003 US

20300703 31 JUL 2003 DE 1331259 30 JUL 2003

JP 2003207510 25 JUL 2003

WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full

FULL SEARCH INITIATED 08:20:56 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 3478 TO ITERATE

99.3% PROCESSED 3453 ITERATIONS 0 ANSWERS

0 ANSWERS 100.0% PROCESSED 3478 ITERATIONS

SEARCH TIME: 00.00.33

0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS SINCE FILE TOTAL

09541795.9 Page 5

FULL ESTIMATED COST ENTRY SESSION 104.55 401.86

STN INTERNATIONAL LOGOFF AT 08:21:33 ON 25 AUG 2003

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Welcome to STN International! Enter x:x
LOGINID:ssspta1611sxp
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * * * Welcome to STN International
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NEWS 1
                Web Page URLs for STN Seminar Schedule - N. America
                 "Ask CAS" for self-help around the clock
NEWS 2
NEWS 3 Feb 24
                PCTGEN now available on STN
NEWS 4 Feb 24 TEMA now available on STN
NEWS 5 Feb 26 NTIS now allows simultaneous left and right truncation
NEWS 6 Feb 26 PCTFULL now contains images
NEWS 7 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 8 Mar 24 PATDPAFULL now available on STN
NEWS 9 Mar 24 Additional information for trade-named substances without
                 structures available in REGISTRY
NEWS 10 Apr 11
                Display formats in DGENE enhanced
NEWS 11 Apr 14
                MEDLINE Reload
NEWS 12 Apr 17
                Polymer searching in REGISTRY enhanced
NEWS 13 AUG 22
                Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS 14 Apr 21
                New current-awareness alert (SDI) frequency in
                WPIDS/WPINDEX/WPIX
NEWS 15 Apr 28
                RDISCLOSURE now available on STN
NEWS 16 May 05
                Pharmacokinetic information and systematic chemical names
                added to PHAR
NEWS 17
        May 15
                MEDLINE file segment of TOXCENTER reloaded
NEWS 18
        May 15
                Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19
        May 19
                Simultaneous left and right truncation added to WSCA
NEWS 20 May 19
                RAPRA enhanced with new search field, simultaneous left and
                right truncation
NEWS 21 Jun 06 Simultaneous left and right truncation added to CBNB
NEWS 22
        Jun 06 PASCAL enhanced with additional data
NEWS 23 Jun 20 2003 edition of the FSTA Thesaurus is now available
NEWS 24 Jun 25 HSDB has been reloaded
NEWS 25 Jul 16 Data from 1960-1976 added to RDISCLOSURE
NEWS 26 Jul 21
                Identification of STN records implemented
NEWS 27
        Jul 21
                Polymer class term count added to REGISTRY
NEWS 28
        Jul 22
                INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
                Right Truncation available
NEWS 29
        AUG 05
                New pricing for EUROPATFULL and PCTFULL effective
                August 1, 2003
NEWS 30 AUG 13
                Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 31
        AUG 15
                PATDPAFULL: one FREE connect hour, per account, in
                September 2003
NEWS 32
        AUG 15
                PCTGEN: one FREE connect hour, per account, in
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NEWS 33
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NEWS 34
        AUG 15
                TEMA: one FREE connect hour, per account, in
                September 2003
NEWS 35 AUG 18 Data available for download as a PDF in RDISCLOSURE
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NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL

NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT

MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

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NEWS PHONE Direct Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 08:46:10 ON 25 AUG 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL. ENTRY SESSION

FULL ESTIMATED COST 0.21 0.21

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4 DICTIONARY FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

Uploading 09541795.15

## L1 STRUCTURE UPLOADED

=> 11

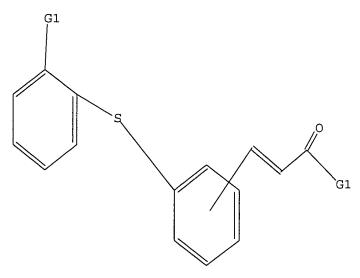
L1 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> d l1

L1 HAS NO ANSWERS

L1 STI



G1 OH, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, s-BuO, t-BuO, PhO

Structure attributes must be viewed using STN Express query preparation.

=> s ll sss full

FULL SEARCH INITIATED 08:46:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 454 TO ITERATE

100.0% PROCESSED 454 ITERATIONS

14 ANSWERS

SEARCH TIME: 00.00.01

L2 14 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

148.15 148.36

FULL ESTIMATED COST

FILE 'CAOLD' ENTERED AT 08:47:04 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

Patel

8/25/2003>

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

## => s ll sss full

## REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 08:47:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 454 TO ITERATE

100.0% PROCESSED 454 ITERATIONS

14 ANSWERS

TOTAL

SEARCH TIME: 00.00.01

L3 14 SEA SSS FUL L1

L4 0 L3

=> file marpat

COST IN U.S. DOLLARS SINCE FILE

FULL ESTIMATED COST ENTRY SESSION 0.40 297.31

FILE 'MARPAT' ENTERED AT 08:47:16 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6596259 22 JUL 2003

DE 20300703 31 JUL 2003

EP 1331259 30 JUL 2003

JP 2003207510 25 JUL 2003

WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full

Patel

8/25/2003>

FULL SEARCH INITIATED 08:47:22 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 14601 TO ITERATE

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94.2%	PROCESSED	13761	ITERATIONS	(	7	INCOMPLETE)	23	ANSWERS
96.1%	PROCESSED	14030	ITERATIONS	(	12	INCOMPLETE)	28	ANSWERS
98.8%	PROCESSED	14431	ITERATIONS	(	16	INCOMPLETE)	32	ANSWERS
99.3%	PROCESSED	14496	ITERATIONS	(	16	INCOMPLETE)	32	ANSWERS
100.0% SEARCH	PROCESSED TIME: 00.01		ITERATIONS	(	18	INCOMPLETE)	34	ANSWERS

L5 34 SEA SSS FUL L1

=> d his

(FILE 'HOME' ENTERED AT 08:46:10 ON 25 AUG 2003)

FILE 'REGISTRY' ENTERED AT 08:46:24 ON 25 AUG 2003 L1 STRUCTURE UPLOADED L2 14 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 08:47:04 ON 25 AUG 2003 S L1

FILE 'REGISTRY' ENTERED AT 08:47:09 ON 25 AUG 2003 L3 14 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 08:47:10 ON 25 AUG 2003 L4 0 S L3 SSS FULL

FILE 'MARPAT' ENTERED AT 08:47:16 ON 25 AUG 2003 L5 34 S L1 SSS FULL

=> d 15 fbib hitstr abs total
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'MARPAT'

The following are valid formats:

MSTR ---- All Markush structure(s) and related text information MSTR(n) -- Markush structure(n) and related text information IDE ----- AN and MSTR

ABS ---- AB

ALL ----- BIB, AB, IND, RE, and MSTR

APPS ---- AI, PRAI

BIB ----- AN, plus Bibliographic Data and PI table (default)

CAN ----- List of CA abstract numbers without answer numbers

CBIB ---- AN, plus Compressed Bibliographic Data

DALL ---- ALL, delimited (end of each field identified)

DMAX ----- MAX, delimited for post-processing

FAM ----- AN, PI and PRAI in table, plus Patent Family data

FBIB ----- AN, BIB, plus Patent FAM

IND ----- Indexing Data

```
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ---- PI, SO
SAM ----- CC, SX, TI, ST, IT, and FQHIT
SCAN ---- CC, SX, TI, ST, IT, and FQHIT (random display,
          no answer numbers)
STD ----- BIB, IPC, and NCL (standard patent information)
IABS ---- ABS, indented with text labels
IALL ---- ALL, indented with text labels
IBIB ---- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ---- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
HIT ----- Fields containing hit text terms and the Markush
           structures containing the query structure
FHIT ---- Fields containing the first hit text terms and the first
          Markush structures containing the query structure
QHIT ---- Fields containing query focus hit text terms and the
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FQHIT ---- Fields containing the first query focus hit text terms and
          the first Markush structures containing the query structure
To display a particular field or fields, enter the display field
codes. For a list of the display field codes, enter "HELP DFIELDS"
at an arrow prompt (=>). Examples of formats include: "TI";
"TI, MSTR, ABS"; "BIB, ST"; "TI, IND"; "TI, SO". You may specify the
format fields in any order and the information will be displayed
in the same order as the format specification.
All of the formats (except for SAM, SCAN, FHIT, HIT, FQHIT, or QHIT) may
be used with the DISPLAY ACC command to display the record for a
specified Accession Number.
ENTER DISPLAY FORMAT (BIB): BIB
     ANSWER 1 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
     139:36349 MARPAT
AN
TТ
     Preparation of arylalkyl-urea/carbamates for treatment of inflammation,
     diabetes and related disorders
IN
     Neogi, Partha; Dey, Debendranath; Li, Ta-Kai; Fuller, Joseph; Chen, Liang
PA
     Calyx Therapeutics Inc., USA
SO
     PCT Int. Appl., 107 pp.
     CODEN: PIXXD2
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    English
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     PATENT NO. KIND DATE
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                                        WO 2002-US38150 20021127
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PRAI US 2001-334818P 20011129
L5
     ANSWER 2 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
AN
     138:287410 MARPAT
TI
     Preparation of 3-phenylacrylamides and analogs as inhibitors of
     cyclooxygenase II
     Mauleon Casellas, David; Garcia Perez, Luisa; Palomer Benet, Albert;
IN
     Pascual Avellana, Jaime
PA
     Laboratorios Menarini, S.A., Spain
SO
     Span., 27 pp.
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DT
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(ALL HITS ARE ITERATION INCOMPLETES)
     138:195820 MARPAT
AN
ΤI
     Rinse-processing composition for processing silver halide color
     photographic material, processing apparatus and processing method
     Seki, Hioyuki
IN
PA
     Fuji Photo Film Co., Ltd., Japan
     Eur. Pat. Appl., 55 pp.
SO
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                                                             20020823
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              THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
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     ANSWER 4 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
     137:239851 MARPAT
ΤI
     Electrophoretic displays using improved dispersants
ΙN
     Obikawa, Takeshi; Katase, Makoto; Kinoshita, Satoshi; Uehara, Masamitsu
PA
     Seiko Epson Corp., Japan
SO
     Jpn. Kokai Tokkyo Koho, 15 pp.
     CODEN: JKXXAF
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    JP 2002268097
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(ALL HITS ARE ITERATION INCOMPLETES)
AN
    137:63117 MARPAT
TI
    Preparation of streptogramin derivatives, and compositions containing them
    as antibacterial agents
IN
    Desmazeau, Pascal; Ronan, Baptiste; Bacque, Eric; Barriere, Jean-Claude
    Aventis Pharma S.A., Fr.
PΑ
SO
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T,A
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                          20020627 WO 2001-FR4061
    WO 2002050083 A1
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    FR 2818644
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    ANSWER 6 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
    137:13339 MARPAT
AN
TI
    Homeotropic alignment layer for liquid crystal display
ΤN
    Heckmeier, Michael; Klasen-Memmer, Melanie; Luessem, Georg; Tarumi,
    Kazuaki; Coates, David; Parri, Owain Llyr; Verrall, Mark Andrew
PA
    Merck Patent Gmbh, Germany
    PCT Int. Appl., 48 pp.
SO
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    PATENT NO. KIND DATE
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                       A5 20020611
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     WO 2001-EP13584
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L5
     ANSWER 7 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
AN
     135:371527 MARPAT
ΤI
     Preparation of bisacylquanidine with cardioprotective activity
IN
     Gericke, Rolf; Beier, Norbert
PA
     Merck Patent G.m.b.H., Germany
     Ger. Offen., 12 pp.
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AN
     134:348284 MARPAT
TI
     Phenyl compounds to treat diabetes and associated conditions
ΙN
     Neogi, Partha; Nag, Bishwajit; Lakner, Frederick J.; Dey, Debendranath;
     Medicherla, Satyanarayana
PA
     Calyx Therapeutics, Inc., USA
     PCT Int. Appl., 47 pp.
SO
     CODEN: PIXXD2
DT
     Patent
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     WO 2000-US30927 20001108
     ANSWER 9 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
1.5
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     134:86151 MARPAT
ΤI
     Preparation of indole-2,3-dicarboxamides, benzothiophene-2,3-carboxamides,
     and benzofuran-2,3-carboxamides as herbicides
IN
     Katsuhira, Takeshi; Harayama, Hiroto; Oda, Yoshiki; Murata, Shinji;
     Takaishi, Hideo
     Nihon Nohyaku Co., Ltd., Japan
PA
     Jpn. Kokai Tokkyo Koho, 28 pp.
SO
     CODEN: JKXXAF
DT
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LA
     Japanese
FAN.CNT 1
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                      KIND DATE
                                            APPLICATION NO. DATE
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PRAI JP 1999-174118
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     ANSWER 10 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
ΑN
     133:296281 MARPAT
TI
     Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting
     antiinflammatory and immune-suppressive compounds
IN
     Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn,
     Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong;
     Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae,
     Hwan-soo; Lynch, John K.
PΑ
     Abbott Laboratories, USA
SO
     PCT Int. Appl., 476 pp.
     CODEN: PIXXD2
DT
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T.A
     English
FAN.CNT 1
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         0000059880 A1 20001012 WO 2000-US8895 20000403
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     EE 200100513
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Patel

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PRAI US 1999-286645
                      19990402
     US 1999-474517
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     US 2000-541795
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     WO 2000-US8895 20000403
              THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 3
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 11 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
     131:322420 MARPAT
AN
     Substituted phenyl compounds and derivatives thereof that modulate the
TI
     activity of endothelin
     Chan, Ming Fai; Balaji, Vitukudi Narayanaiyengar; Castillo, Rosario
IN
     Silvestre; Kois, Adam; Raju, Bore Gowda; Wu, Chengde
PΑ
     Texas Biotechnology Corporation, USA
     U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 583,871, abandoned.
SO
     CODEN: USXXAM
DT
     Patent
     English
LΑ
FAN.CNT 2
                      KIND DATE APPLICATION NO. DATE
     PATENT NO. KIND DATE
     US 5977117 A 19991102 US 1996-590139 19960123
WO 9725321 A2 19970717 WO 1997-US366 19970103
WO 9725321 A3 19970912
PΙ
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W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
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             RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
             MR, NE, SN, TD, TG
     AU 9715324
                     A1 19970801 AU 1997-15324 19970103
A2 19981111 EP 1997-901420 19970103
     EP 876364
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI
                     B1 20010724
                                           US 1999-327661 19990608
     US 6265428
                           20010724 US 2001-808771 20010314
     US 2001014694
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PRAI US 1996-583871
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     US 1996-590139
                       19960123
     WO 1997-US366
                      19970103
     US 1999-327661 19990608
RE.CNT 56
              THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 12 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     131:185250 MARPAT
TI
     Preparation of Streptogramin derivatives as antimicrobial agents
IN
     Desmazeau, Pascal; Doerflinger, Gilles; Ribeill, Yves; Bacque, Eric;
     Barriere, Jean-claude; Dutruc-rosset, Gilles; Puchault, Gerard
     Rhone-Poulenc Rorer S.A., Fr.
PA
SO
     PCT Int. Appl., 202 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     French
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                                          NO 2000-4273
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PRAI FR 1998-2316
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    US 2000-643197 20000822
RE.CNT 3
             THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
L5
    ANSWER 13 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
AN
    129:40990 MARPAT
TΙ
    Bi-aromatic compounds with RXR receptor activity, pharmaceutical and
     cosmetic compositions containing them, and their uses
IN
    Bernardon, Jean-Michel; Diaz, Philippe
PΑ
    Centre International de Recherches Dermatologiques Galderma (C.I.R.D.
    Galder, Fr.; Bernardon, Jean-Michel; Diaz, Philippe
    PCT Int. Appl., 71 pp.
SO
    CODEN: PIXXD2
DT
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LΑ
    French
FAN.CNT 1
    ΡI
    WO 9822423
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
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            LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
            PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ,
            VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
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            GN, ML, MR, NE, SN, TD, TG
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    WO 1997-FR2063
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             THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 5
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
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    ANSWER 14 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
    127:220986 MARPAT
AN
ΤI
    Preparation of phenylalanine derivatives as endothelin antagonists
IN
    Berryman, Kent Alan; Cheng, Xue-min; Doherty, Annette Marian; Edmunds,
    Jeremy John; Klutchko, Sylvester
PA
    Warner-Lambert Co., USA
    U.S., 23 pp.
SO
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO. KIND DATE
                                       APPLICATION NO. DATE
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    US 5658943
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                                        US 1995-369209 19950105
PRAI US 1995-369209 19950105
    ANSWER 15 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
ΑN
    127:161816 MARPAT
ΤI
    Preparation of aryl- and/or heteroaryl-substituted benzoic acids as
    endothelin antagonists and/or agonists
IN
    Chan, Ming Fai; Balaji, Vitukudi Narayanaiyengar; Castillo, Rosario
    Silverstre; Kois, Adam; Raju, Bore Gowda; Wu, Chengde
PA
    Texas Biotechnology Corp., USA
    PCT Int. Appl., 136 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 2
                                       APPLICATION NO. DATE
    PATENT NO. KIND DATE
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    WO 9725321 A2 19970717
WO 9725321 A3 19970912
PΙ
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    WO 9725321
                    A3 19970912
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            LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
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            MR, NE, SN, TD, TG
    US 5977117
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A2 19981111
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    EP 876364
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PRAI US 1996-583871
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    US 1996-590139
                     19960123
    WO 1997-US366
                     19970103
    ANSWER 16 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
    126:312254 MARPAT
AN
    Inhibitors of global pathogenesis gene regulators for treatment of
ΤI
    microbial infections, pharmaceutical compositions, and screening methods
    Bao, Ying; Boggs, Amy; Contag, Pamela R.; Federspiel, Nancy A.; Hebert,
ΙN
    Alan; Hecker, Scott; Malouin, Francois
PΑ
    Microcide Pharmaceuticals, Inc., USA
SO
    PCT Int. Appl., 137 pp.
    CODEN: PIXXD2
DT
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    English
LΑ
FAN.CNT 1
    PATENT NO. KIND DATE
                                          APPLICATION NO. DATE
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    WO 9711690 A2 19970403 WO 1996-US15435 19960925
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        W: AU, CA, CU, DE, IL, JP, MX, NZ
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    US 6020121 A 20000201 US 1996-672215 19960625
AU 9671686 A1 19970417 AU 1996-71686 19960925
PRAI US 1995-4626P
                     19950929
    US 1996-672215
                    19960625
    WO 1996-US15435 19960925
L5
    ANSWER 17 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    126:251163 MARPAT
ΤI
    Preparation of substituted aminouracils as herbicides.
    Andree, Roland; Drewes, Mark Wilhelm; Dollinger, Markus
ΙN
PA
    Bayer A.-G., Germany
    Ger. Offen., 18 pp.
SO
    CODEN: GWXXBX
DT
    Patent
    German
LΑ
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                KIND DATE
    PATENT NO.
                                        APPLICATION NO. DATE
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    DE 19532344 A1 19970306
CA 2230650 AA 19970313
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AA 19970313 CA 1996-2230650 19960822
A1 19970313 WO 1996-EP3693 19960822
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    AU 705631
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    EP 851861
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                                          EP 1996-929303
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        R: CH, DE, FR, GB, IT, LI
    CN 1195341
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    CN 1108293
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    JP 11512102
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                 A 19991228 US 1998-29212
    US 6008160
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19981215
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     BR 9610194
                      Α
PRAI DE 1995-19532344 19950904
     WO 1996-EP3693 19960822
     ANSWER 18 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     126:171617 MARPAT
AN
     Preparation of arylaminouracils as herbicides and intermediates.
TТ
IN
    Andree, Roland; Drewes, Mark Wilhelm; Schallner, Otto; Dollinger, Markus;
     Santel, Hans-Joachim
PΑ
     Bayer A.-G., Germany
SO
    Ger. Offen., 24 pp.
     CODEN: GWXXBX
     Patent
דת
    German
LΑ
FAN.CNT 1
     PATENT NO. KIND DATE APPLICATION NO. DATE
    DE 19527570 A1 19970130 DE 1995-19527570 19950728 CA 2227762 AA 19970213 CA 1996-2227762 19960715 WO 9705116 A1 19970213 WO 1996-EP3088 19960715
PΙ
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         RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
             SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                       AU 1996-66566 19960715
                     A1 19970226
     AU 9666566
     EP 842155
                      A1
                            19980520
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                      B1 20030409
     EP 842155
        R: BE, CH, DE, ES, FR, GB, IT, LI, NL
     CN 1196725 A 19981021 CN 1996-197016 19960715
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                            19990706
     BR 9609671
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                      T2 19990907 JP 1997-507163 19960715
B1 20020709 US 1998-38 19980121
     JP 11510145
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PRAI DE 1995-19527570 19950728
     WO 1996-EP3088 19960715
L5
    ANSWER 19 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
AN
     125:167598 MARPAT
TI
     Preparation and formulation of (tetrahydrotetramethylnaphthyloxy)naphthoat
     es and analogs for treatment of keratinization disorders
ΤN
     Bernardon, Jean-Michel
     Centre International De Recherches Dermatologiques Galderma (C.I.R.D.
PΑ
     Galderma), Fr.
SO
     Eur. Pat. Appl., 23 pp.
     CODEN: EPXXDW
DT
     Patent
    French
LΑ
FAN.CNT 1
     PATENT NO. KIND DATE
                                          APPLICATION NO. DATE
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     EP 722928 A1 19960724
EP 722928 B1 19970806
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     FR 2729664 A1 19960726 FR 1995-659
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    FR 2729664 B1 19970221
AT 156474 E 19970815 AT 1995-120073 19951219
ES 2111364 T3 19980301 ES 1995-120073 19951219
AU 9640794 A1 19960815 AU 1996-40794 19960104
     FR 2729664
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	CA	2167651	AA	19960721	CA	1996-2167651	19960119
	CA	2167651	C	20010313			
	JP	08245475	A2	19960924	JP	1996-7863	19960119
	US	5763487	A	19980609	US	1996-589388	19960122
	US	5985928	A	19991116	US	1998-5601	19980109
	US	6156750	Α	20001205	US	1999-229829	19990113
PRAI	FR	1995-659	19950	120			
	US	1996-589388	19960	122			
	US	1998-5601	19980	109			

- L5 ANSWER 20 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
- (ALL HITS ARE ITERATION INCOMPLETES)
- AN 124:202282 MARPAT
- TI Preparation of dihydrobenzoxazinone derivatives as phospholipase A2 and interleukin 1 inhibitors
- IN Kawakita, Takeshi; Kuroita, Takanobu; Murozono, Takahiro; Terasawa, Michio; Okamoto, Hitoshi
- PA Yoshitomi Pharmaceutical, Japan
- SO Jpn. Kokai Tokkyo Koho, 35 pp.
- CODEN: JKXXAF
- DT Patent
- LA Japanese
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 07242662	A2	19950919	JP 1994-31631	19940301
	JP 3348505	B2	20021120		
PRAI	JP 1994-31631	19940	301		

- L5 ANSWER 21 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
- AN 123:198620 MARPAT
- TI Heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis
- IN Fortin, Rejean; Girard, Yves; Grimm, Erich; Hutchinson, John; Scheigetz, John
- PA Merck Frosst Canada, Inc., Can.
- SO U.S., 28 pp. CODEN: USXXAM
- DT Patent
- LA English
- FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5360815	Α	19941101	US 1993-81506	19930623
	CA 2125830	AA	19941224	CA 1994-2125830	19940614
PRAI	US 1993-81506	19930	623		

- L5 ANSWER 22 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
- (ALL HITS ARE ITERATION INCOMPLETES)
- AN 123:198425 MARPAT
- TI Preparation of tricarboxylic acid derivatives as squalene synthetase inhibitors
- IN Kobayashi, Takamitsu; Tamura, Kunio; Yoshida, Mitsutaka; Koga, Hiroshi
- PA Chugai Seiyaku Kabushiki Kaisha, Japan
- SO PCT Int. Appl., 102 pp.
  - CODEN: PIXXD2
- DT Patent
- LA Japanese

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FAN.CNT 1
    PATENT NO.
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        UA, US, UZ, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
    JP 07112954
                   A2 19950502 JP 1994-207897 19940728
    AU 9472383
                     A1
                         19950228
                                       AU 1994-72383 19940729
PRAI JP 1993-227745 19930729
    WO 1994-JP1249 19940729
    ANSWER 23 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    123:69846 MARPAT
    Diphenylamine compounds
TI
    Beckmann, Stefan; Etzbach, Karl-Heinz; Sens, Ruediger
IN
    BASF A.-G., Germany
PA
    Ger. Offen., 11 pp.
SO
    CODEN: GWXXBX
DT
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LΑ
    German
FAN CNT 1
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    DE 4335496 A1 19950420
WO 9511278 A1 19950427
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PΙ
                                       WO 1994-EP3330 19941010
        W: JP, KR, US
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                    A1 19960807
                                       EP 1994-928882 19941010
    EP 724609
        R: CH, DE, FR, GB, IT, LI, NL
    JP 09505331 T2 19970527
                                         JP 1994-511265
                                                         19941010
    US 5696243
                     Α
                          19971209
                                        US 1996-628641 19960419
PRAI DE 1993-4335496 19931019
    WO 1994-EP3330 19941010
    ANSWER 24 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    123:55865 MARPAT
AN
    Preparation and formulation of N-[(phenylureido)acetyl]thiazolidine-4-
TТ
    carboxylates and analogs as gastrin and CCK antagonists
    Dubroeucq, Marie-Christine; Manfre, Franco
ΙN
PΑ
    Rhone-Poulenc Rorer SA, Fr.
SO
    Fr. Demande, 59 pp.
    CODEN: FRXXBL
DT
    Patent
LΑ
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                   A1 19940708
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    FR 2700168
                                        FR 1993-76
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    FR 2700168
                    B1 19950203
    CA 2152184
                    AA 19940721
                                         CA 1994-2152184 19940103
    WO 9415955
                    A1 19940721
                                         WO 1994-FR7
                                                        19940103
        W: AU, CA, HU, JP, KR, NO, NZ, RU, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
    AU 9458351
                    A1
                         19940815
                                   AU 1994-58351 19940103
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EP 679161 Bl 19980624
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          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
      HU 73428 A2 19960729 HU 1995-2064 19940103
      JP 08507292
                                                    JP 1994-515746 19940103
                           T2 19960806
                                               AT 1994-904199 19940103
                          E
                                 19980715
      AT 167681
E 19980715 A1 1994-904199 19940103
ES 2119160 T3 19981001 ES 1994-904199 19940103
ZA 9400079 A 19940811 ZA 1994-79 19940106
US 5633270 A 19970527 US 1995-446745 19950606
NO 9502687 A 19950905 NO 1995-2687 19950706
PRAI FR 1993-76 19930107
WO 1994-FR7 19940103
      ANSWER 25 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     123:9454 MARPAT
TI
      Preparation of 4-cyanophenyliminoheterocycles as herbicides.
      Schallner, Otto; Andree, Roland; Drewes, Mark Wilhelm; Dollinger, Markus;
IN
      Santel, Hans-Joachim
PA
      Bayer A.-G., Germany
SO
      Eur. Pat. Appl., 154 pp.
      CODEN: EPXXDW
DT
      Patent
LΑ
      German
FAN.CNT 1
      PATENT NO. KIND DATE APPLICATION NO. DATE
     EP 648772 A1 19950419 EP 1994-115645 19941005 EP 648772 B1 20020904
          R: BE, CH, DE, ES, FR, GB, IT, LI, NL
      DE 4335438 A1 19950420 DE 1993-4335438 19931018
EP 1164128 A1 20011219 EP 2001-122556 19941005
          R: BE, CH, DE, ES, FR, GB, IT, LI, NL
      ES 2181697 T3 20030301 ES 1994-115645 19941005
     CA 2118191 AA 19950419 CA 1994-2118191 19941U14
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BR 9404136 A 19951017 BR 1994-4136 19941017
CN 1104215 A 19950628 CN 1994-117303 19941018
CN 1048497 B 20000119
US 5756805 A 19980526 US 1996-738991 19961024
CN 1183415 A 19980603 CN 1997-117829 19970820
CN 1057765 B 20001025
      CA 2118191
                          AA 19950419
                                                  CA 1994-2118191 19941014
PRAI DE 1993-4335438 19931018
      EP 1994-115645 19941005
      US 1994-321295 19941011
     ANSWER 26 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     122:9676 MARPAT
AN
ΤI
     Process for O-alkylation of carboxylic acids by organic carbonates.
IN
     Heuer, Lutz; Joentgen, Winfried; Klausener, Alexander
PA
     Bayer A.-G., Germany
     Ger. Offen., 7 pp.
SO
     CODEN: GWXXBX
DТ
     Patent
LΑ
     German
FAN.CNT 1
      PATENT NO. KIND DATE APPLICATION NO. DATE
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PI DE 4311424 A1 19941013
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PRAI DE 1993-4311424 19930407
      CASREACT 122:9676
      ANSWER 27 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
      121:133976 MARPAT
ΑN
      Carboxylic Acid Derivatives and Their Uses as Pharmaceuticals
IN
      Himmelsbach, Frank; Linz, Guenter; Austel, Volkhard; Pieper, Helmut;
      Mueller, Thomas; Weisenberger, Johannes; Guth, Brian
PA
      Thomae, Dr. Karl, G.m.b.H., Germany
SO
      Ger. Offen., 24 pp.
      CODEN: GWXXBX
DT
      Patent
LΑ
      German
FAN.CNT 1
      FALENI NO. KIND DATE APPLICATION NO. DATE
      DE 4241632 A1 19940616 DE 1992-4241632 19921210 CA 2111035 AA 19940611 CA 1993-2111035 19931208 EP 604800 A1 19940706 EP 1993-119786 19931208
PΙ
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
      R: AI, BE, CH, DE, DR, ES, FR, GB, GR, 1E, II, EI, EO, ND, FI 9305513 A 19940611 FI 1993-5513 19931209 NO 9304501 A 19940613 NO 1993-4501 19931209 JP 06239817 A2 19940830 JP 1993-308419 19931209 ZA 9309230 A 19950609 ZA 1993-9230 19931209 AU 9352306 A1 19940623 AU 1993-52306 19931210 CN 1094035 A 19941026 CN 1993-120876 19931210
PRAI DE 1992-4241632 19921210
L5
      ANSWER 28 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN 121:9389 MARPAT
ΤI
      Preparation of isoxazoles derivatives and their use as herbicides
IN
      Cramp, Susan Mary; Smith, Philip Henry Gaunt
PA
      Rhone Poulenc Agriculture Ltd., UK
SO
      Eur. Pat. Appl., 23 pp.
      CODEN: EPXXDW
דת
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LΑ
      English
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      EP 588357 A1 19940323
EP 588357 B1 20020612
                                                        EP 1993-114989 19930917
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           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
     AU 9346250 A1 19940324 AU 1993-46250 19930908
AU 666397 B2 19960208
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JP 06192015 A2 19940712 JP 1993-231546 19930917
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      AU 9346250 A1 19940324
                                                        AU 1993-46250 19930908
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      US 5480857
                         Α
                                19960102
     US 5480857 A 19960102 US 1993-128605 19930917
RU 2114842 C1 19980710 RU 1993-52688 19930917
EP 1156048 A1 20011121 EP 2001-119705 19930917
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE
     AT 219079 E 20020615 AT 1993-114989 19930917
                                20021101
      ES 2173877
                           T3
                                             ES 1993-114989
                                                                         19930917
PRAI GB 1992-19779
                          19920918
      EP 1993-114989 19930917
     ANSWER 29 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
1.5
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     120:270094 MARPAT
TI
     Preparation of cyclic imino derivatives as cell aggregation inhibitors
IN
     Himmelsbach, Frank; Austel, Volkhard; Pieper, Helmut; Linz, Guenter;
     Weisenberger, Johannes; Mueller, Thomas
PA
     Thomae, Dr. Karl, G.m.b.H., Germany
SO
     Eur. Pat. Appl., 38 pp.
     CODEN: EPXXDW
DΤ
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LA
     German
FAN.CNT 1
                         MIND DATE
      PATENT NO. KIND DATE
                                                   APPLICATION NO. DATE
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     EP 567966 A1 19931103 EP 1993-106724 19930426 EP 567966 B1 19980902
PΙ
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
     DE 4213919 A1 19931104 DE 1992-4213919 19920428
     DE 4213919

DE 4213919

US 5576444

A 19961119

DE 1992-4213919

19920428

US 5576444

A 19961119

DE 1993-53037

19930426

AT 170509

E 19980915

AT 1993-106724

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ES 2121888

T3 19981216

ES 1993-106724

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CA 2095009

AA 19931029

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NO 180045

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C 19970205

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A2 19940315

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AU 9338222

A1 19931104

AU 1993-38222

AU 9338222

AI 19931104

AU 1993-38222

AU 662223

B2 19950824

DE 1992-4213919

19920428
PRAI DE 1992-4213919 19920428
     ANSWER 30 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
     120:263859 MARPAT
AN
TI
     Preparation of herbicidal benzene derivatives.
IN
     Patel, Kanu Maganbhai
     du Pont de Nemours, E. I., and Co., USA
PA
SO
     PCT Int. Appl., 163 pp.
     CODEN: PIXXD2
DT
     Patent
T.A
     English
FAN.CNT 1
     WO 9405153 KIND DATE APPLICATION NO. DATE
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PΙ
     WO 9405153
                         A1 19940317
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          W: JP, KR, US
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      EP 659047
                         A1 19950628 EP 1993-921226 19930902
          R: DE, ES, FR, IT, PT
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JP 08501100
                     T2
                         19960206
                                        JP 1994-507335
                                                        19930902
PRAI US 1992-942539 19920909
                   19930902
    WO 1993-US8096
    ANSWER 31 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    120:30773 MARPAT
ΤI
    Oxadiazole derivatives having acetylcholinesterase-inhibitory and
    muscarinic receptor agonist activity
IN
    Takasugi, Hisashi; Kuno, Atsushi; Ohkubo, Mitsuru
PA
    Fujisawa Pharmaceutical Co., Ltd., Japan
SO
    PCT Int. Appl., 149 pp.
    CODEN: PIXXD2
    Patent
DT
    English
LΑ
FAN.CNT 1
                                       APPLICATION NO. DATE
    PATENT NO. KIND DATE
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    WO 9313083 A1 19930708
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                                        WO 1992-JP1658 19921218
        W: AU, CA, HU, JP, KR, RU, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                    A1 19930728
A1 19941019
    AU 9331714
                                        AU 1993-31714 19921218
    EP 619814
                                        EP 1993-900416 19921218
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
    JP 07502529 T2 19950316 JP 1992-511547 19921218 US 5622976 A 19970422 US 1994-244904 19940624
    US 5622976
PRAI GB 1991-27533
                     19911231
    GB 1992-20904
                     19921005
    WO 1992-JP1658
                   19921218
    ANSWER 32 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    119:159751 MARPAT
ΤI
    Preparation of 2-(oximinoalkyl)cyclohexane-1,3-diones as synergistic
    herbicides
    Kast, Juergen; Meyer, Norbert; Misslitz, Ulf; Bratz, Matthias; Walter,
ΙN
    Helmut; Rademacher, Wilhelm; Landes, Andreas; Kckemie, Tom; Carlson, Dale
PA
    BASF A.-G., Germany
    Ger. Offen., 33 pp.
SO
    CODEN: GWXXBX
DT
    Patent
T.A
    German
FAN.CNT 1
    PATENT NO. KIND DATE
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    PATENT NO.
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    DE 4222261
                     A1
                          19930609
                                        DE 1992-4222261 19920707
PRAI US 1991-790277 19911107
    ANSWER 33 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
ΑN
    119:138789 MARPAT
TI
    Preparation of 2-aralkoximinoalkyl-3-hydroxy-2-cyclohexenones and analogs
    as herbicides and benzothiophene antidotes for them
    Hagen, Helmut; Nilz, Gerhard; Roetsch, Thomas; Walter, Helmut; Landes,
IN
    Andreas
PA
    BASF A.-G., Germany
SO
    Ger. Offen., 76 pp.
    CODEN: GWXXBX
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09541795.15

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DT
    Patent
LA
    German
FAN.CNT 1
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    DE 4126999 A1 19930218

WO 9304057 A2 19930304

WO 9304057 A3 19930722
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                                                      _____
                                     DE 1991-4126999 19910816
PΙ
                                     WO 1992-EP1798 19920807
       W: CA, HU, JP, KR, US
       RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE
    EP 599906
                  A1 19940608 EP 1992-917128 19920807
    EP 599906
                   B1 19970115
       R: AT, BE, CH, DE, FR, GB, IT, LI, NL
    JP 06510029 T2 19941110 JP 1992-504062 19920807
    HU 67251
                   A2 19950328
                                     HU 1994-429 19920807
                   E 19970215
    AT 147740
                                     AT 1992-917128 19920807
    US 5491123
                        19960213 US 1994-193073 19940204
                   Α
PRAI DE 1991-4126999 19910816
    WO 1992-EP1798 19920807
    ANSWER 34 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    116:13416 MARPAT
AN
    Pressure- and heat-sensitive recording materials with good sensitivity,
TΤ
    storability and image stability
    Sano, Masajiro; Takashima, Masanobu; Satomura, Masato
ΙN
PA
    Fuji Photo Film Co., Ltd., Japan
    Jpn. Kokai Tokkyo Koho, 11 pp.
    CODEN: JKXXAF
DT
    Patent
LΑ
    Japanese
FAN.CNT 1
    PATENT NO. KIND DATE APPLICATION NO. DATE
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PI JP 03142277 A2 19910618
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PRAI JP 1989-282319 19891030
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L7 34 L5

=> s 16 and 17

L8 1 L6 AND L7

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- L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2001:758465 CAPLUS
- DN 136:47984
- TI Discovery of Novel p-Arylthio Cinnamides as Antagonists of Leukocyte Function-Associated Antigen-1/Intercellular Adhesion Molecule-1 Interaction. 4. Structure-Activity Relationship of Substituents on the Benzene Ring of the Cinnamide
- AU Winn, Martin; Reilly, Edward B.; Liu, Gang; Huth, Jeffrey R.; Jae, Hwan-Soo; Freeman, Jennifer; Pei, Zhonghua; Xin, Zhili; Lynch, John; Kester, Jeff; von Geldern, Thomas W.; Leitza, Sandra; DeVries, Peter; Dickinson, Robert; Mussatto, Donna; Okasinski, Gregory F.
- CS Metabolic Disease Research Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA
- SO Journal of Medicinal Chemistry (2001), 44(25), 4393-4403 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- IT 381229-53-4

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. and structure-activity relationships of p-arylthic cinnamides
 as antagonists of LFA-1/ICAM-1)

RN 381229-53-4 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2-methyl-5-nitrophenyl]-, methyl ester (9CI) (CA INDEX NAME)

IT 381229-52-3P 381229-54-5P 381229-55-6P

381229-56-7P 381229-79-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and structure-activity relationships of p-arylthic cinnamides as antagonists of LFA-1/ICAM-1)

RN 381229-52-3 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2-methyl-5-nitrophenyl](9CI) (CA INDEX NAME)

$$HO_2C-CH$$
  $CH$   $MeO$ 

RN 381229-54-5 CAPLUS

CN 2-Propenoic acid, 3-[5-amino-4-[(2-methoxyphenyl)thio]-2-methylphenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 381229-55-6 CAPLUS

CN 2-Propenoic acid, 3-[5-chloro-4-[(2-methoxyphenyl)thio]-2-methylphenyl]- (9CI) (CA INDEX NAME)

$$HO_2C-CH$$
  $CH$   $MeO$   $MeO$ 

RN 381229-56-7 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2-methylphenyl]- (9CI) (CA INDEX NAME)

RN 381229-79-4 CAPLUS

CN 2-Propenoic acid, 3-[3-chloro-4-[(2-methoxyphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)

AB We have shown that p-arylthio cinnamides can inhibit the interaction of LFA-1 and ICAM-1, which is involved in cell adhesion and the inflammatory process. We now show that 2,3-disubstitution on the aryl portion of the cinnamide results in enhanced activity over mono substitution on the ring. The best 2,3-substituents were chlorine and trifluoromethyl groups. Compds. 39 and 40 which contain two CF3 groups have IC50 values of 0.5 and 0.1 nM, resp., in inhibiting JY8 cells expressing LFA-1 on their surface, from adhering to ICAM-1. The structure-activity relation (SAR) was examd. using an NMR based model of the LFA-1 I domain/compd. 31 complex. One of our compds. (38) was able to reduce cell migration in two different in vivo expts.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:725609 CAPLUS

DN 133:296281

TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds

IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn,
 Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong;
 Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae,

Hwan-soo; Lynch, John K.

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Abbott Laboratories, USA
PA
    PCT Int. Appl., 476 pp.
SO
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
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    WO 2000059880
                    A1 20001012
                                        WO 2000-US8895 20000403
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            ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
            LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
            SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                          US 2000-541795 A 20000331
                                         EP 2000-921654 20000403
    EP 1165505
                     Α1
                           20020102
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                                          WO 2000-US8895 W 20000403
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                      Α
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                                                         20000403
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                          US 2000-541795 A 20000331
                                          WO 2000-US8895 W 20000403
    EE 200100513
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                           20021216
                                          EE 2001-513
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                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                          US 2000-541795 A 20000331
                                          WO 2000-US8895 W 20000403
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                     Α
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                                          NO 2001-4767
                                                          20011001
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                          WO 2000-US8895 W 20000403
    BG 106029
                      Α
                           20020531
                                          BG 2001-106029
                                                          20011018
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                          US 2000-541795 A 20000331
                                          WO 2000-US8895 W 20000403
    HR 2001000776
                  A1
                           20021231
                                          HR 2001-776
                                                      20011023
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                          US 2000-541795 A 20000331
                                          WO 2000-US8895 W 20000403
OS
    MARPAT 133:296281
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IT 280752-98-9

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

Patel

RN 280752-98-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 280752-72-9P 301179-73-7P 301179-75-9P,

2,3-Dichloro-4-(2-methoxyphenylthio)cinnamic acid 301179-87-3P

301179-93-1P 301179-94-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 280752-72-9 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-, methyl ester, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-73-7 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-75-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)

RN 301179-87-3 CAPLUS

CN 2-Propenoic acid, 3-[2-chloro-4-[(2-methoxyphenyl)thio]-6-(2-propenyloxy)phenyl]- (9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2 - O$$
 $HO_2C - CH = CH$ 
 $MeO$ 
 $MeO$ 

RN 301179-93-1 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2,3-bis(trifluoromethyl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-94-2 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-hydroxyphenyl)thio]phenyl]-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

GI

Ar 
$$R^{1}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{7}$ 

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un) substituted (hetero) aryl] were prepd. as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixt. of 2-[(2,4dichlorophenyl)thio]benzaldehyde (prepn. given), malonic acid, piperidine in anhyd. pyridine was heated at 110.degree.C for 2 h and then treated with aq. HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 .mu.M. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 .mu.M and 0.6 .mu.M, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2000:457022 CAPLUS

DN 133:89514

TI Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds

IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin;
Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong;
Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 400 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	C141 1																	
	PATENT	NO.		KIND DATE			A.	PPLI	CATI	ON NC	o. :	DATE						
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ΡI	WO 2000	0390	81	A:	2	2000	0706		W	O 19	99-U	S311	62	1999	1229			
	WO 2000	0390	81	A.	3	2001	0525											
	W:	ΑE,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,	
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GΒ,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	
		IN,	IS,	JΡ,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	
		SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UΖ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	
		BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM										
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	
		DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG					

US	6110922	A	20000829	US 1998-222491 A 19981229 US 1998-222491 19981229	
CA	2356320	AA	20000706	CA 1999-2356320 19991229 US 1998-222491 A 19981229	
				WO 1999-US31162W 19991229	
ΕP	1140814	A2	20011010	EP 1999-966709 19991229	
	R: AT, BE, G IE, SI, I			, GB, GR, IT, LI, LU, NL, SE, MC,	PT,
				US 1998-222491 A 19981229	
				WO 1999-US31162W 19991229	
JΡ	2002533434	T2	20021008	JP 2000-590994 19991229	
				US 1998-222491 A 19981229	
				WO 1999-US31162W 19991229	
EE	200100355	A	20021015		
				US 1998-222491 A 19981229	
	0001000011		00010000	WO 1999-US31162W 19991229	
NO	2001003241	A	20010828	NO 2001-3241 20010628	
				US 1998-222491 A 19981229	
UD	2001000512	λ 1	20020021	WO 1999-US31162W 19991229 HR 2001-512 20010710	
пк	2001000512	AI	20020631	US 1998-222491 A 19981229	
				WO 1999-US31162W 19991229	
BG	105732	А	20020228	BG 2001-105732 20010725	
		••		US 1998-222491 A 19981229	
				WO 1999-US31162W 19991229	
MAE	PAT 133.89514				

OS MARPAT 133:89514

IT 280752-72-9P 280752-98-9P, 2,3-Dichloro-4-(2-

methoxyphenylthio)cinnamic acid 280753-13-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-(hetaryl)(arylthio)cinnamamides with antiinflammatory, immune suppressant and cell adhesion inhibiting activity)

RN 280752-72-9 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-,
methyl ester, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280752-98-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Patel

RN 280753-13-1 CAPLUS

CN 2-Propenoic acid, 3-[3-chloro-4-[(2-methoxyphenyl)thio]-2-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

AB The present invention relates to novel cinnamide compds. that are useful for treating inflammatory and immune diseases, to pharmaceutical compns. contg. these compds., and to methods of inhibiting inflammation or suppressing immune response in a mammal. Among the approx. 400 trans-arylthiocinnamamide title compds., prepd. by std. methods, were 6-benzodioxanyl 2-trifluoromethyl-4-[(E)-2-[3-(R)-(ethoxycarbonyl)piperidinocarbonyl]ethenyl]phenyl sulfide (I), 2-ethoxyphenyl 2-trifluoromethyl-4-[(E)-2-[2-carboxy-4-(methoxycarbonyl)-1-piperazinylcarbonyl]ethenyl]phenyl sulfide (II) and 2-isopropylphenyl 2-nitro-4-[(E)-2-[3-(2-oxo-1-pyrrolidinyl)-1-propylaminocarbonyl]ethenyl]phenyl sulfide (III). The abilities of the title compds. to antagonize the interaction between ICAM-1 and LFA-1 were quantified using both biochem. and cell-based adhesion assays. E.g., compds. I-III exhibited 98% inhibition @ 4.mu.M.

## => d 17 fbib hitstr abs total

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L7 ANSWER 1 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2003:454275 CAPLUS

DN 139:36349

TI Preparation of arylalkyl-urea/carbamates for treatment of inflammation, diabetes and related disorders

IN Neogi, Partha; Dey, Debendranath; Li, Ta-Kai; Fuller, Joseph; Chen, Liang

PA Calyx Therapeutics Inc., USA

SO PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2003048108 A2 20030612 WO 2002-US38150 20021127

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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US 2001-334818PP 20011129

OS MARPAT 139:36349

GI

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- Title compds. I [R1-7 = H, alkyl, chloroalkyl, alkenyl, etc.; R8-9 = H, alkyl, alkenyl, heteroaryl, etc.; R10-12 = H, alkyl, alkenyl, aryl, heteroaryl, etc.; X = O, N, S0-2, etc.; Y = O, S, NH; Z = alkoxy, alkyl, chloroalkyl, etc.] and related analogs are prepd. For instance, 3-[3,5-dimethoxyphenyl]-2-[4-hydroxyphenyl]acrylic acid (prepn. qiven) is reacted with 4-fluorobenzaldehyde (DMSO, KOBu-t, 100.degree., 5 h), the resulting aldehyde is reacted with triethylphosphonoacetate (THF, NaH), the disubstituted olefin is then selectively reduced (EtOH-dioxane, H2-Raney Ni), the ester reacted with urea (EtOH, NaOEt) and finally esterified to give II. A selected example compd. has IC50 < 1 .mu.M for PDE4 and IC50 = 13.6 .mu.M for PDE3 and inhibits LPS-induced phosphorylation of p44/42 MAP kinase at 30 .mu.M. I are effective inhibiting the cytokine-mediated inflammatory response in cultured cells, in ameliorating bone destruction, in an animal model of arthritis and in lowering blood glucose levels in animal models of Type II diabetes mellitus. I are also useful for a variety of treatments including the treatment of diabetes mellitus, insulin resistance, inflammation, inflammatory diseases, immunol. diseases and cancer.

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L7 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2003:315967 CAPLUS

DN 138:287410

TI Preparation of 3-phenylacrylamides and analogs as inhibitors of cyclooxygenase II

IN Mauleon Casellas, David; Garcia Perez, Luisa; Palomer Benet, Albert; Pascual Avellana, Jaime

PA Laboratorios Menarini, S.A., Spain

SO Span., 27 pp. CODEN: SPXXAD

DT Patent

LA Spanish

FAN. CNT 1

	CIVI				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	ES 2164564	A1	20020216	ES 1999-2287	19991018
	ES 2164564	B1	20030216		
				ES 1999-2287	19991018

OS MARPAT 138:287410

GΙ

Patel

$$Z-A$$
 $D$ 
 $X$ 
 $R^1$ 

AB Carboxylic acids, amides and esters I [D = (alkyl)eth(en)ylene or ethynylene; A = CO, O, S, NH; X = NH or alkylimino; E = halo, alk(en)(yn)yl, cycloalkyl, cycloalkylalkyl, arylalkyl, haloalkyl, acyl, etc.; Z = (un)substituted Ph, pyridyl, furyl or thienyl; R1 = H, alkyl or phenylalkyl] or their pharmaceutically-acceptable salts were prepd. as inhibitors of cyclooxygenase II for treatment of inflammation, pain, fever, colorectal cancer, and Alzheimer's disease. Thus, 3-(3-benzoyl-5-ethyl)acrylamide was prepd. by a multistep sequence starting from Me 5-aminoisophthalate and involving reaction of 3-bromo-5-ethylbenzophenone with acrylamide in the final step.

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L7 ANSWER 3 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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Ι

AN 2003:150646 CAPLUS

DN 138:195820

TI Rinse-processing composition for processing silver halide color photographic material, processing apparatus and processing method

IN Seki, Hioyuki

PA Fuji Photo Film Co., Ltd., Japan

SO Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PA.	rent	NO.		KIND DATE				APPLICATION NO.					ο.	DATE			
				<b>-</b> -														
ΡI	EP	1286	214		A.	1	2003	0226		E	P 20	02-18	3919		2002	0823		
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK		
										J:	P 20	01-2	53095	5 A	2001	0823		
	CN	1407	400		Α		2003	0402		Cì	1 20	02-13	30116	5	2002	0822		
										J	P 20	01-2	5309	5 A	2001	0823		
	JP	2003	1403	12	A2	2	2003	0514		J	P 20	02-24	43599	9	2002	0823		
										J	P 20	01-2	5309	5 A	2001	0823		

OS MARPAT 138:195820

AB A rinse-processing compn. of the present invention comprises a compd. represented by R-(OC2H4)n-OH, (R=C8-13 alkyl; n=10-30), but comprises neither aldehyde compds. nor hexamethylenetetramine derivs. The present invention relates to a processing method and a processing app. using such a rinse-processing compn.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2002:709196 CAPLUS
- DN 137:239851
- TI Electrophoretic displays using improved dispersants
- IN Obikawa, Takeshi; Katase, Makoto; Kinoshita, Satoshi; Uehara, Masamitsu
- PA Seiko Epson Corp., Japan

SO DT LA FAN.	Jpn. Kokai Tokky CODEN: JKXXAF Patent Japanese CNT 2	vo Koho	o, 15 pp.		,
	PATENT NO.		DATE	APPLICATION NO.	DATE
PI	JP 2002268097 US 2002175891	A2 A1		JP 2001-70371 US 2002-97361 JP 2001-70371 A JP 2001-70372 A	20010313 20020312 20010313
FAN	INT FAMILY INFORMA 2002:709197 PATENT NO.	-	DATE	APPLICATION NO.	DATE
PI	JP 2002268098 US 2002175891			JP 2001-70372 US 2002-97361 JP 2001-70371 A JP 2001-70372 A	20010313 20020312 20010313
OS AB	MARPAT 137:23985 The displays use dispersants for reliability and	e org. electr	ophoretic part	gtoreq.2 rings in its display.	n structures in
L7 AN DN TI IN PA SO DT LA FAN	as antibacterial	PLUS trepto agent 1; Ron 5.A., F	gramin derivat: s an, Baptiste; l r.	03 ACS on STN ives, and composit Bacque, Eric; Barr	ions containing them iere, Jean-Claude
1111.	PATENT NO.	KIND		APPLICATION NO.	DATE
PI	WO 2002050083 W: JP	A1 CH, CY	20020627	WO 2001-FR4061 FI, FR, GB, GR, IE	
os	FR 2818644 US 2002143041 US 6596717 CASREACT 137:631	A1 A1 B2	20020628 20021003 20030722	FR 2000-16803 A FR 2000-16803 US 2001-24186  FR 2000-16803 A US 2001-262645PP	
GI	CABREACT 13/:031	.⊥/; MA	KFM1 13/:0311/		

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention concerns group A streptogramin derivs. I [R1 = linear or branched C1-6-alkyl, C3-6-alkenyl or C3-6-alkynyl which may be

mono-fluorinated or poly-fluorinated, C3-6-cycloalkyl, phenylmethyl, arom. heterocyclylmethyl; R2 = H, Me, Et; the dashed bond = a single bond (stereochem. 27R) or a double bond] and their pharmaceutically acceptable salts or their mixt. with group B derivs. and their prepn. characterized by direct alkylation of I (R1 = H) with R1X (X = halogen, OSO2Me, OSO2C6H4Me-4, OSO2CF3) in the presence of a phase transfer agent or from macrolide II (R3 = BOC or other protective group; R4 = H, R1). Thus, I (R1 = R2 = Me) was prepd. from I (R1 = H, R2 = Me) via redn. with NaBH4 in CH2Cl2 followed by alkylation with MeI in aq. CH2Cl2 contg. NaOH and catalytic Bu4NBr. Derivs. I are particularly interesting antibacterial agents. Streptogramin derivs. I were tested in vitro [DC50 = 0.06 - 32 .mu.g/mL, alone or in combination with type B derivs.] and in vivo [DC50 = 32 - 150 mg/kg orally in mice].

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 6 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
L7
AN
    2002:429215 CAPLUS
DN
    137:13339
    Homeotropic alignment layer for liquid crystal display
TI
    Heckmeier, Michael; Klasen-Memmer, Melanie; Luessem, Georg; Tarumi,
IN
    Kazuaki; Coates, David; Parri, Owain Llyr; Verrall, Mark Andrew
PΑ
    Merck Patent Gmbh, Germany
SO
    PCT Int. Appl., 48 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                KIND DATE
                                APPLICATION NO. DATE
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    WO 2002044801 A2
                                      WO 2001-EP13584 20011122
ΡI
                          20020606
    WO 2002044801
                    A3 20020801
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU,
            CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
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IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 2002033193

AU 2002033193 A5 20020611 AU 2002-33193 20011122 EP 2000-125235 A 20001123 WO 2001-EP13584W 20011122

OS MARPAT 137:13339

AB The invention relates to an alignment layer comprising a polymd. liq. crystal material with homeotropic orientation, to methods of its prepn., to polymerizable liq. crystal compns. and liq. crystal polymers used for the prepn. of the alignment layer, to liq. crystal devices comprising the alignment layer, and to a method of controlling the electrooptical steepness of a liq. crystal display comprising at least one alignment layer by varying the surface anchoring energy of the alignment layer. The alignment layer of homeotropic liq. crystal polymd. material of the present invention exhibits particularly high surface anchoring energy and yields strong homeotropic alignment in a liq. crystal medium. The inventive alignment layer induces improved vertical or homeotropic alignment in a liq. crystal display medium.

09541795.15 Page 36

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L7
     ANSWER 7 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
     2001:850646 CAPLUS
ΑN
DN
     135:371527
     Preparation of bisacylguanidine with cardioprotective activity
TI
     Gericke, Rolf; Beier, Norbert
IN
     Merck Patent G.m.b.H., Germany
PA
SO
     Ger. Offen., 12 pp.
     CODEN: GWXXBX
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                         KIND DATE
                                                APPLICATION NO.
                         _ _ _ _
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                                                 -----
     DE 10024319
PΙ
                         A1
                                20011122
                                                DE 2000-10024319 20000517
                                                WO 2001-EP4425
     WO 2001087829
                         Al
                               20011122
                                                                    20010419
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
              HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
              LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
              RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
              VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                DE 2000-10024319A 20000517
     CASREACT 135:371527; MARPAT 135:371527
OS
GΙ
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$$R^2$$
 $R^1$ 
 $R^1$ 
 $R^9$ 
 $R^3$ 
 $R^4$ 
 $R^5$ 
 $R^6$ 
 $R^7$ 

Ι

$$H_2N$$
 $NH_2$ 
 $CH_2-CH_2$ 
 $NH_2$ 
 $NH_2$ 

AB Bisacylguanidines I [one of R1, R2, R3, R4 or R5 = CON:C(NH2)2, CH:CMeCON:C(NH2)2 and one of R6, R7, R8, R9 or R10 = CON:C(NH2)2, CH:CMeCON:C(NH2)2; the other R1 - R10 = H, A, CH, F, Cl, Br, I, SA, OA, SO2A, OH, NH2, NHA, NA2, COA, (un)substituted Ph, CH2Ph, OPh, N-, S-, O-contg. heterocycle; X = S, SO2, (CH2)n, CO,O, OCH2; A = C1-8-alkyl; n =

1 - 3] and their physiol. harmless salts and/or solvates, with cardioprotective characteristics and works as inhibitors of the cellular Na+/H+ antiporters of the Subtyp 1 are described. Thus, N-{3-[2-(3-guanidinocarbonylphenyl)ethyl]benzoyl}guanidine dihydrochloride (II.cntdot.HCl), was prepd. from 3-[2-(3-carboxyphenyl)ethyl]benzoic acid and Boc-guanidine in 1-methyl-2-pyrrolidone contg. 2-chloro-1-methylpyridinium iodide and Et2NCHMe2, followed by hydrolysis with aq. HCl. Formulations for use in injections, suppositories, solns., tablets, capsules and ampules are given.

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Ь7
    ANSWER 8 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     2001:359750 CAPLUS
DN
     134:348284
ΤI
     Phenyl compounds to treat diabetes and associated conditions
IN
     Neogi, Partha; Nag, Bishwajit; Lakner, Frederick J.; Dey, Debendranath;
     Medicherla, Satyanarayana
PΑ
     Calyx Therapeutics, Inc., USA
SO
     PCT Int. Appl., 47 pp.
     CODEN: PIXXD2
DТ
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                           APPLICATION NO.
                                                            DATE
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ΡI
     WO 2001034094
                      A2
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                                           US 1999-436047 A 19991108
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     US 6525093
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                                           US 1999-436047
                                                            19991108
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                      A5
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                                           AU 2001-17607
                                                           20001108
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                                           US 1999-436047 A319991108
OS
    MARPAT 134:348284
GI
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- AB Ph compds. (Markush included) are provided that lower blood glucose concns., lower serum triglyceride concns., lower systolic blood pressure, and increase glucose uptake by adipose tissue, but do not affect the expression of PPAR-.gamma. by adipose tissue. Compds. of the invention include e.g. I.
- L7 ANSWER 9 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2001:18947 CAPLUS
- DN 134:86151
- TI Preparation of indole-2,3-dicarboxamides, benzothiophene-2,3-carboxamides, and benzofuran-2,3-carboxamides as herbicides
- PA Nihon Nohyaku Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 28 pp. CODEN: JKXXAF
- DT Patent
- LA Japanese
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001002642	A2	20010109		19990621 19990621

OS MARPAT 134:86151

GI

The title compds. [I and II; R1 = H, C1-8 alkyl; R2 = C1-8 (halo)alkyl, AB C1-8 alkoxy, optionally halo-substituted C3-8 cycloalkyl, C3-8 cycloalkyl-C1-6 alkyl, C1-8 alkoxy-C1-6 alkyl, C1-8 alkylthio-C1-6 alkyl, C1-8 alkoxycarbonyl-C1-6 alkyl, (un)substituted phenyl-C1-6 alkyl, aminoalkyl, mono- or di(C1-8 alkyl)amino-C1-6 alkyl, phenyl-C1-6 alkoxy, (un) substituted heterocyclyl having .gtoreq.1 hetero atoms selected from O, S, and N; X = H, halo, NO2, cyano, C1-8 alkyl, halo-C1-8 alkyl, .gtoreg.1 halo-substituted C3-8 cycloalkyl, C3-8 cycloalkyl-C1-6 alkyl, C1-8 alkoxy, halo-C1-8 alkyl, C1-8 alkylthio, etc.; Y = H,halo, NO2, cyano, C1-8 alkyl, halo-C1-8 alkyl, C3-8 cycloalkyl, .gtoreq.1 halo-substituted C3-8 cycloalkyl, C3-8 cycloalkyl-C1-6 alkyl, C1-8 alkoxy, halo-C1-8 alkoxy, C1-8 alkylthio, halo-C1-8 alkylthio, C1-8 alkylsulfinyl, etc.; Z = O, S, (un) substituted NH] are prepd. These compds. are effective for controlling annual or perennial weeds by post or preemergent application in rice paddy, uplands, and orchards. Thus, 1-methylindole-2,3-dicarboxylic acid and trifluoroacetic anhydride were refluxed in CH2Cl2 for 3 h to give, after evapg. the solvent in vacuo, crude 1-methylindole-2,3-dicarboxylic anhydride. The latter compd. was stirred with 3-chloro-2,6-diethylaniline in THF at room temp. for 3 h and refluxed for 2 h, followed by evapg. the solvent in vacuo and adding CF3CO2H and trifluoroacetic anhydride, and the resulting mixt. was refluxed with stirring for 3 h to give N-(3-chloro-2,6-diethylphenyl)-1methyl-2,3-indoledicarboximide. The latter compd. was dissolved in dioxane and stirred with n-propylamine at room temp. for 12 h to give 26% 3-(3-chloro-2,6-diethylphenyl)aminocarbonyl-1-methyl-N-propyl-2indolecarboxamide and 19% 2-(3-chloro-2,6-diethylphenyl)aminocarbonyl-1methyl-N-propyl-3-indolecarboxamide (II). II at 5 kg/ha (preemergent application) controlled 100% Echinochloa crus-galli and Scirpus juncoides.

L7 ANSWER 10 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:725609 CAPLUS

DN 133:296281

TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting

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antiinflammatory and immune-suppressive compounds
    Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn,
IN
    Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong;
    Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae,
    Hwan-soo; Lynch, John K.
    Abbott Laboratories, USA
PA
SO
    PCT Int. Appl., 476 pp.
     CODEN: PIXXD2
DT
     Patent
    English
LΑ
FAN.CNT 1
     PATENT NO.
                   KIND DATE
                                        APPLICATION NO. DATE
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PΙ
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                                        WO 2000-US8895 20000403
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                                          US 1999-474517 A 19991229
                                          US 2000-541795 A 20000331
                           20020102
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                                          EP 2000-921654 20000403
                      Α1
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                      Α
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                                          WO 2000-US8895 W 20000403
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                           20021231
                                          HR 2001-776
                                                       20011023
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
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OS
    MARPAT 133:296281
GΙ
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$$R^{1}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
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 $R^{4}$ 
 $R^{5}$ 
 $R^{5$ 

AΒ The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un) substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepd. as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixt. of 2-[(2,4dichlorophenyl)thio]benzaldehyde (prepn. given), malonic acid, piperidine in anhyd. pyridine was heated at 110.degree.C for 2 h and then treated with aq. HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 .mu.M. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 .mu.M and 0.6 .mu.M, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 11 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1999:704991 CAPLUS
- DN 131:322420
- TI Substituted phenyl compounds and derivatives thereof that modulate the activity of endothelin
- IN Chan, Ming Fai; Balaji, Vitukudi Narayanaiyengar; Castillo, Rosario Silvestre; Kois, Adam; Raju, Bore Gowda; Wu, Chengde
- PA Texas Biotechnology Corporation, USA
- SO U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 583,871, abandoned. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 2

	PATENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON N	0. :	DATE			
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									U	S 19	96-5	8387	1 B2	1996	0105		
	WO 9725	321		A	2	1997	0717		W	0 19	97-U	S366		1997	0103		
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            MR, NE, SN, TD, TG
                                          US 1996-583871 A 19960105
                                          US 1996-590139 A 19960123
    AU 9715324
                      A1
                            19970801
                                           AU 1997-15324 19970103
                                           US 1996-583871 A 19960105
                                           US 1996-590139 A 19960123
                                          WO 1997-US366 W 19970103
    EP 876364
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                      A2
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    US 6265428
                      В1
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                                           US 1999-327661 19990608
                                           US 1996-583871 B219960105
                                           US 1996-590139 A119960123
                                          US 2001-808771
    US 2001014694
                     A1
                           20010816
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                                           US 1996-590139 A119960123
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PATENT FAMILY INFORMATION:
FAN 1997:564939
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
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    WO 9725321
                      A2
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                                          WO 1997-US366
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    WO 9725321 A3 19970912
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                                          US 1996-583871 A 19960105
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                                          WO 1997-US366 W 19970103
    MARPAT 131:322420
OS
GI
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 $Ar^{1}-X-Ar^{3}-Y-Ar^{1}$  I

Methods, compns., and compds. for modulating the activity of an endothelin AB peptide are provided. The methods use compns. that contain carboxylic acid compds. I (X and Y are selected from groups that include O, S, and NH; and Ar1, Ar2 and Ar3 are independently selected from substituted or unsubstituted groups that include 5 to 6 membered aryl groups and heteroaryl groups that contain one or two heteroatom(s)). Twenty-seven compds. were prepd. and claimed. For example, 2-[3,4-(methylenedioxy) phenoxy] -6-(4-methylphenoxy) benzoic acid was prepd. in 33 % yield by t he reaction of Na 4-methylphenoxide with Et 2-fluoro-6-[3,4-(methylenedioxy)phenoxy]benzoate followed by deesterification or 4,6-diphenoxy-2-(methylthio)pyrimidine-5-carboxylic acid was prepd. in 71 % yield by the reaction of 4,6-diphenoxy-2-(methylthio)pyrimidine with BuLi and dry ice. The activity of endothelin receptors are modulated by contacting with one or more of the compds. or with compns. contg. one or more of the compds. prior to, simultaneously with, or subsequent to contacting the receptors with an endothelin peptide.

RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7
    ANSWER 12 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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1999:566069 CAPLUS AN

DN 131:185250

Preparation of Streptogramin derivatives as antimicrobial agents TI

Desmazeau, Pascal; Doerflinger, Gilles; Ribeill, Yves; Bacque, Eric; IN Barriere, Jean-claude; Dutruc-rosset, Gilles; Puchault, Gerard

Rhone-Poulenc Rorer S.A., Fr. PΑ

SO PCT Int. Appl., 202 pp.

CODEN: PIXXD2

DT Patent

LΑ French

EAM CMT 1

FAN.	CNT	1																	
	PAT	FENT					DATE								DATE				
D.T.																			
ΡI	WO	9943																	
		W :					ВG,						-				-		
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			BY,	KG,	KZ,	MD,	RU,	ТJ,	TM										
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	
			FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	
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										FI	र 19	98-23	316	Α	1998	0226			
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										W	19	99-FI	R409	W	1999	0224			
	AU	9926	283		A	1	1999	0915											
										FI	₹ 19	98-23	316	Α	1998	0226			
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										W	) I 9	フフード	K409	W	エフソソ	1224			

09541795.15		Page 44				
JP 2002504560	<b>T</b> 2	20020212	JP	2000-533450		19990224
			FR	1998-2316	Α	19980226
			WO	1999-FR409	W	19990224
ZA 9901546	Α	19990825	ZA	1999-1546		19990225
			FR	1998-2316	Α	19980226
HR 200000452	A1	20001231	HR	2000-452		20000705
			FR	1998-2316	Α	19980226
			WO	1999-FR409	W	19990224
NO 2000004273	Α	20001023	NO	2000-4273		20000825
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			WO	1999-FR409	W	19990224
US 2002151676	<b>A</b> 1	20021017	US	2002-161804		20020605
			FR	1998-2316	Α	19980226
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			US	2000-643197	<b>A</b> 3	20000822

OS MARPAT 131:185250

GΙ

$$\begin{array}{c} R^4 \\ R^3 \\ R^5 \\ R^6 \\ R^6 \\ R^2 \\ R^3 \\ R^2 \\ R^2 \\ R^3 \\ R^2 \\ R^3 \\ R^2 \\ R^3 \\ R^2 \\ R^3 \\$$

AB The invention concerns group B streptogramin derivs. I (Y = N, substituted carbon; R1 = H, alkyl, alkenyl, cycloalkyl, heterocycle, Ph, aryl; R2 = H, alkyl; R3 = Me, Et; R4-R6 = independently H, methylamino, dimethylamino, halo, alkenyl) were prepd. as antimicrobial agents. Thus, 2"-methyl-pyrido[2,3-5.gamma.,5.delta.]pristinamycin IE was prepd. and tested for its antimicrobial activity (no data).

Ι

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:352804 CAPLUS
- DN 129:40990
- TI Bi-aromatic compounds with RXR receptor activity, pharmaceutical and cosmetic compositions containing them, and their uses
- IN Bernardon, Jean-Michel; Diaz, Philippe

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Centre International de Recherches Dermatologiques Galderma (C.I.R.D.
PA
     Galder, Fr.; Bernardon, Jean-Michel; Diaz, Philippe
SO
     PCT Int. Appl., 71 pp.
     CODEN: PIXXD2
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     Patent
    French
LΑ
FAN.CNT 1
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                    A1 19980528 WO 1997-FR2063 19971117
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                     AA
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                                         CA 1997-2243404 19971117
                                          FR 1996-14098 A 19961119
                   A1
    AU 9852254
                           19980610
                                         AU 1998-52254
                                                        19971117
    AU 719468
                     B2
                           20000511
                                          FR 1996-14098 A 19961119
                                         WO 1997-FR2063 W 19971117
     JP 11503472
                     T2
                           19990326
                                         JP 1998-523275 19971117
     JP 3232484
                     B2
                           20011126
                                          FR 1996-14098 A 19961119
                                         WO 1997-FR2063 W 19971117
     BR 9707153
                 Α
                           19990406
                                          BR 1997-7153
                                                        19971117
                                          FR 1996-14098 A 19961119
                                         WO 1997-FR2063 W 19971117
     EP 915823
                    A1
                           19990519
                                          EP 1997-947075
                                                        19971117
     EP 915823
                     B1
                           20010418
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
                                          FR 1996-14098 A 19961119
                                         WO 1997-FR2063 W 19971117
    AT 200661
                      Ε
                           20010515
                                         AT 1997-947075 19971117
                                          FR 1996-14098 A 19961119
                                         WO 1997-FR2063 W 19971117
    US 6258775
                     В1
                           20010710
                                         US 1997-101622 19971117
                                          FR 1996-14098 A 19961119
                                          WO 1997-FR2063 W 19971117
     JP 2001233821
                           20010828
                     A2
                                          JP 2000-399456 19971117
                                          FR 1996-14098 A 19961119
                                         JP 1998-523275 A319971117
     ES 2158597
               Т3
                           20010901
                                         ES 1997-947075 19971117
                                         FR 1996-14098 A 19961119
OS
    MARPAT 129:40990
GI
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$$\mathbb{R}^3$$
 $\mathbb{R}^4$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^4$ 

The invention concerns novel bi-arom. compds. I [R1 = Me, CH2OR5, OR5, AB COR6; Y = (un)substituted CH:CH or C.tplbond.C; A = (un)substituted divalent (ortho or meta) benzene, furan, thiophene, or pyridine nucleus; X = 0, S, SO, SO2, CO, C(:CH2), C(:CMe2), CH2, etc.; R2, R3 = H, alkyl, OR5, SR5, polyether; or R2R3 may form ring optionally substituted by Me or interrupted by O or S; R4 = H, halo, alkyl, OR5, polyether; R5 = H, alkyl, acyl; R6 = H, alkyl, (un) substituted NH2 or OH]. The compds. are agonists or antagonists of RXR receptors (no data), and can be used in pharmaceutical compns. for human or veterinary medicine (in particular for treating dermatol., rheumatic, respiratory, cardiovascular, and ophthalmol. disorders), as well as cosmetic compns. For instance, Friedel-Crafts acylation of 5,5,8,8-tetramethyl-5,6,7,8tetrahydronaphthalene with 3-iodobenzoyl chloride (54.6%), followed by Pd-catalyzed vinylation of the iodide with Me acrylate (77%), and hydrolysis of the resultant ester with aq. NaOH in THF (86%), gave title compd. II.

ΙI

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:574515 CAPLUS

DN 127:220986

TI Preparation of phenylalanine derivatives as endothelin antagonists

IN Berryman, Kent Alan; Cheng, Xue-min; Doherty, Annette Marian; Edmunds, Jeremy John; Klutchko, Sylvester

PA Warner-Lambert Co., USA

SO U.S., 23 pp. CODEN: USXXAM

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5658943	Α	19970819	US 1995-369209	19950105
				US 1995-369209	19950105

OS MARPAT 127:220986

GΙ

$$R-X$$
 $R^5$ 
 $R^6$ 
 $R^7$ 
 $R^7$ 
 $R^8$ 
 $R^9$ 
 $R^8$ 
 $R^9$ 
 $R^9$ 

Novel endothelin antagonists I [R = absent, Q; R1, R2 = independently H, AΒ lower alkyl, halo, OH, alkoxy, alkylthio, CN, amino, alkylamino, dialkylamino, acylamino, CF3, carboxy, carboalkoxy, hydroxyalkyl, aminoalkyl, NO2; R1R2 = OCH2O, OCH2CH2O; n = 0-4; X = absent, O, S(0)m, NH, N-alkyl; m = 0-2; R3, R4 = independently H, alkyl, OH, alkoxy, aryloxy, alkylthio, arylthio, alkyl-NH, dialkylamino, halo, Z(CH2) qCO2R11, Z(CH2) qOR11; Z = NH, S, O; q = 0-4; R11 = H, lower alkyl;R3R4 = OCH2O, OCH2CH2O; R5 = H, YR10; Y = O, S(O)m, NH, N-alkyl, (CH2)p; p = 0-3; R10 = alkyl, (un)substituted phenyl; R6 = H, alkyl, alkenyl, CH2Ph; R7 = hydroxyalkyl, CO2R6, CONR62, NHSO2-alkyl, NHSO2CF3, NHSO2-aryl, SO3R9, PO3R9, CONHSO2-alkyl, CONHSO2-aryl, CONH-tetrazole, tetrazole; R8 = H, alkyl, aryl, aralkyl, heteroaryl, COR14, aralkyl, diaralkyl, OR15, NR15R16; R9 = H, alkyl, (un) substituted Ph; R14 = alkyl, aryl; R15, R16 = independently H, alkyl, cycloalkyl, aryl, aralkyl] are described, as well as novel intermediates used in their prepn., methods for the prepn. and pharmaceutical compns. of the same, which are useful in treating elevated levels of endothelin, essential, renovascular, malignant and pulmonary hypertension, cerebral infarction, myocardial ischemia, cerebral ischemia, congestive heart failure and subarachnoid hemorrhage. Thus, acylation of 2-benzyloxy-3-methoxy-DL-phenylalanine with diphenylacetyl chloride gave phenylalanine deriv. II. II and related phenylalanine derivs. showed endothelin receptor binding activity with IC50 = 1.0 to >25 .mu.M.

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L7 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1997:564939 CAPLUS

DN 127:161816

TI Preparation of aryl- and/or heteroaryl-substituted benzoic acids as endothelin antagonists and/or agonists

IN Chan, Ming Fai; Balaji, Vitukudi Narayanaiyengar; Castillo, Rosario Silverstre; Kois, Adam; Raju, Bore Gowda; Wu, Chengde

PA Texas Biotechnology Corp., USA

SO PCT Int. Appl., 136 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE \_ \_ \_ \_ \_\_\_\_\_ PΙ WO 9725321 A2 19970717 WO 1997-US366 19970103 WO 9725321 A3 19970912 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,

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MR, NE, SN, TD, TG
                                             US 1996-583871 A 19960105
                                             US 1996-590139 A 19960123
                                             US 1996-590139 19960123
     US 5977117
                       Α
                            19991102
                                             US 1996-583871 B219960105
                       A1 19970801
                                             AU 1997-15324 19970103
     AU 9715324
                                             US 1996-583871 A 19960105
                                             US 1996-590139 A 19960123
                                             WO 1997-US366 W 19970103
                                             EP 1997-901420 19970103
     EP 876364
                  A2 19981111
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI
                                             US 1996-583871 A 19960105
                                             US 1996-590139 A 19960123
                                             WO 1997-US366 W 19970103
PATENT FAMILY INFORMATION:
FAN 1999:704991
                   KIND DATE
     PATENT NO.
                                             APPLICATION NO. DATE
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PΙ
     US 5977117 A 19991102
                                             US 1996-590139 19960123
                                             US 1996-583871 B219960105
     WO 9725321 A2 19970717
WO 9725321 A3 19970912
                                             WO 1997-US366
                                                             19970103
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
             MR, NE, SN, TD, TG
                                             US 1996-583871 A 19960105
                                             US 1996-590139 A 19960123
     AU 9715324 A1 19970801
                                             AU 1997-15324 19970103
                                             US 1996-583871 A 19960105
                                             US 1996-590139 A 19960123
                                             WO 1997-US366 W 19970103
     EP 876364
                       A2 19981111
                                             EP 1997-901420 19970103
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI
                                             US 1996-583871 A 19960105
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                                             WO 1997-US366 W 19970103
     US 6265428
                    B1 20010724
                                             US 1999-327661 19990608
                                             US 1996-583871 B219960105
                                             US 1996-590139 A119960123
     US 2001014694 A1
                           20010816
                                             US 2001-808771 20010314
                                             US 1996-583871 B219960105
                                             US 1996-590139 A119960123
                                             US 1999-327661 A119990608
OS
    MARPAT 127:161816
GΙ
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Page 48

AB The title compds. Ar2-X-Ar3-Y-Ar1 [I; X, Y = O, S, NH, etc.; Ar1, Ar2 = aryl and heteroaryl contg. one ring or 2-3 fused rings; Ar3 = aryl, heteroaryl], useful in the treatment of hypertension, cardiovascular disease, asthma, pulmonary hypertension, inflammatory diseases, ophthalmol. disease, menstrual disorders, obstetric conditions, wounds, qastroenteric disease, renal failure, immunosuppressant-mediated renal vasoconstriction, erythropoietin-mediated vasoconstriction endotoxin shock, anaphylactic shock and hemorrhagic shock, were prepd. Thus, reaction of Et 2,6-difluorobenzoate and sodium 3,4-methylenedioxyphenoxide in DMSO followed by reaction of the resulting Et 2-fluoro-6-[3,4-(methylenedioxy) phenoxy] benzoate with sodium 4-methoxyphenoxide in DMSO, and hydrolysis of the ester with NaOH/EtOH afforded the title compd. II. Almost all of the compds. I have an IC50 of less than 10 .mu.M and many have an IC50 less than about 1 .mu.M for either or both of the ETA and ETB receptors.

ΙI

L7 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:341871 CAPLUS

DN 126:312254

TI Inhibitors of global pathogenesis gene regulators for treatment of microbial infections, pharmaceutical compositions, and screening methods

IN Bao, Ying; Boggs, Amy; Contag, Pamela R.; Federspiel, Nancy A.; Hebert,
Alan; Hecker, Scott; Malouin, Francois

PA Microcide Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PAT	TENT NO.		KIN	ID I	DATE			A	PPLI	CATI	ON NO	Ο.	DATE				
							<b>-</b> -		-									
ΡI	WO	9711690		A2	2	1997	0403		W	) 19	96-U	S1543	35	1996	0925			
		W: AU,	CA, (	CU,	DE,	IL,	JP,	MX,	NZ									
		RW: AT,	BE, 0	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE
									U.	5 19	95-4	626P	Ρ	1995	0929			
									U:	5 19	96-6	72215	5 A	1996	0625			
	US	6020121		Α		2000	0201		U.	S 19	96-6	72215	5	1996	0625			
	ΑU	9671686		A1	. :	1997	0417		Α	J 19	96-7	1686		1996	0925			
									U.	5 19	95-4	626P	Р	1995	0929			
									U.	5 19	96-6	72215	5 A	1996	0625			
									W	19	96-U	S1543	35W	1996	0925			

OS MARPAT 126:312254

AB Methods are provided for screening for potential inhibitors of bacterial, or other microbial, global pathogenesis gene regulators and other gene regulators. Methods are also provided for treating microbial (e.g., bacterial) infections using such inhibitors. Also included are pharmaceutical compns. contg. such inhibitors. The screening methods

involve detecting whether the activity of a global pathogenesis gene regulator is altered in the presence of a test compd.

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L7 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1997:270622 CAPLUS

DN 126:251163

TI Preparation of substituted aminouracils as herbicides.

IN Andree, Roland; Drewes, Mark Wilhelm; Dollinger, Markus

PA Bayer A.-G., Germany

SO Ger. Offen., 18 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

OS

GI

MARPAT 126:251163

PAN.			KIND I	DATE	APPLICATION NO. DATE
PI					DE 1995-19532344 19950904 CA 1996-2230650 19960822 DE 1995-19532344A 19950904
	WO	9709319	A1 -	19970313	WO 1996-EP3693 19960822
					CN, CZ, HU, JP, KR, KZ, LK, MX, NO, NZ,
			, RU, SK,		
		•			FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
					CM, GA, GN, ML, MR, NE, SN, TD, TG
		- •	, -, - ,	<b>,</b> - <b>,</b>	DE 1995-19532344A 19950904
	AU	9668762	A1 3	19970327	AU 1996-68762 19960822
	ΑU	705631	B2 :	19990527	
					DE 1995-19532344A 19950904
					WO 1996-EP3693 W 19960822
	ΕP	851861	A1 :	19980708	EP 1996-929303 19960822
		R: CH, DE	, FR, GB,	IT, LI	
					DE 1995-19532344A 19950904
					WO 1996-EP3693 W 19960822
	CN	1195341	Α :	19981007	CN 1996-196722 19960822
	CN	1108293	В 2	20030514	
		11510100			DE 1995-19532344A 19950904
	JΡ	11512102	T2 _	19991019	
					DE 1995-19532344A 19950904
	HC	6008160	A :	10001000	WO 1996-EP3693 W 19960822
	US	0000100	Α .	19991228	
					DE 1995-19532344A 19950904 WO 1996-EP3693 W 19960822
	סם	9610194	Α :	10001215	
	DR	7010194	Α .	19301213	DE 1995-19532344A 19950904
					WO 1996-EP3693 W 19960822
					110 1990-EF3093 W 19900022

Title compds. [I; R1 = H, cyano, halo; R2 = cyano, thiocarbamoyl; R3, R4 = AB H, (substituted) alkyl, alkylcarbonyl, alkenyl, alkenylcarbonyl, alkynyl, alkynylcarbonyl, cycloalkyl, cycloalkylcarbonyl, cycloalkylalkyl, arylcarbonyl, aralkylcarbonyl, etc.; R3R4 = (substituted) alkylene, oxoalkylene, dioxoalkylene; R5 = H, halo, (substituted) alkyl, alkoxy; R6 = (substituted) alkyl; R7, R8 = H, (substituted) alkyl, alkenyl, alkynyl], were prepd. Thus, 3-amino-1-(4-cyano-2-fluoro-5-ethylsulfonylaminophenyl)-5-trifluoromethyl-3,6-dihydro-2,6-dioxo-1(2H)-pyrimidine was refluxed with trifluoroacetic anhydride and Et3N in MeCN to give 3-amino-1-(4-cyano-2fluoro-5-trifluoroacetylaminophenyl)-5-trifluoromethyl-3,6-dihydro-2,6dioxo-1(2H)pyrimidine. The latter at 30 q/ha preemergent gave 100% control of Setaria, Abutilon, Galium, Matricacria, and Polygonum while leaving corn unaffected.

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L7
    ANSWER 18 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1997:178848 CAPLUS

DN 126:171617

ΤI Preparation of arylaminouracils as herbicides and intermediates.

Andree, Roland; Drewes, Mark Wilhelm; Schallner, Otto; Dollinger, Markus; IN Santel, Hans-Joachim

PΑ Bayer A.-G., Germany

SO Ger. Offen., 24 pp.

CODEN: GWXXBX

DT Patent

LΑ German

FAN.	CNT	1		
	PAT	FENT NO.	KIND DATE	APPLICATION NO. DATE
ΡI	DE	19527570	A1 19970130	DE 1995-19527570 19950728
	CA	2227762	AA 19970213	CA 1996-2227762 19960715
		000000		DE 1995-19527570A 19950728
	WO	9705116	A1 19970213	WO 1996-EP3088 19960715
		W: AU, BB,	BG, BR, BY, CA,	CN, CZ, HU, JP, KR, KZ, LK, MX, NO, NZ,
		PL, RO,	RU, SK, TR, UA,	US
		RW: AT, BE,	CH, DE, DK, ES,	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
				CM, GA, GN, ML, MR, NE, SN, TD, TG
				DE 1995-19527570A 19950728
	ΑU	9666566	A1 19970226	AU 1996-66566 19960715
				DE 1995-19527570A 19950728
				WO 1996-EP3088 W 19960715
	EΡ	842155	A1 19980520	EP 1996-926347 19960715
	EΡ	842155	B1 20030409	
		R: BE, CH,	DE, ES, FR, GB,	IT, LI, NL
				DE 1995-19527570A 19950728
				DE 1995-19527570A 19950728

WO 1996-EP3088 W 19960715

09541795.15		Page 52	
CN 1196725	A	19981021	CN 1996-197016 19960715 DE 1995-19527570A 19950728
BR 9609671	A	19990706	BR 1996-9671 19960715 DE 1995-19527570A 19950728 WO 1996-EP3088 W 19960715
JP 11510145	Т2	19990907	JP 1997-507163 19960715 DE 1995-19527570A 19950728
US 6417141	В1	20020709	WO 1996-EP3088 W 19960715 US 1998-38 19980121 DE 1995-19527570A 19950728 WO 1996-EP3088 W 19960715

OS MARPAT 126:171617 GI

Title compds. [I; Q = O, S, SO, SO2; R1 = H, cyano, halo; R2 = cyano, thiocarbamoyl; R3 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R4 = H, halo, (substituted) alkyl, alkoxy; R5 = (substituted) alkyl; R6, R7 = H, (substituted) alkyl, alkenyl, alkynyl], were prepd. Thus, 1-(4-cyano-2,5-difluorophenyl)-3,6-dihydro-2,6-dioxo-4-trifluoromethyl-1(2H)-pyrimidine was heated with NaOMe in N-methylpyrrolidone to give 41% 1-(4-cyano-2-fluoro-5-methoxyphenyl)-3,6-dihydro-2,6-dioxo-4-trifluoromethyl-1(2H)-pyrimidine. The latter was stirred with NaHCO3 and 1-aminooxy-2,4-dinitrobenzene in DMF to give 53% 1-(4-cyano-2-fluoro-5-methoxyphenyl)-3,6-dihydro-2,6-dioxo-3-amino-4-trifluoromethyl-1(2H)-pyrimidine. This at 125 g/ha preemergent gave 100% control of Alopecurus, Avena, Cyperus, Setaria, Abutilon, Amaranthus, Galium, Sinapis, and Xanthium.

L7 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:531729 CAPLUS

DN 125:167598

TI Preparation and formulation of (tetrahydrotetramethylnaphthyloxy)naphthoat es and analogs for treatment of keratinization disorders

IN Bernardon, Jean-Michel

PA Centre International De Recherches Dermatologiques Galderma (C.I.R.D. Galderma), Fr.

SO Eur. Pat. Appl., 23 pp. CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

09541795.15	Page 53
(1954   795   15	PAGE 51

	722928 722928	A1 B1		EP 1995-120073 19951219
	R: AT,	BE, CH, DE	, ES, FR, GB	, IT, LI, NL, SE
				FR 1995-659 A 19950120
FF	2729664	A1	19960726	FR 1995-659 19950120
FF	2729664	B1	19970221	
PΑ	156474	E	19970815	AT 1995-120073 19951219
				FR 1995-659 A 19950120
ES	2111364	Т3	19980301	ES 1995-120073 19951219
				FR 1995-659 A 19950120
JA	9640794	A1	19960815	AU 1996-40794 19960104
JA	684405	B2	19971211	•
				FR 1995-659 A 19950120
C.P	2167651	AA	19960721	CA 1996-2167651 19960119
C.P	2167651	С	20010313	
				FR 1995-659 A 19950120
JE	08245475	A2	19960924	JP 1996-7863 19960119
				FR 1995-659 A 19950120
US	5763487	A	19980609	US 1996-589388 19960122
				FR 1995-659 A 19950120
US	5985928	A	19991116	US 1998-5601 19980109
				FR 1995-659 A 19950120
				US 1996-589388 A319960122
US	6156750	Α	20001205	US 1999-229829 19990113
				FR 1995-659 A 19950120
				US 1996-589388 A319960122
				US 1998-5601 A319980109

OS MARPAT 125:167598 GI

$$\begin{array}{c|c}
 & R^3 \\
 & R^2 \\
 & R^4
\end{array}$$

AB Title compds. [I; R1 = H, Me, alkoxy(methyl), alkanoyl, CO2H, etc.; R2 = H, alkyl, OH, alkoxy, etc.; R3 = H or alkyl; R2R3 = bond; R4 = H, alkyl, alkoxy, alkanoyloxy; R2R4 = CH:CH; R5 = H, halo, alkyl, alkoxy, etc.; Z = O, SOO-2, (alkyl)imino; Z1,Z2 = CH2, O, SOO-2, etc.] were prepd. for treatment of keratinization disorders (no data). Thus, 5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthol was etherified by Me 2-bromo-2-naphthoate to give title compd. II.

ΙI

L7 ANSWER 20 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:86798 CAPLUS

DN 124:202282

TI Preparation of dihydrobenzoxazinone derivatives as phospholipase A2 and interleukin 1 inhibitors

IN Kawakita, Takeshi; Kuroita, Takanobu; Murozono, Takahiro; Terasawa, Michio; Okamoto, Hitoshi

PA Yoshitomi Pharmaceutical, Japan

SO Jpn. Kokai Tokkyo Koho, 35 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN. CNT 1

ī. Vī	N. CIVI I				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 07242662	A2	19950919	JP 1994-31631	19940301
	JP 3348505	B2	20021120		
				JP 1994-31631	19940301
~ ~		_			

OS MARPAT 124:202282

GΙ

$$\begin{array}{c} \text{CH}_2\text{)}_4\text{OPh} \\ \text{Cl} \\ \text{N} \\ \text{O} \\ \text{R}^2 \\ \text{Het} \end{array}$$

- AB The title compds. I [R1 = H, alkyl, etc.; R2 = H, Cl, etc.; R3, R4 = H, alkyl; Het = 5,6-dihydroimidazo[2,1-b]thiazol-3-yl, etc.] are prepd. The title compd. II.HBr at 10 .mu.M gave 40% in vitro inhibition of phospholipase A2.
- L7 ANSWER 21 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1995:804319 CAPLUS
- DN 123:198425
- TI Preparation of tricarboxylic acid derivatives as squalene synthetase inhibitors
- IN Kobayashi, Takamitsu; Tamura, Kunio; Yoshida, Mitsutaka; Koqa, Hiroshi
- PA Chugai Seiyaku Kabushiki Kaisha, Japan
- SO PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

 W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

JP 1993-227745 19930729
JP 07112954 A2 19950502 JP 1994-207897 19940728
JP 1993-227745 19930729
AU 9472383 A1 19950228 AU 1994-72383 19940729
JP 1993-227745 19930729

WO 1994-JP1249 19940729

OS MARPAT 123:198425

GΙ

$$Q^{1}=$$
 $Q^{2}=$ 
 $CO_{2}Na$ 
 $CO_{2}Na$ 
 $CO_{2}Na$ 

$$Q^3 =$$
Me

O

The title compds. R1AR2 (I) [R1 represents optionally substituted satd. or unsatd. alkyl; R2 represents (CH2)n-1CH(CO2R3)C(CO2R4)(CO2R5)(OR6), etc.; R3, R4 and R5 represent each hydrogen or lower alkyl; R6 represents hydrogen or alkyl; and n represents 1 or 2; A represents O, S, etc.], useful as squalene synthetase inhibiting anticholesteremics, are prepd. In an in vitro test for squalene synthetase inhibiting activity, I [R1 = Q1; A = O; R2 = Q2] (prepn. given) showed IC50 of 1.88 x 10-8 M. In the above test, I [R1 = Q3; A = O; R2 = Q2] (prepn. given) showed IC50 of 0.20 x 10-8 M. The squalene synthetase inhibiting activities of 20 compds. of this invention are given in a table in this document.

- L7 ANSWER 22 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1995:664908 CAPLUS
- DN 123:55865
- TI Preparation and formulation of N-[(phenylureido)acetyl]thiazolidine-4-carboxylates and analogs as gastrin and CCK antagonists
- IN Dubroeucg, Marie-Christine; Manfre, Franco
- PA Rhone-Poulenc Rorer SA, Fr.
- SO Fr. Demande, 59 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			<b></b>		
ΡI	FR 2700168	A1	19940708	FR 1993-76	19930107
	FR 2700168	B1	19950203		
	CA 2152184	AA	19940721	CA 1994-2152184	19940103
				FR 1993-76 A	19930107

WO						WO 1994-FR7 19940103	
				, KR, NO,			<b>a</b> n
	RW: AT,	BE,	CH, DE	, DK, ES,	FR,	GB, GR, IE, IT, LU, MC, NL, PT, FR 1993-76 A 19930107	55
ΔΙΙ	9458351		A1	19940815		AU 1994-58351 19940103	
	,10000-					FR 1993-76 A 19930107	
						WO 1994-FR7 W 19940103	
FD	679161		Δ1	19951102		EP 1994-904199 19940103	
				19980624		B1 1001 001100 10010100	
L						GB, GR, IE, IT, LI, LU, NL, PT,	CF.
	к. д.,	ъ.,	CII, DE	, DR, ES,	ric,	FR 1993-76 A 19930107	יוכ
						WO 1994-FR7 W 19940103	
нп	73428		Δ2	19960729		HU 1995-2064 19940103	
110	73420		A2	1000120		FR 1993-76 A 19930107	
.TD	00507202		тo	19960906		JP 1994-515746 19940103	
UF	06307292		12	1990000		FR 1993-76 A 19930107	
						WO 1994-FR7 W 19940103	
λT	167691		r.	10000715		AT 1994-904199 19940103	
Αı	10/001		11	19980713		FR 1993-76 A 19930107	
PC.	2119160		Т3	10001001		ES 1994-904199 19940103	
دين	2119100		13	19901001		FR 1993-76 A 19930107	
71	9400079		A	19940811			
۷А	7400077		A	17740011		FR 1993-76 A 19930107	
HC	5633270		7\	19970527		US 1995-446745 19950606	
0.5	3033270		A	199/032/		FR 1993-76 A 19930107	
						WO 1994-FR7 W 19940103	
NO	9502697		7\	10050005			
NO	3302007		A	19950905		NO 1995-2687 19950706	
						FR 1993-76 A 19930107	
MAT	RPAT 123:	EE061	<b>-</b>			WO 1994-FR7 W 19940103	
I.II-YL	CEMI 123:	2200	ن				

AB Title compds. [I; R = (unsatd.)(cyclo)alkyl, phenylalkyl, heteroaryl, etc.; R1,R3 = H, (cyclo)alkyl, phenylalkyl, etc.; R2 = (CH2)nCOR6, (CH2)mO2CR16, (CH2)mNR9R10, oxazolinyl, etc.; R4 = H, alkyl; R5 = (un)substituted phenyl(amino), naphthyl, indolyl, quinolyl, etc.; R6 = OH, alkoxy, Ph, NR9R10, etc.; R9 = H, (cyclo)alkyl, phenyl(alkyl), etc.; R10 = (cyclo)alkyl, phenyl(alkyl), etc.; R16 = alkoxy, Ph, NR9R10, etc.; m = 1 or 2; n,p = 0-2] were prepd. Thus, cyclohexanecarboxaldehyde was cyclocondensed with L-cysteine and the esterified product N-acylated with Me3CO2CNHCO2H to give, after deprotection, aminoacetylthiazolidinecarboxyl ate II (R7 = H) which was condensed with 3-(OCN)C6H4CH2CO2CH2Ph to give, after sapon, II [R7 = CONHC6H4(CH2CO2H)-3]. I had IC50 of .ltoreq.103nM against binding (ligand not given) at CCK receptors.

OS

GI

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ANSWER 23 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
L7
    1995:657646 CAPLUS
AN
DN
    123:69846
ΤI
     Diphenylamine compounds
     Beckmann, Stefan; Etzbach, Karl-Heinz; Sens, Ruediger
IN
     BASF A.-G., Germany
PA
SO
    Ger. Offen., 11 pp.
     CODEN: GWXXBX
DT
    Patent
    German
LΑ
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
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                                         DE 1993-4335496 19931019
PΙ
    DE 4335496
                     A1
                           19950420
    WO 9511278
                     A1
                           19950427
                                         WO 1994-EP3330
                                                          19941010
        W: JP, KR, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                         DE 1993-4335496 19931019
     EP 724609
                           19960807
                                         EP 1994-928882
                      Α1
                                                          19941010
        R: CH, DE, FR, GB, IT, LI, NL
                                         DE 1993-4335496 19931019
                                         WO 1994-EP3330
                                                          19941010
    JP 09505331
                      T2
                           19970527
                                         JP 1994-511265
                                                          19941010
                                          DE 1993-4335496
                                                          19931019
                                         WO 1994-EP3330
                                                          19941010
    US 5696243
                      Α
                           19971209
                                         US 1996-628641
                                                          19960419
                                         DE 1993-4335496 19931019
                                         WO 1994-EP3330
                                                          19941010
OS
    MARPAT 123:69846
GI
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$$\begin{array}{c|c}
R^1 & R^2 & Y-O-R^5 \\
R^3 & R^4 & R^7
\end{array}$$

AB The title compds. are described by the general formula I (the ring A my be benzoanellated; D = an aryl residue or a 5-membered arom. ring which includes 1-3 heteroatoms selected from N, O, or S in a heterocyclic ring and which can be anellated with benzene, thiophene, pyridine, or pyrimidine rings; X = N:N or, when D = an aryl residue, CH:CH, or D-X is a 1,2,2-tricyanovinyl residue; R1-4 = independently selected H, C1-4 alkyl, C1-6 alkoxy, or halogen residues; R5 = prop-1-en-3-yl, acryloyl, or methacryloyl; R6 and R7 = independently selected H, C1-6 alkyl, C1-6 alkoxy, halogen, prop-1-en-3-yl, acryloyl, methacryloyl, or oxiranylmethoxy residues; and Y = a C1-20 alkylene group). The use of the compds., and of polymers contg. them, for nonlinear optical applications is also described.

Patel 8/25/2003>

Ι

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ANSWER 24 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
L7
     1995:594465 CAPLUS
AN
DN
     123:9454
ΤI
     Preparation of 4-cyanophenyliminoheterocycles as herbicides.
     Schallner, Otto; Andree, Roland; Drewes, Mark Wilhelm; Dollinger, Markus;
IN
     Santel, Hans-Joachim
PA
     Bayer A.-G., Germany
SO
     Eur. Pat. Appl., 154 pp.
     CODEN: EPXXDW
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                            DATE
                      _ _ _ _
                            -----
                                            -----
                                            EP 1994-115645
PΙ
     EP 648772
                       A1
                            19950419
                                                             19941005
     EP 648772
                       B1
                            20020904
           BE, CH, DE, ES, FR, GB, IT, LI, NL
                                            DE 1993-4335438A 19931018
     DE 4335438
                            19950420
                                            DE 1993-4335438 19931018
                       Α1
     EP 1164128
                       A1
                            20011219
                                            EP 2001-122556
                                                             19941005
         R: BE, CH, DE, ES, FR, GB, IT, LI, NL
                                            DE 1993-4335438A 19931018
                                            EP 1994-115645 A319941005
     ES 2181697
                       T3
                            20030301
                                            ES 1994-115645
                                                             19941005
                                            DE 1993-4335438A 19931018
     CA 2118191
                            19950419
                       AA
                                            CA 1994-2118191 19941014
                                            DE 1993-4335438A 19931018
                                                            19941014
     JP 07188251
                       A2
                            19950725
                                            JP 1994-276090
                                            DE 1993-4335438A 19931018
     BR 9404136
                       Α
                            19951017
                                            BR 1994-4136
                                                             19941017
                                            DE 1993-4335438A 19931018
     CN 1104215
                       Α
                            19950628
                                            CN 1994-117303 19941018
     CN 1048497
                       В
                            20000119
                                            DE 1993-4335438A 19931018
     US 5756805
                       Α
                            19980526
                                            US 1996-738991
                                                            19961024
                                            DE 1993-4335438A 19931018
                                            US 1994-321295 B319941011
     CN 1183415
                       Α
                            19980603
                                            CN 1997-117829
                                                             19970820
     CN 1057765
                       В
                            20001025
                                            DE 1993-4335438A 19931018
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OS

GI

MARPAT 123:9454

Title compds. [I; R1 = H, halo; R2 = halo, cyano, OH, amino, XR3, NR4COR5, NR4XO2R5; X = O, S, bond; R3 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R4 = H, alkyl; R5 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl; A = (substituted) alkanediyl, alkenediyl; E = N, C; G = N, C singly bonded to H, alkyl, doubly bonded to O, S; when E = N, then A .noteq. (substituted) trimethylene], were prepd. Thus, 1-[N-(4-cyano-2-fluoro-5-isopropoxyphenyl)]tetrahydro-(2H)-pyridazinethiocarboxamide (prepn. given) in CH2Cl2 was treated with COCl2 in PhMe at 20.degree. followed by 3 h stirring at 20.degree. to give 13% title compd. (II). II at 15 g/ha gave 100% control of Abutilon while leaving barley unaffected.

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L7 ANSWER 25 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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- AN 1995:231251 CAPLUS
- DN 122:9676
- TI Process for O-alkylation of carboxylic acids by organic carbonates.
- IN Heuer, Lutz; Joentgen, Winfried; Klausener, Alexander
- PA Bayer A.-G., Germany
- SO Ger. Offen., 7 pp. CODEN: GWXXBX
- DT Patent
- LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 4311424	A1	19941013	DE 1993-4311424	19930407
				DE 1993-4311424	19930407

- OS CASREACT 122:9676; MARPAT 122:9676
- AB Carboxylic acid esters were prepd. from carboxylic acids and org. carbonates in the presence of sulfonic acid catalysts. Thus, 2-methyl-4-chlorophenoxypropionic acid, di-Me carbonate, and p-toluenesulfonic acid were refluxed to give 71.9% Me 2-methyl-4-chlorophenoxypropionate.
- L7 ANSWER 26 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1995:229456 CAPLUS
- DN 123:198620
- TI Heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis
- IN Fortin, Rejean; Girard, Yves; Grimm, Erich; Hutchinson, John; Scheigetz, John
- PA Merck Frosst Canada, Inc., Can.
- SO U.S., 28 pp. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	US 5360815	Α	19941101	US 1993-81506	19930623
	CA 2125830	AA	19941224	CA 1994-2125830	19940614
				US 1993-81506	19930623

OS MARPAT 123:198620

GΙ

AB Compds. having the formula I wherein: R1 is H, OH, lower alkyl, or lower alkoxy; R2 is H, lower alkyl or together with R1 forms a double bonded oxygen; R3 is H, lower alkyl, hydroxy lower alkyl, or lower alkoxy lower alkyl; or R1 is joined to R3 to form a carbon bridge of 2 or 3 carbon atoms, or a mono-oxa carbon bridge of 1 or 2 carbon atoms, said bridge optionally containing a double bond; R4 is H or lower alkyl; R5 is H, OH, lower alkyl, or lower alkoxy; R6 is H or lower alkyl, or two R6 groups attached to the same carbon may form a saturated ring of 3 to 8 members; R7 is H, OH, lower alkyl, lower alkoxy, cycloalkyl lower alkoxy, lower alkylthio, or lower alkylcarbonyloxy; R8, R9, and R13 is each independently H, halogen, lower alkyl, hydroxy, lower alkoxy, lower alkylthio, CF3, CN, or COR14; R10 is, e.g., H, lower alkyl, or aryl-(R13)2, wherein aryl is a 5-membered aromatic ring wherein one carbon atom is replaced by O or S and O-3 carbon atoms are replaced by N; R11, R12 are each, e.g., H, lower alkyl; R14 = H, lower alkyl; X1 = O, S, SO, SO2, CH2; X2 = 0, S, CHR6; X3 = e.g., O(CR6)2; Ar = phenylene-R82; m = 1, n = 1, 2; or pharmaceutically acceptable salts are inhibitors of leukotriene biosynthesis (no data). These compds. are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques. Pharmaceutical formulations were given. Thus, e.g., reaction of 7-hydroxycoumarin with 3-[4-(4-methoxy)tetrahydropyranyl]benzyl bromide afforded 7-[3-[4-(4-methoxy)tetrahydropyranyl]benzyloxy]coumarin; sapon. of the lactone afforded 3-{4-[3-[4-(4-methoxy)tetrahydropyranyl]benzyloxy]-2-hydroxyphenyl}propenoic acid disodium salt.

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L7 ANSWER 27 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1994:533976 CAPLUS

DN 121:133976

TI Carboxylic Acid Derivatives and Their Uses as Pharmaceuticals

IN Himmelsbach, Frank; Linz, Guenter; Austel, Volkhard; Pieper, Helmut;
Mueller, Thomas; Weisenberger, Johannes; Guth, Brian

PA Thomae, Dr. Karl, G.m.b.H., Germany

SO Ger. Offen., 24 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 4241632	A1	19940616	DE 1992-4241632	19921210
	CA 2111035	AA	19940611	CA 1993-2111035	19931208
				DE 1992-4241632	19921210
	EP 604800	A1	19940706	EP 1993-119786	19931208

	R:	AΤ,	BE,	CH,	DE,	DK,	ES,	FR,	•	•	IE, 92-42			LU, 1992		PT,	SE
FI	9305	513		A		1994	0611		F	199	93-5!	513		1993	1209		
NO	9304	501		A		1994	0613		NO	199	92-41 93-4!	501		1992 1993	1209		
JP	0623	9817		A	2	1994	0830				92-42 93-30		_	1992 1993			
ZA	9309	230		А		1995	0609				92 - 42 93 <b>-</b> 92			1992 1993			
711	9352	206		A:	1	1994	0623				92-42 93 <b>-</b> 52			1992 1993			
					_				DE	199	92-42	2416	32	1992	1210		
CN	1094	035		A		1994	1026		-		93-1: 92-4:		_	1993 1992			

OS MARPAT 121:133976

GΙ

AB Pharmacol. active carboxylates were disclosed. A specifically claimed example compd., Me trans-4-[[4-(4-piperidinyl)phenyl]carbonylamino]cyclohe xanepropanoate (I) was prepd. The claimed compds. are blood platelet aggregation inhibitors (antithrombotics).

L7 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:409389 CAPLUS

DN 121:9389

TI Preparation of isoxazoles derivatives and their use as herbicides

IN Cramp, Susan Mary; Smith, Philip Henry Gaunt

Ι

PA Rhone Poulenc Agriculture Ltd., UK

SO Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

LM	I. CNI I			
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
		·		
ΡI	EP 588357	A1 19940323	EP 1993-114989	19930917
	EP 588357	B1 20020612		
	R: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IE, IT, LI	, LU, NL, PT, SE
			GB 1992-19779 A	19920918
	AU 9346250	A1 19940324	AU 1993-46250	19930908
	AU 666397	B2 19960208		
			GB 1992-19779 A	19920918
	CA 2105822	AA 19940319	CA 1993-2105822	19930909
			GB 1992-19779 A	19920918

Page 62	
19970610	IL 1993-106997 19930913 GB 1992-19779 A 19920918
19940322	BR 1993-3517 19930916 GB 1992-19779 A 19920918
19940319	FI 1993-4089 19930917 GB 1992-19779 A 19920918
19940411	ZA 1993-6867 19930917 GB 1992-19779 A 19920918
19940413	CN 1993-117864 19930917
	GB 1992-19779 A 19920918
19940712	JP 1993-231546 19930917 GB 1992-19779 A 19920918
19950728	HU 1993-2622 19930917 GB 1992-19779 A 19920918
19960102	US 1993-128605 19930917 GB 1992-19779 A 19920918
19980710	RU 1993-52688 19930917 GB 1992-19779 A 19920918
	EP 2001-119705 19930917 GB, GR, IT, LI, LU, NL, SE, PT, IE
. ,	GB 1992-19779 A 19920918 EP 1993-114989 A319930917
20020615	
20021101	ES 1993-114989 19930917 GB 1992-19779 A 19920918
	19970610 19940322 19940319 19940411 19940413 19991006 19940712 19950728 19960102 19980710 20011121 E, DK, ES, FR,

OS GI MARPAT 121:9389

Title compds. I (Ar = (substituted) heterocyclyl; R = H, R3O2C wherein R3 = (substituted) C1-6 alkyl; R1 = (halo) C1-6 alkyl, (substituted) C3-6 cycloalkyl) or a salt thereof, are prepd. HONH2 and 3-cyclopropyl-1-(3,5-dichloropyridin-2-yl)-2-(dimethylamino) methylenepropane-1,3-dione (prepn. given) in EtOH were stirred at room temp. overnight to give I (Ar = 3,5-dichloro-2-pyridyl, R = H, R1 = cyclopropyl) which with other 16 I when applied pre- or post-emergence at 4 kg/ha or less, gave at leat 80% control of one or more weed species.

- L7 ANSWER 29 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:270094 CAPLUS
- DN 120:270094
- TI Preparation of cyclic imino derivatives as cell aggregation inhibitors

8/25/2003>

- IN Himmelsbach, Frank; Austel, Volkhard; Pieper, Helmut; Linz, Guenter; Weisenberger, Johannes; Mueller, Thomas
- PA Thomae, Dr. Karl, G.m.b.H., Germany
- SO Eur. Pat. Appl., 38 pp.
- CODEN: EPXXDW
- DT Patent

LA FAN.	German CNT 1			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
				TD 1000 10500 10000105
ΡI				EP 1993-106724 19930426
	EP 567966			
	R: AT, BE,	CH, DE	, DK, ES,	FR, GB, GR, IE, IT, LI, LU, NL, PT, SE DE 1992-4213919A 19920428
	DE 4213919	A1	19931104	DE 1992-4213919 19920428
	US 5576444	A	19961119	US 1993-53037 19930426
				DE 1992-4213919A 19920428
	AT 170509	E	19980915	AT 1993-106724 19930426
				DE 1992-4213919A 19920428
	ES 2121888	Т3	19981216	ES 1993-106724 19930426
				DE 1992-4213919A 19920428
	CA 2095009	AA	19931029	CA 1993-2095009 19930427
				DE 1992-4213919A 19920428
	NO 9301526	Α	19931029	NO 1993-1526 19930427
	NO 180045	В	19961028	
	NO 180045	C	19970205	
				DE 1992-4213919A 19920428
		A2	19940315	JP 1993-99930 19930427
	JP 3315463	B2	20020819	
				DE 1992-4213919A 19920428
	HU 70039	A2	19950928	
				DE 1992-4213919A 19920428
	AU 9338222	A1	19931104	AU 1993-38222 19930428
	AU 662223	B2	19950824	
				DE 1992-4213919A 19920428
OS GI	MARPAT 120:2700	94		

AB BX1X2AYE [A = (substituted) bivalent (oxo)pyrrolidine ring; B = R1CO2CR2R3O2CNHC(:NH), R4OP(O)(OR5)NHC(:NH); E = CO2CHR7O2CR6, CO2R8, etc; R1 = (cyclo)alkyl, phenyl(alkyl); R2,R3 = H, (cyclo)alkyl, Ph; R4,R5 = H, alkyl, Ph, CH2Ph; R6 = (cyclo)alkyl, alkenyl, alkoxy, etc.; R7 = H, (cyclo)alkyl, Ph; R8 = cycloalk(en)yl(alkyl), (phenyl)alkenyl, -alkynyl, etc.; X1 = bond, CH2,OCH2, etc.; X2 = (substituted) C6H4C6H4; Y = alkylene] were prepd. Thus, (S)-1-benzyloxycarbonyl-5-trityloxymethyl-2-pyrrolidinone was converted in 7 steps to title compd. (3S,5S)-I which gave inhibition of collagen-induced thrombocyte aggregation in samples from monkeys >8h after receiving lmg/kg orally.

- L7 ANSWER 30 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:263859 CAPLUS
- DN 120:263859
- TI Preparation of herbicidal benzene derivatives.
- IN Patel, Kanu Maganbhai
- PA du Pont de Nemours, E. I., and Co., USA

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SO PCT Int. Appl., 163 pp. CODEN: PIXXD2
DT Patent
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DT Patent LA English

FAN.CNT 1

W: JP, KR, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US 1992-942539 A219920909

EP 659047 A1 19950628 EP 1993-921226 19930902

R: DE, ES, FR, IT, PT

US 1992-942539 A 19920909 WO 1993-US8096 W 19930902

JP 08501100 T2 19960206 JP 1994-507335 19930902 US 1992-942539 A 19920909

WO 1993-US8096 W 19930902

OS MARPAT 120:263859 GI

$$R^3$$
 $R^2$ 
 $R^2$ 
 $R^2$ 
 $R^2$ 
 $R^2$ 
 $R^2$ 

The benzene derivs. I and II (R1 = C1, Br, iodo, OMe, OCHF2, OCF3, NO2; R2 = CO2H, CN, CONH2, CO2Me, etc.; R3 = Ph, OCH2CHMe2, OCH2Ph, etc.) and their salts are prepd. as herbicides. 2-Chloro-4-(2-methylpropyloxy)benzoic acid (prepn. given) was refluxed with thionyl chloride in benzene. The product was dissolved in THF and treated with aq. NH4Cl, to give 2-chloro-4-(2-methylpropyloxy)benzamide (III). Postemergence 400 g III/ha totally controlled barnyardgrass, with no injury to barley. Formulation examples are given.

- L7 ANSWER 31 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:30773 CAPLUS
- DN **120:30773**
- TI Oxadiazole derivatives having acetylcholinesterase-inhibitory and muscarinic receptor agonist activity
- IN Takasugi, Hisashi; Kuno, Atsushi; Ohkubo, Mitsuru
- PA Fujisawa Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

AU 9331714	A1 19930728	GB 1992-20904 AU 1993-31714 GB 1991-27533 GB 1992-20904	19921005 19921218 19911231 19921005
EP 619814 R: AT, BE,	A1 19941019	WO 1992-JP1658 EP 1993-900416 GB, GR, IE, IT, LI	19921218 19921218
R. AI, DB,	CII, DE, DR, ES, FR,	GB 1991-27533 GB 1992-20904	19911231 19921005
JP 07502529	T2 19950316	WO 1992-JP1658 JP 1992-511547	19921218 19921218
		GB 1991-27533 GB 1992-20904	19911231 19921005
US 5622976	A 19970422	WO 1992-JP1658 US 1994-244904 GB 1991-27533	19921218 19940624 19911231
		GB 1992-20904 WO 1992-JP1658	19921005 19921218

- OS MARPAT 120:30773
- AB The title compds. R1QZXAM [A = direct bond, lower alkylene, lower alkynylene; M = (un)substituted heterocyclic group contg. .gtoreq.1 N atom(s); Q = oxadiazolediyl; R1 = lower alkyl, (un)substituted heterocyclic group, (un)substituted aryl, (un)substituted arylalkyl, (un)substituted aralkenyl; X = direct bond, CONR4, R8CN; R4 = H, alkyl; R8 = HO, protected HO group, CO, NHCO; Z = direct bond, vinyl (sic)], useful for the treatment of central nervous system disorders (e.g., amnesia, Alzheimer's disease, vascular dementia, etc.) mode data, are prepd. Thus, 3-ethoxycarbonyl-5-(quinucilidin-3-yl)-1,2,4-oxadiazole and 1-benzyl-4-(2-aminoethyl)piperidine were heated together in soln. at 100.degree. for 2 h and treated with an ethanolic soln. of HCl, producing 5-(quinuclidin-3-yl)-3-[[2-(1-benzylpiperidin-4-yl)ethyl]carbamoyl]-1,2,4-oxadiazole dihydrochloride, m.p. 210.degree. (decompn.).
- L7 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1993:559751 CAPLUS
- DN 119:159751
- TI Preparation of 2-(oximinoalkyl)cyclohexane-1,3-diones as synergistic herbicides
- IN Kast, Juergen; Meyer, Norbert; Misslitz, Ulf; Bratz, Matthias; Walter,
  Helmut; Rademacher, Wilhelm; Landes, Andreas; Kckemie, Tom; Carlson, Dale
- PA BASF A.-G., Germany
- SO Ger. Offen., 33 pp. CODEN: GWXXBX
- DT Patent
- LA German
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 4222261	A1	19930609	DE 1992-4222261	19920707
				US 1991-790277	19911107

OS MARPAT 119:159751

GI

$$R^2$$

$$R^2$$

$$R^1$$

$$S$$

$$O$$

$$I$$

$$S$$

$$O$$

$$I$$

$$R$$

AB Title compds. I [X = NOWR3; R1 = alkyl; R2 = H, cyano, CHO, alkyl, alkoxy, etc.; R3 = H, (2-substituted)vinyl, (halo)alkyl, etc.; W = alk(en)ylene, etc.], synergistic herbicides with I [X = O; R1 = (substituted)(cyclo)alkyl; R2 = cyano, CHO, CO2H, alkoxycarbonyl, etc.], were prepd. Thus, 4-BrC6H4CH:CHCH2Br was converted in 2 steps to 4-BrC6H4CH:CHCH2ONH2, which was condensed with propionylcyclohexanedione II (R1 = Et, X = O) to give II (R1 = Et, X = NOCH2CH:CHC6H4Br-4). II (R1 = Pr, X = NOEt), at 0.004 kg/ha, together with I (R1 = cyclopropyl, R2 = CO2Et, X = O) at 0.125 kg/ha, gave 90% control of Avena fatua with 10% damage to spring wheat.

- L7 ANSWER 33 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1993:538789 CAPLUS
- DN 119:138789
- TI Preparation of 2-aralkoximinoalkyl-3-hydroxy-2-cyclohexenones and analogs as herbicides and benzothiophene antidotes for them
- IN Hagen, Helmut; Nilz, Gerhard; Roetsch, Thomas; Walter, Helmut; Landes, Andreas
- PA BASF A.-G., Germany
- SO Ger. Offen., 76 pp. CODEN: GWXXBX
- DT Patent
- LA German
- FAN.CNT 1

FAN.	CNT 1		
	PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡI	DE 4126999	A1 19930218	DE 1991-4126999 19910816
	WO 9304057	A2 19930304	WO 1992-EP1798 19920807
	WO 9304057	A3 19930722	
		JP, KR, US	
			FR, GB, GR, IE, IT, LU, MC, NL, SE
	, ,		DE 1991-4126999 19910816
	EP 599906	A1 19940608	EP 1992-917128 19920807
	EP 599906	B1 19970115	
	R: AT, BE,	CH, DE, FR, GB,	IT, LI, NL
	• •	, , ,	DE 1991-4126999 19910816
			WO 1992-EP1798 19920807
	JP 06510029	T2 19941110	
			DE 1991-4126999 19910816
			WO 1992-EP1798 19920807
	HU 67251	A2 19950328	HU 1994-429 19920807
			DE 1991-4126999 19910816
	AT 147740	E 19970215	AT 1992-917128 19920807
			DE 1991-4126999 19910816
	US 5491123	A 19960213	
			DE 1991-4126999 19910816

WO 1992-EP1798

19920807

OS MARPAT 119:138789

GΙ

$$R^{11}$$
 $R^{12}$ 
 $R^{10}$ 
 $R$ 

Title cyclohexenones [I; R8 = H, alkanoyl, alkylsulfonyl, etc.; R9 = alkyl; R10 = H, halo, cyano, alkoxycarbonyl, etc.; R11 = H, cyano, CHO, alkyl, etc.; R12 = H, OH, alkyl; X = OZR13; R13 = H, vinyl, CO2H, alkoxycarbonyl, (hetero)aryl, etc.; Z = alkylene, alkenylene, alkynylene, etc.] and benzothiophenes II (R1 = COR, CO2R; R = H, halo, NH2, alkyl, heterocyclyl, Ph, etc.; R2, R3 = H, cyano, alkyl, halo, alkoxy, etc.; R4-R7 = H, Ph, naphthyl, heteroaryl; NR4R5, NR6R1 = heterocyclyl) were prepd. Thus, 2-propionyl-5-(3-tetrahydrothiopyranyl)cyclohexane-1,3-dione was condensed with 4-BrC6H4CH:CHCH2ONH2 to give title cyclohexenone III (X = NOCH2CH:CHC6H4Br-4). II (R1 = COPh, R2-R7 = H), at 0.25 kg/ha postemergent, reduced damage of 0.25 kg/ha III (X = NOCH2CH2CH:CHC6H4Cl-4) postemergent to corn from 80 to 20% without reducing herbicidal effect (100%) to Setaria viridis.

L7 ANSWER 34 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1992:13416 CAPLUS

DN 116:13416

TI Pressure- and heat-sensitive recording materials with good sensitivity, storability and image stability

IN Sano, Masajiro; Takashima, Masanobu; Satomura, Masato

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 11 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03142277	A2	19910618		19891030 19891030

OS MARPAT 116:13416

AB The title materials utilizes coloration by contact between electron-donating leuco dye ArlR1CH:CR2:CH:CHR3CR4R5Ar2 (Ar1, Ar2 = amine residue-contg. aryl or heterocyclic group; R1-4 = H, monovalent group; R5 = aryl group-contg. alkoxy group; R1-4 may bond together forming 4- to 12-membered rings with or without contg. heteroatom) and

electron-accepting compd.

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L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2000:725609 CAPLUS

DN 133:296281

- TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
- IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn,
  Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong;
  Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae,
  Hwan-soo; Lynch, John K.
- PA Abbott Laboratories, USA
- SO PCT Int. Appl., 476 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.CNT 1 PATENT NO.			KI	ND DATE		APPLICATION NO. DATE		
ΡI	WO	200005	9880	A.	1 20001012		WO 2000-US8895 20000403	
		W: A	E, AG,	AL,	AM, AT, AU,	ΑZ,	BA, BB, BG, BR, BY, CA, CH, CN, CR,	
		CI	J, CZ,	DE,	DK, DM, DZ,	EE,	ES, FI, GB, GD, GE, GH, GM, HR, HU,	
		I	O, IL,	IN,	IS, JP, KE,	KG,	KP, KR, KZ, LC, LK, LR, LS, LT, LU,	
		L	V, MA,	MD,	MG, MK, MN,	MW,	MX, NO, NZ, PL, PT, RO, RU, SD, SE,	
							TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,	
		Al	M, AZ,	BY,	KG, KZ, MD,	RU,	TJ, TM	
							SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,	
							IT, LU, MC, NL, PT, SE, BF, BJ, CF,	
		C	G, CI,	CM,	GA, GN, GW,	ML,	MR, NE, SN, TD, TG	
							US 1999-286645 A 19990402	
							US 1999-474517 A 19991229	
		116550	_	7. 7	1 20000100		US 2000-541795 A 20000331	
	EP	116550					EP 2000-921654 20000403	
						FK,	GB, GR, IT, LI, LU, NL, SE, MC, PT,	
		1.	z, sı,	111,	LV, FI, RO		US 1999-286645 A 19990402	
							US 1999-474517 A 19991229	
							WO 2000-US8895 W 20000403	
	BR	200000	9426	Δ	20020409		BR 2000-9426 20000403	
					20020109		US 1999-286645 A 19990402	
							US 1999-474517 A 19991229	
							US 2000-541795 A 20000331	
							WO 2000-US8895 W 20000403	
	EE	2001009	513	Α	20021216		EE 2001-513 20000403	
							US 1999-286645 A 19990402	
							US 1999-474517 A 19991229	
							US 2000-541795 A 20000331	
							WO 2000-US8895 W 20000403	
	NO	200100	1767	Α	20011130		NO 2001-4767 20011001	
							US 1999-286645 A 19990402	
							US 1999-474517 A 19991229	
							WO 2000-US8895 W 20000403	
	BG	106029		A	20020531		BG 2001-106029 20011018	
							US 1999-286645 A 19990402	

US 1999-474517 A 19991229

US 2000-541795 A 20000331
WO 2000-US8895 W 20000403
HR 2001000776 A1 20021231 HR 2001-776 20011023
US 1999-286645 A 19990402
US 1999-474517 A 19991229
US 2000-541795 A 20000331
WO 2000-US8895 W 20000403

OS MARPAT 133:296281

IT 280752-98-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of (phenylthio)cinnamides as cell adhesion inhibitors by
coupling of thiophenols with halobenzaldehydes, conversion to cinnamic
acids, amidation, and optional derivatization)

RN 280752-98-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 280752-72-9P 301179-73-7P 301179-75-9P,

2,3-Dichloro-4-(2-methoxyphenylthio)cinnamic acid 301179-87-3P

301179-93-1P 301179-94-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 280752-72-9 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-, methyl ester, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-73-7 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-75-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)

RN 301179-87-3 CAPLUS

CN 2-Propenoic acid, 3-[2-chloro-4-[(2-methoxyphenyl)thio]-6-(2-propenyloxy)phenyl]- (9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2 - O$$
 $HO_2C - CH = CH$ 
 $MeO$ 

RN 301179-93-1 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2,3-bis(trifluoromethyl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-94-2 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-hydroxyphenyl)thio]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

GI

Ar 
$$R^{2}$$
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R$ 

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un) substituted cis- or trans-cinnamide; Ar = (un) substituted (hetero) aryl] were prepd. as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixt. of 2-[(2,4dichlorophenyl)thio|benzaldehyde (prepn. given), malonic acid, piperidine in anhyd. pyridine was heated at 110.degree.C for 2 h and then treated with aq. HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at  $4\,\,\text{.mu.M.}$  In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 .mu.M and 0.6 .mu.M, resp.

ΙI

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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	ENTRY	SESSION
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